Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
[3]	13809	514/211.08, 514/222.5, 514/225. 2, 514/224.2, 514/227.8, 514/235.2, 514/269, 514/333, 514/338, 514/340, 514/345, 514/351, 514/355, 540/545, 544/8, 544/35, 544/51, 544/60, 544/82, 544/333, 546/19, 546/255, 546/256, 546/272.1, 546/280.1, 546/285, 546/313, 546/316, 546/280.4, 546/279.7, 546/281.1	US-PGPUB; USPAT	OR	OFF	2005/12/14:14:36
L2	69313	pyridyl\$ or acylsulfimide?	US-PGPUB; USPAT	OR	OFF	2005/12/14 14:37
L3	7761	I1 and I2	US-PGPUB; USPAT	OR	OFF	2005/12/14 14:37

Day : Wednesday

Date: 12/14/2005

Time: 14:15:43

PALM INTRANET

Inventor Information for 10/773471

IEV IEV DDGAU LASHUTTEN IEDORF	UKRAINE UKRAINE UKRAINE GERMANY GERMANY
DDGAU LASHUTTEN	UKRAINE GERMANY GERMANY
ODGAU LASHUTTEN	GERMANY GERMANY
LASHUTTEN	GERMANY
——————————————————————————————————————	<u> </u>
EDORF	CED MANE
	GERMANY
AD HOMBURG V. D. H.	GERMANY
PPSTEIN/TS	GERMANY
EIDESHEIM	GERMANY
IESBADEN	GERMANY
RANKFURT	GERMANY
ELKHEIM	GERMANY
nt Info Continuity Data	Foreign Data
EIL	PSTEIN/TS IDESHEIM ESBADEN ANKFURT LKHEIM

Search Another: Application# Search	or Patent# Search
PCT / Search o	or PG PUBS # Search
Attorney Docket #	Search
Bar Code # Search	1

To go back use Back button on your browser toolbar.

Back to PALM | ASSIGNMENT | OASIS | Home page

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10/773,471 Page 3
```

```
7 8 9
ring nodes:
1 2 3 4 5 6
ring/chain nodes:
10
chain bonds:
5-7 7-8 7-9 9-10
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds:
7-8 7-9 9-10
exact bonds:
5-7
normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6
```

isolated ring systems :

G1:0,S

Match level:

containing 1 :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

G1

CH

G1 O, S

Structure attributes must be viewed using STN Express query preparation.

=> s ll SAMPLE SEARCH INITIATED 09:49:44 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 81 TO ITERATE

100.0% PROCESSED 81 ITERATIONS 20 ANSWERS SEARCH TIME: 00.00.01

<12/14/2005> Habte

10/773,471 Page 4

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1081 TO 2159

PROJECTED ANSWERS: 132 TO 668

L2 20 SEA SSS SAM L1

=> s ll sss full

FULL SEARCH INITIATED 09:49:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1589 TO ITERATE

100.0% PROCESSED 1589 ITERATIONS 367 ANSWERS

SEARCH TIME: 00.00.01

L3 367 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
161.33
161.54

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L4 71 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION MUMBER:
TITLE: Preparation of benzene derivatives containing amide modety as ACC inhibitors
INVENTOR(S): Suruki, Nobuyasuu Nihei, Tukior Ichinose, Hidehiror Tanaka, Hideyukir Yasa, Norikor Hatanaka, Toshihiror Masuzawa, Youkor Nakanishi, Eijir Kondo, Nobuo Ajinomoto Co., Inc., Japan PCT Int. Appl., 227 pp.
COURNT TYPE: PIXXD2
DOCUMENT TYPE: Patent DOCUMENT TYPE: Patent Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

NO 2005108370 A1 20051117 WO 2005-PF7392 20050418

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HB, HU, 1D, 1L, IN, 1S, JF, RE, KG, FM, FF, RR, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, 5D, SE, SG, SK, SM, SY, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RY: EV, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, 1S, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO: JP 2004-122199 JP 2004-122200 JP 2004-122201 JP 2005-21616 20040416 20040416 20040416 20050128

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [X = Q1, etc.; ring A = (un) substituted aromatic

(un) substituted aromatic heterocycle, (un) substituted cyclic alkenyl, etc.;

= single bond, -CO-, -NHCO-, etc.: R7 = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.: n = 0-5: V = Q2, etc.: R1-R3 = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.: R4-R6, R8 = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkenyl, (un)substituted alkenyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.] were prepared Formsubstituted alkynyl, etc.] were prepared from the compound II [R= OH], e.g., prepared from

romenzoic acid in 4 steps, with anthranilic acid Et ester followed by hydrolysis using NaOH afforded compound II (R = 2-carboxyphenylamino). In ACC (acetyl CoA carboxylase) inhibition assays, compound II (R = 2-carboxyphenylamino) exhibited the activity of 531. Compds. I are claimed useful for the

L4 ANSWER 2 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2005:1155549 CAPLUS DOCUMENT NUMBER: 143:405690 DOCUMENT NUMBER: TITLE: 143:405690 Preparation of phenoxyphenylacetamides as non-nucleoside reverse transcriptase inhibitors Dunn, James Patrick: Hirschfeld, Donald Roy; Silva, Tania; Sweeney, Zachary Kevin; Vora, Harit Roche Palo Alto LLC, USA INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent English 2 FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A1 20051027 US 2005-112591
A1 20051027 US 2005-112591
A1 2005103 WO 2005-EP4048
AM, AT, AU, AZ, BA, BB, BG, BR, BW,
CU, CZ, DE, DK, DM, DZ, EC, EE, BC,
HR, HU, ID, IL, IN, IS, JP, KE, KG,
LS, LT, LU, LV, MA, MD, MG, MK, NN,
CM, PG, PH, PL, PT, RO, RU, SC, SD,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, US 2005239881 WO 2005102989 20050422 W0 2005102999 AI 20051103 W0 2005-EF4048 20050415
W1 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CR, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, XM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LY, HA, HD, HG, HK, MN, MW, MZ, MZ, NI, NO, NZ, CM, PG, FH, PL, PT, NO, RU, SC, 5D, SE, SG, SK, SL, SH, ST, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, AZ, ZW, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RI, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GH, LU, LE, IS, IT, LT, LU, MC, NL, PL, PL, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, MI, KR, NE, SN, TD, TG
PRIORITY APPIN. INFO::

US 2004-565116P P 20040423

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I (X1 = 0; R1 and R2 independently = H, alkyl, haloalkyl, etc. or together R1 and R2 are -0-CH=CH- or -0-CH2CH2- with provisions; R3 and R4 independently = H, alkoxy, alkylthio, etc.: R5 = substituted aryl; Ar = substituted aryl] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of non-nucleoside reverse transcriptase. Thus, e.g., II was prepared by hydrolysis of III followed by chlorination and subsequent amidation using 4-amino-benzenesulfonamide. The inhibitory activity of I towards HIVI-RT was evaluated using radioactivity assay and it was revealed that selected compds. of the invention possessed ICSO values in the range of 0.0045 up to 0.027. I as inhibitor of non-nucleoside reverse transcriptase should prove useful in the treatment of HIV infection. Pharmaceutical compns. comprising I are disclosed. 867365-34-69
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(uses)
(preparation of phenoxyphenylacetamides as non-nucleoside reverse transcriptase inhibitors)
867365-54-6 CAPLUS

<12/14/2005>

IT

ANSWER 1 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) treatment of hyperlipidemia, diabetes, etc. 869577-82-29
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Usea)

(Uses) (preparation of benzene derivs. containing ar inhibitors for treatment of hyperlipidemia, diabetes, etc.)
RN 869577-82-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED reparation of benzene derivs. containing amide moiety as ACC

REFERENCE COUNT:

THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE AT FORMAT

ANSWER 2 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
3-Pyridinecarboxamide, N-[[4-[[(4-chloro-3-(3-chloro-5-cyanophenoxy)-2-fluorophenyl]acetyl]amino]-3-methylphenyl]sulfonyl]-, monohydrochloride
(9C1) (CA INDEX NAME)

• HC1

L4 ANSWER 3 OF 71 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 2005:1155548 CAPLUS DOCUMENT NUMBER: 143:416204

143:415204 Use of phenylacetamides as non-nucleoside reverse transcriptase inhibitors for treating retroviral

infections
Roche Palo Alto LLC, USA
U.S. Pat. Appl. Publ., 67 pp.
CODEN: USXXCO PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: Patent English 2

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE US 2005-112590 WO 2005-EP4048 US 2005239880 WO 2005102989 20051027 20051103 20050422 A1 A1 S102989 Al 2005103 WO 2005-EP4048 BY 20050412 AE. AG, AL, AH, AT. AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DH, DZ, EC, EE, ES, ES, FI, GB, GB, GE, GH, GR, ER, HU, ID, IL, IN, IS, JP, KE, KG, KH, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, HA, MD, MG, MK, MN, MY, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW EN, GR, GR, GR, CR, CY, CZ, DE, DK, EY, GH, GH, KE, LS, HY, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZY, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, CS, ST, SK, TR, SF, BJ, CF, CG, CI, CM, GA, GN, GQ, GY, ML, KR, KS, SN, TD, TG 20050415 PRIORITY APPLN. INFO.: US 2004-565116P US 2004-565117P P 20040423 P 20040423

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ANSWER 3 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

● HCl

ANSWER 3 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Title compds. I [X1 = 0, 5, CH2, C(0): Rl and R2 independently = H, alkyl, haloalkyl, etc. or together Rl and R2 are -O-CH:CH- or -O-CH2CH2- with provisions; R3 and R4 independently = H, alkoxy, alkylthio, etc.; R5 = alkyl, haloalkyl, cycloalkyl aryl or heteroaryl: Ar = (un)substituted aryl or heteroaryl: R5 = H, alkyl; addnl. details are given in the claims] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of non-nucleoside reverse transcriptase for use in treating or preventing an HIV infection, or treating AIDS or ARC. Although the methods of preparation are not claimed, .apprx.60 example prepns. are unded.

uded.
For example, II was prepared by hydrolysis of III followed by chlorination and subsequent amidation using 4-aminobenzenesulfonamide. The inhibitory activity of I towards HIV1-RT was evaluated using radioactivity assay and it was revealed that selected compds. of the invention possessed IC50 values = 0.0045-0.027.
867365-94-69

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses) (use of phenylacetamides as non-nucleoside reverse transcriptase inhibitors for treating retroviral infections) 867365-54-6 CAPLUS 3-Pyridinecarboxamide, N-[[4-[[[4-chloro-3-(3-chloro-5-cyanophenoxy]-2-fluorophenyl]acetyl]amino]-3-methylphenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2005:480040 CAPLUS DOCUMENT NUMBER: 143:90225

TITLE:

193390223
Pharmacophore, Drug Metabolism, and Pharmacokinetics
Models on Non-Peptide ATL, ATZ, and ATL/ATZ
Angiotensin II Receptor Antagonists
Berellini, Giulianor Cruciani, Gabriele: Mannhold,

AUTHOR (S):

Raimund
Laboratory for Chemometrics and Cheminformatics,
Department of Chemistry, University of Perugia,
Perugia, I-06123, Italy
Journal of Medicinal Chemistry (2005), 48 (13),
4389-4399
CODEN: JMCMAR: ISSN: 0022-2623
American Chemical Society CORPORATE SOURCE:

SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE: AB About 20:

Journal English

MENT TYPE: Journal Winder: Journal Bunder: Benglish About 20 nonpeptide angiotensin II receptor antagonists are in various stages of clin. development. Different modeling approaches were used to predict the pharmacophoric requirements for ATI (angiotensin II receptor subtype 1) affinity. However, to our knowledge, none was used to predict both the selectivity toward ATI and ATZ (angiotensin II receptor subtypes 2) receptor subtypes. In this paper, partial least squares discriminant anal. is applied to derive the chemical features guiding ATI and ATZ selectivity or mixed ATI/ATZ receptor binding. The method can be used to modulate ATI vs. ATZ selectivity. Concerns that unopposed stimulation of the ATZ receptor might produce adverse effects initiated a search for new balanced antagonists. Moreover, it can serve as a fast filtering procedure in database searches. Finally, some relevant pharmacokinetics and metabolic properties of the database of 53 compds. are calculated using the VolSurf and MetaSite software to allow the simultaneous characterization of pharmacodynamic and pharmacokinetics properties of the chemical space of angiotensin II receptor antagonists. 160632-64-1, 1732-68
RL: PAC (Pharmacological activity); PRT (Pharmacokinetics); PRP (Properties): TRU (Therapeutic use); BIOL (Biological study); USES (Uses) (L 732-68 pharmacophore, drug metabolism, and pharmacokinetics models on non-peptide ATI, ATZ, and ATI/ATZ angiotensin II receptor antagonists) 160632-64-4 CAPLUS
3-Pyridinecarboxamide, N-[{4*-(2-ethyl-4,6-dimethyl-1H-benzimidazol-1-yl)methyl][1,1*-biphenyl]-2-yl]sulfonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 4 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2005:472142 CAPLUS DOCUMENT NUMBER: 143:26639

143:26639
Preparation of N-acylsulfonamide apoptosis promoters
Bruncko, Milan; Ding, Hong; Elmore, Steven; Kunzer,
Aaron R.; Lynch, Christopher L.; Mcclellan, William;
Park, Cheol-Min; Petros, Andrew; Song, Xiaohong; Wang,
Xilu; Tu, Noah; Wendt, Michael D.
Abbott Laboratories, USA
PCT Int. Appl., 507 pp.
CODEN: PIXXD2
Patent
English TITLE: INVENTOR(S):

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
WO 2005049594		WO 2004-US37911	20041112			
W: AE, AG, AL,	AM, AT, AU, AZ,	BA. BB. BG. BR. BW.	BY, BZ, CA, CH,			
CN, CO, CR,	CU, CZ, DE, DK,	DM, DZ, EC, EE, EG,	ES, FI, GB, GD,			
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG,	KP, KR, KZ, LC,			
LK, LR, LS,	LT, LU, LV, MA,	MD, MG, MK, MN, MV,	MX, MZ, NA, NI,			
NO, NZ, OM,	PG, PH, PL, PT,	RO, RU, SC, SD, SE,	SG, SK, SL, SY,			
TJ, TM, TN,	TR, TT, TZ, UA,	UG, US, UZ, VC, VN,	YU, ZA, ZM. ZW			
RW: BW, GH, GM,	KE, LS, MV, M2,	NA, SD, SL, SZ, TZ,	UG, ZM, ZW, AM,			
AZ, BY, KG,	KZ, MD, RU, TJ,	TM, AT, BE, BG, CH,	CY, CZ, DE, DK,			
EE, ES, FI,	FR, GB, GR, HU,	IE, IS, IT, LU, MC,	NL, PL, PT, RO,			
SE, SI, SK,	TR, BF, BJ, CF,	CG, CI, CM, GA, GN,	GQ, GW, ML, MR,			
NE, SN, TD,	TG					
US 2005159427	A1 20050721	US 2004-988338	20041112			
PRIORITY APPLN. INFO.:		US 2003-519695P	P 20031113			
OTHER SOURCE(S): GI	MARPAT 143:26639)				

L4 ANSWER 5 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$z_1 \xrightarrow{0 \atop N} S_2 \xrightarrow{E_1} Y_1$$

Disclosed are N-acylsulfonamide compds. I [Al = N. CA2; one or two or three or each of A2, B1, D1 and E1 = R1, OR1, SR1, NRR1, etc., and the remainder = H, halo, CN, etc.; Y1 = H, CN, NO2, CO2H, etc.; or B1 and Y1, together with the atoms to which they are attached, — imidazole or triazole; one or two or each of A2, D1 and E1 = R1, OR1, SR1, etc., and the remainder = H, halo, CF3, etc.; R1 = Ph (un)fused with (hetero)arene, etc.; Z1 = substituted Ph (un)fused with (hetero)arene, heteroaryl (un)fused with (hetero)arene, etc.; Z1 = substituted Ph (un)fused with (hetero)arene, etc.; Z1 = substituted Ph (un)fused with (hetero)arene) which inhibit the activity of anti-apoptotic protein family member. compns. containing the compds. I and uses of the compds. I for preparing medicaments for treating diseases during which occurs expression of one or more than one anti-apoptotic protein family member. Over 450 synthetic examples were presented (no characterization data for intermediates). E.g., a multi-step synthesis of (IR)-II, statting from piperazine and Et 4-fluorobenzoate, was given. The compds. I were found to be inhibitors of anti-apoptotic Bcl-2X, protein and anti-apoptotic Bcl-2 (data given).

852810-24-3P 852810-28-PP 852810-29-PP (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

[preparation of N-acylsulfonamide apoptosis promoters)

(Uses)
[preparation of N-acylsulfonamide apoptosis promoters)
852810-24-3 CAPLUS
3-Pyridinecarboxamide, 6-[4-[(4'-chloro[1,1'-bipheny1]-2-y1)methy1]-1piperaziny1]-N-[(4-[(1R)-3-(dimethy1amino)-1[(pheny1thio)methy1)propy1]amino]-3-nitropheny1]sulfony1]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

14 ANSWER 5 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A

PAGE 1-B

852810-28-7 CAPLUS
3-Pyridinecarboxamide, 6-{4-{(4'-chloro[1,1'-biphenyl]-2-yl)methyl]-1-piperazinyl]-N-{(4-{(1N)-3-(4-morpholinyl)-1-(phenylthio)methyl)propyl]amino]-3-nitrophenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

PAGE 1-A

PAGE 1-B

852810-29-0 CAPLUS
3-Pyridinecarboxamide, 6-[4-[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]-1-piperazinyl]-N-[[4-[(1R)-3-[dimethylamino)-1[(phenylthio)methyl)propyl]amino]-3-(trifluoromethyl)phenyl]aulfonyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

DOCUMENT TYPE: LANGUAGE:

English 2 FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

P.	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
						-									_		
WC	2005	50495	93		A2		2005	0602	1	WO 2	004-	US36	770		2	0041	103
WC	2009	0495	93		A3		2005	0707							_		
	W:	AE,	AG.	AL.	AM.	AT.	AU.	AZ.	BA.	BB.	BG.	BR.	BV.	BY.	BZ.	CA.	CH.
										DZ,							
										IS,							
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										RU.							
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	RW	BW,															
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US	200	1594	27		A1		2005	0721		US 2	004-	9883	38		2	0041	112
IORIT	TY API	LN.	INFO	. :						US 2	003-	5196	95P	1	P 2	0031	113
HER S	OURC	3(5):			MAR	PAT	143:	2663	9						-		

Disclosed are N-acylsulfonamide compds. I [A1 = N, CA2; one or two or <12/14/2005>

L4 ANSWER 5 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

PAGE 1-A

PAGE 1-B

REFERENCE COUNT:

ANSWER 6 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) three or each of A2, B1, D1 and E1 = R1, OR1, SR1, NHR1, etc., and the remainder = H, halo, CN, etc., Y1 = H, CN, NO2, CO2H, etc., or B1 and Y1, together with the atoms to which they are attached, = imidazole or triazole; one or two or each of A2, D1 and E1 = R1, OR1, SR1, etc., and the remainder = H, halo, CF3, etc., R1 = Ph (un) fused with (hetero) arene, heteroary1 (un) fused with (hetero) arene, heteroary1 (un) fused with (hetero) arene, hetc., Z1 = substituted Ph (un) fused with (hetero) arene, heteroary1 (un) fused with (hetero) arene, which inhibit the activity of anti-apoptotic protein family members, compns. conty, the compds. I and use of the compds. I for preps. edicaments for treating diseases during which occurs expression of one or more than one anti-apoptotic protein family member. Over 440 synthetic examples were presented (no characterization data for intermediates). E.g., a multi-step synthesis of (IR)-II, starting from piperazine and Et 4-fluorobenzoate, was given. The compds. I were found to be inhibitors of anti-apoptotic Bc1-XL protein and anti-apoptotic Bc1-Z (data given). 82810-24-29 82810-28-97 82810-28-97 87810-28-979 87810-28-979

(Uses)
(preparation of N-acylsulfonamide apoptosis promoters)
852810-24-3 CAPLUS
3-Pyridinecarboxamide, 6-[4-[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]-1piperazinyl]-N-[[4-[(1R)-3-(dimethylamino)-1[(phenylthio)methyl]propyl]amino]-3-nitrophenyl]sulfonyl]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

L4 ANSWER 6 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued) PAGE 1-B

852810-28-7 CAPLUS
3-Pyridinecarboxamide, 6-[4-{(4'-chloro[1,1'-biphenyl]-2-yl)methyl]-1-piperazinyl]-N-[[4-{[(IR)-3-(4-morpholinyl)-1-[(phenylthio)methyl]propyl]amino]-3-nitrophenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 6 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

PAGE 1-B

L4 ANSWER 6 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued) PAGE 1-B

852810-29-8 CAPLUS
3-Pyridinecarboxamide, 6-{4-{(4'-chloro{1,1'-bipheny1]-2-y1)methyl}-1-piperazinyl]-N-[[4-[(IR)-3-(dimethylamino)-1-[(phenylthio)methyl]propyl]amino]-3-(trifluoromethyl)phenyl]sulfonyl}-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

L4 ANSWER 7 OF 71
ACCESSION NUMBER:
DOCUMENT NUMBER:
111LE:
2004:648518 CAPLUS
114:174066
Preparation of (aryloxyalkyl) furans and related compounds as EP4 receptor antagonists for treatment of migraines
Clark, David Edward; Clark, Kenneth Lyle; Coleman, Robert Alexander; Davis, Richard Jon; Fenton, Garry; Harris, Neil Victor: Bynd, George; Newton, Christoper Gregory; Oxford, Alexander William; Stuttle, Keith Alfred James; Sutton, Jonathan Mark
Pharmagene Laboratories Limited, UK
Pharmagene Laboratories Limited, UK
COODER: PIXXID2

DOCUMENT TYPE:
LANGUAGE:
Patent
English

English 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE

A1 20040812 WO 2004-GB347 20040129
AL. AL. AM. AM. AM. AT. AT. AU. AZ. AZ. BA. BB. BG.
BW. BY. BY. BZ. BZ. CA. CH. CH. CN. CO. CO. CR. CR.
CZ. DE. DE. DK. DK. DM. DZ. EC. EC. EE. EE. EG. ES.
GB. GD. GE. GE. GH. GH. HR. HH. HU. HU. ID. IL. IN.
XE. KE. KG. KG. KP. KP. KP. KP. KR. KR. KZ. KZ. KZ. KZ.
LS. LT. LU. LV. MA. MD. MD. MG. MK, MN, MW. MX, MX.
NI

AB. 20040812 CA. 2004-2514220 200401872 PATENT NO. W0 2004067524

W: AE, AE, AG,
BG, BR, BR,
CU, CU, CZ,
ES, FI, FI,
IS, JP, JP,
LK, LR, LS,
MZ, MZ, NA,
CA 2514220

US 2004192767
PRIORITY APPLIN. INFO::

CA 2004-2514220 US 2004-766030 GB 2003-2094 US 2003-443872P US 2003-509521P 20040812 20040930

20040129 20040129 20030129 20030131 20031009 20040129 US 2003-509521P WO 2004-GB347

MARPAT 141:174066 OTHER SOURCE(S):

Habte

(Continued)

L4 ANSWER 7 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Title compds. I [wherein R2 = H, [un] substituted alkyl; Y = (CR2)nM, NRM1, CONNR2; n = 1, 2; X = 0, 5, 50, 502; RN1 = H, [un] substituted alkyl; RN2 = H, (un) substituted alkyl; aryl; R3 = (un) substituted alkyl; RN2 = H, (un) substituted alkyl; aryl; R3 = (un) substituted aryl linked to an (un] substituted aryl group, wherein if both aryl groups are benzene rings, there may be an 0 bridge between the two fines of the control of the con

L4 ANSWER 8 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2003:928962 CAPLUS DOCUMENT NUMBER: 140:163801

DOCUMENT NUMBER:

TITLE:

Palladium-catalyzed intramolecular c-arylation

AUTHOR(S):

CORPORATE SOURCE: SOURCE:

CODEN: JORCAI; ISSN: 0022-328X Elsevier Science B.V.

PUBLI SHER: DOCUMENT TYPE:

OTHER SOURCE(S):

English CASREACT 140:163801

The palladium-catalyzed cyclization of N-[2-bromobenzyl]- and N-[2-bromobenzoyl]sulfominines afforded six-membered heterocycles I (X = CH; R1 = H; NO2, OME: R2 = H; R1 = H; R2 = Bu; X = N; R1 = R2 = H) and II (X = CH; N: R1 = R2 = CH; R1 = OME) in moderate to good yield. In both cases, the α -stylations of the sulfoximine Me groups are catalyzed by combination of Pd(OAc) 2 and rac-BINAP, in the presence of a base. \$54084-10-3P\$

RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT

Reactant or reagent)
(Reactant or reagent)
(preparation of (bromobenzoyl)methyl(phenyl) sulfoximines via
N-benzoylation
of methyl(phenyl)sulfoximine with benzoyl chlorides in the preparation of benzothiaxinone oxides)
RN 654084-10-3 CAPUS
CN Sulfoximine, N-[(2-bromo-3-pyridinyl)carbonyl]-S-methyl-S-phenyl- (9CI)
(CA INDEX NAME)

REFERENCE COUNT:

33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 9 OF 71
ACCESSION NUMBER:
DOCUMENT NUMBER:
138:397327
TITLE:
Protain and cDNA sequences of a human gene EIT-6 and use for treating estrogen-dependent breast cancer
INVENTOR(S):
PATENT ASSIGNEE(S):
DOCUMENT TYPE:
POWNER PRODUCT STATES OF THE PRODUCT STATE LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2003042363 A2 A3 20030522 WO 2002-US35899 20021108 W0 2003042363 A2 20030322 W0 2002-0335899 Z0021108

W1 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DW, DZ, EZ, EE, ES, FI, GB, GD, GE, GH, GH, HR, HU, 1D, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LK, LS, LT, LU, LV, HA, MD, MG, HK, HN, HV, KK, HZ, NO, NZ, OH, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, RA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GH, KE, LS, MW, HZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, HC, NL, PT, SE, SK, TR, BF, BJ, CT, CA 2465912 AA 20030522 CA 2002-465912 20021108

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, LS, ST, LT, LV, PI, NO, MR, CY, AL, TR, BG, CZ, EE, SK

US 2004249139 A1 20041209 US 2004-494930

RITY APPLN. INFO: US 2001-337764P P 20011109

The invention provides protein and cDNA sequences of a human gene EIT-6 WO 2003042363 20031120 US 2004248139 PRIORITY APPLN. INFO.:

The invention provides protein and cDNA sequences of a human gene EIT-6. Also featured by the invention are methods of inhibiting the activity and expression of EIT-6. The invention also provides pyridine-2,5-dicarboxylic acid analogs and their use in treating estrogen-dependent

treast cancer.
138834-73-8 138834-74-9 138834-75-0
138834-76-1 138834-77-2 152457-91-5
527687-33-8 527687-34-9 527687-33-0

527687-36-1
RL: PAC (Pharmacological activ): PRP (Properties): THU (Therapeutic use): BIOL (Biological study): USES (Uses)
(protein and cDNA sequences of human gene EIT-6 and use for treating estrogen-dependent breast cancer)
138834-73-8 CAPUS
2-Pyridis Descarboxylic acid, 5-[[(methylsulfonyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

Habte

L4 ANSWER 9 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

13834-74-9 CAPLUS
2-Pyridinecarboxylic acid, 5-{[[(1-methylethyl)sulfonyl]amino]carbonyl]-(9C1) (CA INDEX NAME)

138834-75-0 CAPLUS
2-Pyridinecarboxylic acid, 5-[[(phenylsulfonyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

138834-76-1 CAPLUS 2-Pyridinecarboxylic acid, 5-{{[[phenylmethyl)sulfonyl]amino]carbonyl]-(SCI) (CA INDEX MAME)

138834-77-2 CAPLUS 2-Pyridinecarboxylic acid, 5-[[(1-naphthalenylsulfonyl)amino]carbonyl]-(9C1) (CA INDEX NAME)

ANSWER 9 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2-Pyridinecarboxylic acid, 5-[[[(5-chloro-2-thienyl) = ulfonyl) amino] carbony 1]- [9:1] (CA INDEX NAME)

527687-35-0 CAPLUS
2-Pyridinecarboxylic acid, 5-{[[(4,5-dibromo-2-thienyl)sulfonyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

527687-36-1 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[4-(4,7-dichloro-2-quinolinyl]phenyl]phenyl]smino]carbonyl]- (9CI) (CA INDEX NAME)

ANSWER 9 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

152457-91-5 CAPLUS 2-Pyridinecarboxylic acid, 5-[[[(4-methoxyphenyl)sulfonyl]amino]carbonyl]-(9C1) (CA INDEX MAME)

527687-33-8 CAPLUS 2-Pyridinecarboxylic acid, 5-[[(8-quinolinylaulfonyl)amino]carbonyl]-(SCI) (CA INDEX NAME)

RN 527687-34-9 CAPLUS

L4 ANSWER 10 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:282278 CAPLUS
DOCUMENT NUMBER: 119:282805
ITILE: Preparation of N-thionicotinamide derivatives as pesticides
Beckmann, Marion; Ort, Oswald; Doeller, Uwe;
Krautstrunk, Gerhard; Schaper, Wolfgang; Luemmen,
Peter; Jans, Daniela; Hempel, Waltraud; Waibel, Jutta
Maria; Loerkens, Barbara
PATENT ASSIGNEE(S): Bayer CropScience GabH, Germany; et al.
PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO	o.	KIND	DATE	APPL	ICATION	NO.	D/	TE
WO 200302	28458	A1	20030410	WO 2	002-EP10	279	20	020913
W: /	ME, AG, AL,	AM. AU	. AZ. BA.	BB. BR.	BY. BZ.	CA. CN.	co.	CR. CU.
1	DM, DZ, EC	GD. GE	. HR. HU.	ID. IL.	IN. IS.	JP. KG.	KP.	KR. KZ.
	LC, LK, LR							
	RO, RU, SG							
	GH, GM, KE							
	KG, KZ, MD,							
	FI, FR, GB,							BJ, CF,
	CG, CI, CM,							
DE 10146	873	A1	20030417	DE 2	001-1014	6873	20	010924
EP 14323	13	A1	20040630	EP 2	002-7624	75	20	020913
	AT, BE, CH,							
	IE. SI. LT							
JP 200550	D4104	T2	20050210	JP 2	003-5318	11	20	020913
US 20031	19852	A1	20030626	US 2	002-2462	20	20	0020918
	92712							
PRIORITY APPLI					001-1014			
INIONIII MII								
					002-EP10			
					002-2462	20	B1 20	JU20918
OTHER SOURCE (5):	MARPAT	138:2828	05				

The N-thionicotinamide derivs. I and II [X = CH or N; Y = O or S; n = 0 or l; n = n or 2; R1 = halo, (halo) alkyl, etc.; R2, R3 = H, halo, (halo) alkyl, etc.; R4 = H, un(substituted) (cyclo) alkyl, alkemyl, alkynyl aryl, heterocyclyl or alkanoyl; R5 = H, (un) substituted alkyl, alkemyl, alkynyl; etc. R6 = H, (un) substituted (cyclo) alkyl, etc.] are prepared as

L4 ANSWER 10 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) insecticides, acaricides and veterinary parasiticides.

IT 506427-14-1
RL: RCT (Reactant); RACT (Reactant or reagent) (reactant in preparation of N-thionicotinamide pesticide)
RN 506427-14-1 CAPLUS
CN Sulfilmine, S,S-bis(1-methylpropyl)-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

The invention concerns compns. containing an azole herbicide I [R = H or alkoxycarbonyl; Rl = H, (halo)alkyl, (halo)alkenyl, (halo)alkynyl, alkoxyalkyl, alkylthio, etc.; R2 = halo, nitro, cyano, (halo)alkyyl, (halo)alkenyl, (halo)alkynyl, alkoxyalkyl, etc.; q = 0,1-4] and a safener II [X = CH or N: R3 = H, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl; R3NR4 = pyrcolidinyl or piperidinyl; R5 = halo, nitro, (halo)alkyl, (halo)alkoxy, alkylsulfonyl, alkoxycarbonyl or alkylarbonyl; R6 = H alkyl, alkenyl or alkynyl; R7 = R5, cycloalkyl, Ph, cyano, alkylthio or alkylsulfinyl; s = 0.1 or 2; o = 1 or 2].

S00905-91-9 500905-92-0
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses) (safened herbicide)
500905-91-9 CAPLUS
3-Pyridinecarboxamide, 2-methoxy-N-[[4-[[(1-methylethyl)amino]carbonyl]phe nyl]sulfonyl]-, mixt. with (5-cyclopropyl-4-isoxazolyl) [2-(methylsulfonyl)-4-(trifluoromethyl)phenyl]methanone (9CI) (CA INDEX NAME)

IT

CH 1

CRN 221670-20-8 CMF C17 H19 N3 O5 S

CM 2

CRN 141112-29-0 CMF C15 H12 F3 N O4 S

<12/14/2005>

L4 ANSWER 11 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:221441 CAPLUS
DOCUMENT NUMBER: 118:216842
INVENTOR(S): 22 Lener, Frank: Willes, Lother: Rosinger, Christopher:
Bieringer, Hermann Hacker, Ervin
Bayer CropScience GabH, Germany
PCT Int. Appl., 39 pp.
COUNENT TYPE: Patent
LNNGUAGE: PATENT LNFORMATION:
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S):

PAT	ENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		ŧ	ATE	
						-									-		
WO	2003	0220	50		A1		2003	0320	1	vo :	2002-	EP99	73		- 2	20020	906
	¥:	AE,	AG,	AL,	AM.	AU.	AZ.	BA.	BB.	BR.	BY,	BZ.	CA.	CN.	α,	CR,	CU,
											IN,						
											MN.						
											US.						
							RU,										-
	RV:									SZ.	TZ,	UG.	ZM.	ZV.	AT.	BE.	BG.
											GB.						
											CH,						
			SN.						,			,					
DE	1014	5019	-		A1		2003	0403		DE :	2001-	1014	5019		- 2	20010	913
CA	2460	481			AA		2003	0320	,	CA :	2002-	2460	481		- 2	20020	906
EP	1427	281			A1		2004	0616		EP :	2002-	7648	74		- 2	20020	906
											. IT.						
											TR.						
BR	2002										2002-						906
CN	1553	769			A		2004	1208		CN :	2002-	8178	99		- 3	20020	906
JP	2005	5019	10		T2		2005	0120		JP :	2003-	5261	92		- 1	20020	906
											2002-						
US	6914	035			B2		2005	0705									
RIT	Y APP	LN.	INFO	. :						DĒ :	2001-	1014	5019		A 2	20010	913
-											2002-						
	w.m.c.																

MARPAT 138:216842

L4 ANSWER 11 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

500905-92-0 CAPLUS
3-Pyridinecarboxamide, N-[[4-[(cyclopropylamino)carbonyl]phenyl]sulfonyl]-2-methoxy-, mixt. with (5-cyclopropyl-4-isoxazolyl)[2-[methylsulfonyl]-4-[trifluoromethyl)phenyl]methanone [9CI] (CA INDEX NAME)

CH 1

CRN 221670-23-1 CMF C17 H17 N3 O5 S

CH 2

CRN 141112-29-0 CMF C15 H12 F3 N O4 S

L4 ANSVER 11 OF 71 CAPLUS COPYRIGHT 2005 ACS ON STN (CONTINUED)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

138:204839
Preparation of benzamides affecting glucokinase for combined treatment or prevention of type 2 diabetes combined treatment or prevention of type 2 diabetes and obesity
Boyd, Scott; Caulkett, Peter William Rodney;
Bargeaves, Rodney Brian: Bowker, Suzane Saxon;
James, Roger; Johnstone, Craig; Jones, Clifford David;
McKerrecher, Darren: Block, Michael Howard
Astrazeneca AB, Swed.; Astrazeneca UK Limited
PCT Int. Appl., 156 pp.
CODEN: PIXXD2
Parent INVENTOR(5): PATENT ASSIGNEE(S): DOCUMENT TYPE: LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE DATE PRIORITY APPLN. INFO.: JP 2003-520733 WO 2002-GB3745 A3 20020815 20020815 OTHER SOURCE(S): MARPAT 138:204839

L4 ANSWER 12 OF 71 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 2003:154243 CAPLUS DOCUMENT NUMBER: 138:204839

DOCUMENT NUMBER: TITLE:

L4 ANSWER 12 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) C(0) NHR3 (R²) n

The invention relates to the use of benzamides (shown as I, variables defined below; e.g. 2-[[3,5-di(2-chlorobenzyloxy)benzoyl]amino]thiazole or a salt, solvate or prodrug thereof, in the preparation of a medicament

or a salt, solvate or prodrug thereof, in the preparation of a medicament the treatment or prevention of a disease condition mediated through glucokinase (GLK; no data), such as type 2 diabetes, and to the compds. I and methods for preparing them. Twelve pharmaceutical compns. are included. For I: mis 0-2: n is 0-4: nad n + m > 0; each R1 = 0H, -(CH2)1-40H, -CH3-aFa, -(CH2)1-44CH3-aFa, -CCH3-aFa, halo, C1-6alkyl, C2-6alkynyl, NEZ, -NH-C1-4alkyl, -N-di (C1-4alkyl). CN, formyl, Ph or heterocyclyl optionally substituted by C1-6alkyl. Each R2 is the group Y-X- wherein each X is a linker = -0-Z-, -0-Z-0-Z-, -C(0)0-Z-, -CC(0)-Z-, -CC(0)

(drug candidate; preparation of benzamides affecting glucokinase for combined treatment or prevention of type 2 diabetes and obesity) <12/14/2005>

ANSWER 12 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 499991-22-9 CAPLUS 3-Pyridin eacaboxamide, 6-{{3-(1-methylethoxy)-5-(2-methylpropoxy)benzoyl}amino}-N-(methylsulfonyl)- (9C1) (CA INDEX NAME)

499991-27-4 CAPLUS 3-Pyridinecarboxamide, 6-{[3-(1-methylethoxy)-5-[2-(3-thienyl)lethoxylbenzoyl]amino]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

49991-28-5 CAPLUS
3-Pyridinecarboxamide, N-[(4-fluorophenyl)sulfonyl]-6-[[3-(1-methylethoxy)-5-[2-(3-thienyl)ethoxy]benzoyl]amino]- (9CI) (CA INDEX NAME)

499991-29-6 CAPLUS 3-Pyridinecarboxamide, 6-[[3-(1-methylethoxy)-5-[2-(3-thienyl)ethoxy)benzoyl]aminoj-N-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

499991-30-9 CAPLUS
3-Pyridinecarboxamide, 6-{[3-(1-methylethoxy)-5-[2-(3-thienyl)ethoxy]benzoyl]amino]-N-(3-pyridinylmulfonyl)- (9CI) (CA INDEX

499991-31-0 CAFIUS
3-Pyridinecarboxamide, N-[(3,5-dimethyl-4-isoxazolyl)sulfonyl]-6-[[3-(1-methylethoxy)-5-[2-(3-thienyl)ethoxy]benzoyl]amino]- (9CI) (CA INDEX

499991-32-1 CAPLUS
3-Pyridinecarboxaside, N-[(5-chloro-1,3-dimethyl-1H-pyrazol-4-yl)sulfonyl]-6-[[3-(1-methylethoxy)-5-[2-(3-thienyl)sthoxy]benzoyl]amino]- (9CI) (CA

L4 ANSWER 13 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2003:5781 CAPLUS DOCUMENT NUMBER: 138:73179

DOCUMENT NUMBER: TITLE:

INVENTOR (S):

138:73179
Preparation of phenylvinyl-nicotinic acid derivatives for therapeutic use glucokinase (GLK) activators Hayter, Barry Raymonic Currie, Gordon Stuart; Hargteaves, Rodney Brian: Caulkett, Peter William Rodney: James, Roger Astrazeneca AB, Sved.; Astrazeneca UK Limited PCT Int. Appl., 79 pp.
CODEN: PIXXOZ

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA'	TENT :															ATE	
						-									-		
WO	2003	0002	62					WO 2002-GB2903									
	w:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		α,	CR,	Cυ,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	w,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG.	US,	UZ.	VN.	YU.	ZA.	ZM.	ZV.	AM,	AZ.	BY.	KG.	KZ.	MD.	RU.
		TJ,															
	RV:	GH,	GΝ,	KE,	LS,	MW,	MZ,	SD,	SL,	52,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
		CY,	DE,	DX,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	w,	MC,	NL,	PT,	SE,	TR,
		BF,	BJ,	CF,	Œ,	CI,	CH,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
EP	1406	620			A1		2004	0414		EP 2	002-	7433	77		2	0020	624
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	w,	NL,	SE,	MC.	PT,
		IE.	SI.	LT.	LV.	FI.	RO.	MK.	CY.	AL.	TR						
JP	2005	5003	11		T2		2005	0106		JP 2	003-	5069	07		2	0020	624
us	2005	0547	15		A1		2005	0310		US Z	004-	4822	64		2	0040	806
PRIORIT	Y APP	LN.	INFO	. :						SE 2	001-	2299			A Z	0010	626
											002-						
OTHER S	OURCE	(5):			MAR	PAT	138:	7317	9								

Phenylvinyl-nicotinic acid derivs., such as [R1 = OH, (CH2)1-4OH, NO2, NH2, haloalkyl, haloalkyloxy, alkyl, alkenyl, alkylamino, etc.; R2 = X-Y; <12/14/2005>

ANSWER 12 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

49991-33-2 CAPLUS
3-Pytidinecarboxanide, N-{(2-fluorophenyl)sulfonyl}-6-{(3-(1-methylethoxy)-5-(2-(3-thienyl)ethoxy)benzoyl]amino}- (9CI) (CA INDEX NAME)

499991-34-3 CAPLUS
3-Pyridinecarboxamide, N-[(5-chloro-2-thienyl)sulfonyl]-6-[{3-(1-methylethoxy)-5-[2-(3-thienyl)ethoxy]benzoyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) X = linking group, such as 0, CO, amino, Z-O-Z, etc; Z = alkylene, alkenylene, etc.; R = O-2; n = O-4; n + n > 0], as well as other phenylinyl-heteroaryl derivs, vere prepd. for pharmaceutical use in the treatment of diseases or conditions mediated through glucokinase (GLK), such as type Z diabetes. Thus, nicotinic acid deriv. II (R3 = OH) was prepd. via condensation of Me 6-methylnicotinate with PhO-3-CGHCHO using AcOH at 120° for 24 h to give the corresponding Me ester II (R3 = CMe) in 49% yield, Collowed by hydrolysis of the ester using IM aq. NaOH in THE to give the desired acid in 76% yield. The prepd. compds. were assayed for their effect on GLK activity, and pharmaceutical comps. of the prepd. compds. were presented. 479723-33-69* RL: PAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses)

(Uses) (preparation of phenylvinyl-nicotinic acid derivs. for therapeutic use glucokinase (GLK) activators) 479723-33-5 CAPLUS

4/9/23-33-6 CAPLUS
3-Pyridinecarboxamide, N-(methylsulfonyl)-6-[(1E)-2-[5-{methylthio}-2-(phenylmethoxy)phenyl]ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT: THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 14 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2002:505411 CAPLUS DOCUMENT NUMBER: 137:78769

Preparation of N-arylcarbonyl- and heteroarylcarbonyl benzenesulfonamide inhibitors of Bcl-Xl and Bcl-2 as

INVENTOR(S):

benzenesulfonamide inhibitors of Bcl-XI and Bcl-2 as promoters of apoptosis Augeri, David J.; Baumeister, Steven A.; Bruncko, Milan; Dickman, Daniel A.; Ding, Hong; Dinges, Jurgen; Fesik, Stephen W.; Hajduk, Philip J.; Kunzer, Aaron R.; McClellan, William; Netteshein, David G.; Oost, Thorsten; Petros, Andrew H.; Rosenberg, Saul H.; Wang, Shen; Thomas, Sheela A.; Wang, Xilu; Wendt, Michael D. Abbott Laboratories, USA U.S. Pat. Appl. Publ., 126 pp. CODEN: USXXXCO

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 20020704 20040413 20040930 US 2002086887 A1 B2 US 2001-957276 20010920 US 6720338 US 2004192681 US 2004-820097 US 2000-233866P US 2001-957276 20040407 PRIORITY APPLN. INFO.: A3 20010920 OTHER SOURCE(S): MARPAT 137:78769

N-aryl- and N-heteroarylcarbonyl benzenesulfonamides I [A = (un) substituted Ph, 5- or 6-membered heterocyclic ring with 1-3 N, O, or S

L4 ANSWER 14 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 14 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) atoms: R1 = alkyl, haloalkyl, NO2, NR6R7; R2, R3 = H, alkyl, alkenyl, alkynyl, alkony, alkylthio, etc.; R4 = aryl, arylalkenyl, arylalkony, cycloalkenyl, cycloalkyl, halo, heterocyclyl, heterocyclyloxy, R5 = H, alkyl, halo; R6, R7 = H, alkyl, alkonyalkyl, alkonyacarbonylalkyl, alkyl, heterocyclyloxy, R5 = H, alkyl, halo; R6, R7 = H, alkenyl, alkonyalkyl, alkonycarbonylalkyl, alkyl, piperidinyl, pyrrolidinyl, etc.] are prepd. Over 500 I are prepd. E.g., N-biphenylacarbonyl benzenesulfonamide II was prepd. by Pd-catalyzed coupling of 4-FCGH4B(OH)2 and 4-B-CGH4COZMe, hydrolysis of the ester with LiOH, acylation of 4-chloro-3-nicrobenzenesulfonamide with the resulting acid in the presence of EDCI and DMAP, and nucleophilic arom. substitution of the chlorobenzenesulfonamide with 2, 2-dimethylcyclopentylanine. Compds. of the invention inhibit Bcl-X1 with ICSO values between 0.011 μM and 10 μM, and inhibit Bcl-2 with ICSO values between 0.017 μM and 10 μM.
406230-32-8P 406230-65-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Therapeutic use); BIOL (Biological Study); row \\
(Uses) (Uses) (Preparation of N-aryl- and heteroarylcarbonyl benzenesulfonamide inhibitors of Bcl-Xl and Bcl-2 as promoters of apoptosis)
RN 406230-32-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-([(1R)-5-amino-1-([phenylthio]sethyl]pentyl]amino]-3-nitrophenyl]sulfomyl]-6-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

406230-66-8 CAPLUS
3-Pyridinecarboxamide, 6-(4-fluorophenyl)-N-[[3-nitro-4-[[2-(phenylthio)ethyl]amino]phenyl]-ulfonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 15 OF 71 CAPLUS COPYRIGHT 2005 ACS on STM
ACCESSION NUMBER:
DOCUMENT NUMBER:
136: 355074
TITLE:
136: 355074
Preparation of N-arylcarbonyl- and heteroarylcarbonyl benzenesulfonamide inhibitors of Bcl-Xl and Bcl-2 as promoters of apoptosis
Augeri, David J.; Baumeister, Steven A.; Bruncko, Milan; Dickman, Daniel A.; Ding, Hong; Dinges, Jurgen; Fesik, Stephen W.; Hajduk, Philip J.; Kunzer, Aaron R.; McClellan, William; Netteshein, David G.; Oost, Thorsten: Petros, Andrew M.; Rosenberg, Saul H.; Shen, Wang; Thomas, Sheela A.; Wang, Xilu; Wendt, Michael D.
USA
SOURCE:
USA
USA
2002:35e097 CAPLUS
136:355074
Preparation of N-arylcarbonyl- and heteroarylcarbonyl benzenesulfonamic inhibitors of Bcl-Xl and Bcl-2 as promoters of Jungen; David G., Oost, Thorsten: Petros, Andrew M.; Rosenberg, Saul H.; Shen, Wang; Thomas, Sheela A.; Vang, Xilu; Wendt, Michael D.
USA
USA
2002:35e097 CAPLUS
2002:35e0

U.S. Pat. Appl. Publ., 126 pp., Cont.-in-part of U.S. Ser. No. 666,508.
CODEN: USXXCO

Patent

DOCUMENT TYPE: LANGUAGE: English 2

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 2001-935581 CA 2001-2423103 WO 2001-US29432 US 2002055631 20020509 20020328 20020328 20020926 A1 AA A2 A3 20010824 CA 2423103 WO 2002024636 WO 2002024636 20010920 V: AE, AG, AL,
CO, CR, CU,
GM, HR, HU,
LS, IT, LU,
PT, RO, RU,
UZ, VN, VU,
RW: GH, GM, KE,
DE, DX, CF,
CG,
AU 2001091151
EP 1318978
R. WO 2002024636 A3 20020926

V: AE, AG, AL, AM, AT, AU, A2, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, BC, EE, ES, FI, GB, GD, GE, GH, CM, HR, BU, ID, IL, IN, IS, JP, KB, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, HD, MG, MK, MM, MM, MX, MZ, NO, NZ, PH, LP, PT, RO, RU, SD, SE, SG, SI, SK, SI, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DX, SE, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BB, CB, CY, CW, AT, BE, CH, CY, DB, CF, CG, CI, CM, GA, GN, GQ, GW, HL, MR, NE, SN, TD, TG

AU 20010911S1 AS 20020402 AU 2001-911S1 20010920

EP 1318978 A2 20030618 EP 2001-971244 20010920

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, JP 2004529852 T2 20040930 JP 2002-529049 8D 2001-01010 A 20050607 BR 2001-10101 20010920

PRIORITY APPLN. INFO::

CTHER SOURCE(S):

MARPAT 136:355074 OTHER SOURCE(S): MARPAT 136:355074

L4 ANSWER 15 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

N-aryl- and N-heteroarylcarbonyl benzenesulfonamides I {A = (un)substituted Ph. 5- or 6-membered heterocyclic ring with 1-3 N, O, or S atoms: R1 = alkyl, haloalkyl, NO2, NR687; R2, R3 = H, alkyl, alkenyl, alkynyl, alkynyl, alkynyl, alkynyl, alkynyl, alkynyl, arylalkoxy, cycloalkenyl, cycloalkyl, halo, heterocyclyl, heterocyclyloxy: R5 = H, alkyl, halo; R6, R7 = H, alkenyl, alkoxyalkyl, alkoxycarbonylalkyl, alkyl, heterocyclyl, etc.: R6R7N = imidazolyl, morpholinyl, piperazinyl, piperidinyl, pyrrolidinyl, etc.) are prepared Over 500 I are prepared

N-biphenylcarbonyl benzenesulfonamide II was prepared by Pd-catalyzed coupling of 4-FCGH4B(GH)2 and 4-BrCGH4COZMe, hydrolysis of the ester will LOH, acylation of 4-chloro-3-nitrobenzenesulfonamide with the resulting acid in the presence of EDCI and DMAP, and nucleophilic aromatic intrice.

of the chlorobenzenesulfonamide with 2,2-dimethylcyclopentylamine.
Compds. of the invention inhibit Bcl-X1 with IC50 values between 0.011
µM and 10 µM, and inhibit Bcl-2 with IC50 values between 0.017 µM

pM and to pm, and Annabara and 10 pM, and 20 pm, and 10 pm, and 10

(Uses)
(preparation of N-aryl- and heteroarylcarbonyl benzenesulfonamide inhibitors
of Bcl-Xl and Bcl-2 as promoters of apoptosis)
RN 406230-32-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[{4-[([1R)-5-amino-1-([(phenylthio)methyl]pentyl]amino]-3-nitrophenyl]sulfonyl]-6-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

ANSVER 16 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
SSION NUMBER: 2002:328512 CAPLUS
138:99662
E: Synthesis and antibacterial activity of
2-(arylthioureido)-3-(p-toluenesulfonamidocarbonyl)pyr
idines

AUTHOR (S): CORPORATE SOURCE:

2-(arytthioureido)-3-(p-toluenesulfonamidocarbonyl)py idines Patel, N. B., Bhagat, P. R. Department of Chemistry, South Gujarat University, Surat, 395007, India Journal of Indian Council of Chemists (2001), 18(1), 56-58 CODEN: JICCET: ISSN: 0971-5037 Indian Council of Chemists SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): English CASREACT 138:89662

Title compds. I (R = H, 4-CO2H, 2-CMe, 4-CMe, 2-He, 3-He, 4-Me, etc.) were prepared from the 2-chloropytidine analogs and arylthioureas. Antibacterial activity acreening for all I was carried out.
484650-13-79 484650-14-89 484650-13-99
484650-13-99 484650-20-69 484650-17-19
484650-22-89 484650-20-69 484650-24-09
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREF (Preparation) (preparation and antibacterial activity of 2-(arylthioureido)-3-(p-toluenesulfonamidocarbomyl)pyridines)
3-Pyridinearchoxamide. N-((4-methylphenyl)sulfonyl)-2-[[(phenylamino)thioxomethyl]amino]- (9CI) (CA INDEX NAME)

484650-14-8 CAPLUS
Benzoic acid, 4-[[[[3-{{[(4-methylphenyl)sulfonyl]amino]carbonyl]-2-pyridinyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

406230-66-8 CAPLUS
3-Pyridinecarboxamide, 6-(4-fluorophenyl)-N-[[3-nitro-4-[[2-(phenylthio)ethyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

484650-15-9 CAPLUS

3-Pyridinecarboxamide, 2-[[[(2-methoxyphenyl)amino]thioxomethyl]amino]-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

484650-16-0 CAPLUS
3-Pyridinecarboxamide, 2-[[[(4-methoxyphenyl)amino]thioxomethyl]amino]-N[(4-methylphenyl)aulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 494650-17-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[(2-methylphenyl)amino]thioxomethyl]amino]-N[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 484650-18-2 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[(3-methylphenyl)amino]thioxomethyl]amino]-N[(4-methylphenyl)aulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 484650-21-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[(4-methylphenyl)sulfonyl]-2-[[[(3-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 484650-22-8 CAPLUS
CN 3-Pycidinecarboxamide, N-[(4-methylphenyl)sulfonyl]-2-[[[(4-ntrophenyl)smino]thioxomethyl]maino]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 484650-19-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[(4-methylphenyl)amino]thioxomethyl]amino]-N[(4-methylphenyl)aulfonyl]- (9CI) (CA INDEX NAME)

RN 48455-20-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[(4-methylphenyl)sulfonyl]-2-[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 484650-23-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[(3-chlorophenyl)amino]thioxomethyl]amino]-N[(4-methylphenyl)sulfonyl]- (9Cl) (CA INDEX NAME)

RM 484650-24-0 CAPLUS
CM 3-Pyridinecarboxamide, 2-[[[(4-chlorophenyl)amino]thioxomethyl]amino]-N[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

113513-63-6

RE: RCT (Reactant): RACT (Reactant or reagent)
(preparation and antibacterial activity of 2-(arylthioureido)-3-(ptoluenesulfonamidocarbonyl) pyridines)
113513-63-6 CAPLUS

3-Pyridinecarboxamide, 2-chloro-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

N-aryl- and N-heteroarylcarbonyl benzenesulfonamides I [A = (un)substituted Ph, 5- or 6-membered heterocyclic ring with 1-3 N, O, or S atoms: R1 = alkyl, haloalkyl, NO2, NN6R7: R2, R3 = H, alkyl, alkenyl, alkoxy, alkylthio, etc.: R4 = aryl, arylalkenyl, arylalkoxy, cycloalkenyl, cycloalkyl, halo, heterocyclyl, heterocyclyloxy: R5 = H, alkyl, halo: R6, R7 = H, alkenyl, alkoxyalkyl, alkoxycarbonylalkyl, pheterocyclyl, etc.: R6R7N = imidazolyl, morpholinyl, piperazinyl, piperidinyl, pyrrolidinyl, etc.] are prepared Over 500 I are prepared

plperidinyl, pyrrolidinyl, etc.] are prepared Over 500 I are prepared , N-biphenylcarbonyl benzenesulfonamide II was prepared by Pd-catalyzed coupling of 4-FC6H48(GH)2 and 4-BrC6H40C2Me, hydrolysis of the ester with LiOH, acylation of 4-chloro-3-nitrobenzenesulfonamide with the resulting acid in the presence of EDCI and DMAP, and nucleophilic aromatic bitution of the chlorobenzenesulfonamide with 2,2-dimethylcyclopentylamine. Compds. of the invention inhibit Bc1-Xl with IC50 values between 0.011 µM and 10 µM, and inhibit Bc1-Z with IC50 values between 0.017 µM and 10 µM.
406230-32-8P 406230-66-8P
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-aryl- and heteroarylcarbonyl benzenesulfonamide

(Uses) (Dees) (D

Absolute stereochemistry. .

ANSWER 17 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN SSION NUMBER: 2002:240717 CAPLUS MENT NUMBER: 136:279215

DOCUMENT NUMBER: TITLE: Preparation of N-arylcarbonyl- and heteroarylcarbonyl benzenesulfonamide inhibitors of Bcl-Xl and Bcl-2 as

benzenesulfonamide inhibitors of Bcl-Xl and Bcl-2 as promoters of apoptosis McClellan, Villiam: Oost, Thorsten: Bruncko, Milan: Wang, Xilu: Augeri, David J.: Baumeister, Steven A.; Dickman, Daniel A.: Ding, Hong: Dinges, Jurgen: Fesik, Stephen W.: Hajduk, Philip J.: Kunzer, Aaron R.: Netteshein, David G.: Petros. Andrew M.: Rosenberg, Saul H.: Shen, Wang: Thomas, Sheela A.: Wendt, Michael D.

D. Abbott Laboratories, USA PCT Int. Appl., 292 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT:

GI

INVENTOR(S):

PA'	ENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		D	ATE	
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A.O.	2002	0246	36		A3		2002	0926									
	₩:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN
		œ,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FI,	GB,	GD,	GE,	GH
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG,	KP.	KR,	KZ,	LC,	LK,	LR
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NO,	NZ,	PH,	PL
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SŁ	., TJ,	TM,	TR,	TT,	TZ,	UA,	UG
		UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG	, KZ,	MD,	RU,	TJ,	TM		
	RV:	GH.	GM.	KE,	LS,	MW.	MZ,	SD,	SL,	52	. TZ.	UG,	ZW,	AT,	BE,	CH,	CY
		DE,	DK.	ES,	FI,	FR,	GB,	GR,	IE,	IT	. LU.	MC,	NL,	PT,	SE,	TR.	BF
		BJ,	CF,	Œ,	CI,	CM,	GA,	GN,	GQ.	GW	, ML,	MR,	NE,	SN,	TD,	TG	
US	2002	0556	31		Al		2002	0509		US	2001-	9355	81		2	0010	824
CA	2423	103			AA		2002	0328		CA	2001-	2423	103		2	0010	920
AU	2001	0911	51		A5		2002	0402		ΑU	2001-	9115	1		2	0010	920
											2001-						
	R:	AT,	BE,	CH,	DE.	DK.	ES.	FR.	GB.	GR	. IT.	LI.	LU.	NL.	SE.	MC.	PT
		IE.	51,	LT,	LV.	FI.	RO.	MK.	CY.	AL	. TR						
JP	2004	5298	52		T2	-	2004	0930		JP	2002-	5290	49		2	0010	920
										BR	2001-	1010	1		2	0010	920
RIORIT	Y APP	LN.	INFO	. :						US	2000-	6665	Ď8		A 2	0000	920
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											2001-						
THER S	או ופריד	151 -			MAD	PAT	136.	2702	15						_		

L4 ANSWER 17 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

406230-66-8 CAPLUS
3-Pyridinecarboxamide, 6-(4-fluorophenyl)-N-[[3-nitro-4-[[2-(phenylthio)ethyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L4	ANSVER	18	OF	71	CAPLUS	COPYRIGHT	2005	ACS	on	STN
ACCE	SSION N	MB	ER:		2001	:713312 (APLUS			

ACCESSION NUMBER:	2001:713312	CA
DOCUMENT NUMBER:	135:272885	

135:2/2885
Preparation of pyridinyl acylsulfinides as insecticides, acaricides, and nematocides
Kornuta, Pavel Petrovich: Shermolovich, Yuriy
Grigorievich: Doeller, Uver Ort, Osvald: Schaper,
Wolfgang, Jans, Daniela: Sanft, Ulrich: Thoenessen,
Maria-Theresia: Beckmann, Marion; Vaibel, Jutta Maria;
Paraent Serviy TITLE: INVENTOR(5):

Maria-Theresia: Beckmann, Marion; Waibel, Jutta Maria Pazenok, Sergiy Aventia CropScience GmbH, Germany; Kornuta, Nataliya Olezandrivna PCT Int. Appl., 119 pp. CODEN: PIXXD2 Patent German

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.		APPLICATION NO.	DATE		
	A2 20010927	WO 2001-EP3083	20010317		
		BB, BG, BR, BY, BZ, CA,	CN, CO, CR,		
		HR, HU, ID, IL, IN, IS,			
		MA, MD, MG, MK, MN, MX,			
		TT, UA, US, UZ, VN, YU,			
BY, KG, KZ,	MD, RU, TJ, TM				
RW: GH, GM, KE,	LS, MW, M2, SD,	SL. SZ, TZ, UG, ZV, AT,	BE, CH, CY,		
		IE, IT, LU, MC, NL, PT,			
BJ, CF, CG,	CI, CM, GA, GN,	GW, ML, MR, NE, SN, TD,	TG		
DE 10014006	A1 20010927	DE 2000-10014006	20000322		
DE 10057911	A1 20020523	DE 2000-10057911	20001121		
		CA 2001-2403807			
EP 1274683	A2 20030115	EP 2001-936093	20010317		
R: AT, BE, CH,	DE, DX, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,		
IE, SI, LT,	LV, FI, RO, MK,	CY, AL, TR			
BR 2001009473	A 20030603	BR 2001-9473 JP 2001-568904	20010317		
JP 2003528081	T2 20030924	JP 2001-568904	20010317		
US 2002032328	A1 20020314	US 2001-812309	20010320		
ZA 2002007479			20020918		
US 2004167334	A1 20040826	US_2004-773471_	20040205		
PRIORITY APPLN. INFO.:		DE 2000-10014006	A 20000322		
		DE 2000-10057911	A 20001121		
		WO 2001-EP3083	W 20010317		
		US 2001-812309	B1 20010320		
OTHER SOURCE(S):	MARPAT 135:2728	85			
GI					

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

362724-12-7 CAPLUS Sulfilimine, S-methyl-S-3-thienyl-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

362724-14-9 CAPUS Sulfilinine, S,S-diphenyl-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]-[9C1) (CA INDEX NAME)

362724-15-0 CAPLUS
Benzonitrile, 2-fluoro-6-[4-[5-methyl-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]sulfonimidoyl]phenoxy]- (9CI) (CA INDEX NAME)

362724-17-2 CAPLUS Sulfoxiaine, S.S-diphenyl-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]-GCI (CA INDEX NAME)

ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Title compds. [I: X = CH, N: Y = O, S: B, n = O, 1: R1 = haloalkyl: R2, R3 = H, halo, (O-, S-, N-interrupted) [substituted] alkyl: R4, R5 = R6, CWR7, C(:NORT)R7, C(:NORT)R7,

362724-82-19
RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of pyridinyl acylsulfimides as insecticides, acaricides, and nematocides)
326873-12-5 CAPLUS
Imidosulfurous diamide, N.N.N',N'-tetrapropyl-N''-{[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

362724-18-3 CAPLUS Sulfilimine, S,S-dimethyl-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]-(9CI) (CA INDEX NAME)

362724-19-4 CAPLUS Sulfilimine, S,S-bis(1-methylethyl)-N-{[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

362724-20-7 CAPLUS Sulfilimine, S,S-diethyl-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]-(9C1) (CA INDEX NAME)

362724-21-8 CAPLUS Inidoulfurous diamide, N.N.N',N'-tetramethyl-N''-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 362724-22-9 CAPLUS
CN Imidosulfurous diamide, N,N,N',N'-tetraethyl-N''-[{4-(trifluoromethyl)-3-pycidinyl]carbonyl- (9CI) (CA INDEX NAME)

RN 362724-23-0 CAPLUS

Morpholine, 4,4'-[[[4-(trifluoromethyl)-3-pycidinyl]carbonyl]sulfinimidoyl
| bis- (9CI) (CA INDEX NAME)

RN 362724-24-1 CAPLUS
CN Piperidine, 1,1'-[[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]sulfinimidoyl
[bis-(9CI) (CA INDEX NAME)

RN 362724-25-2 CAPLUS
CN Imidosulfurous diamide, N,N,N',N'-tetrakis(1-methylethyl)-N''-[[4-

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 362724-30-9 CAPLUS
CN Imidosulfurous diamide, N,N'-dicyclohexyl-N,N'-bis(phenylmethyl)-N''-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 362724-31-0 CAPLUS
CN Imidosulfurous diamide, N,N'-dibutyl-N,N'-bis(phenylmethyl)-N''-[{4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 362724-32-1 CAPLUS
CN 3-Pyridinecarboxamide, 2,6-dichloro-N-(2,3,4,5-tetrahydro-124-1,2,6-thiadiazin-1-yl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 362724-33-2 CAPLUS CN 3-Pyridinecarboxamide, 2,6-dichloro-N-(3,4,5,6-tetrahydro-ZH-1 λ 4-<12/14/2005>

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 362724-26-3 CAPLUS
CN Sulfilimine, S.S-bis(2-methylpropyl)-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 362724-27-4 CAPLUS
CN Suffilmine, 5,5-bis(phenylmethyl)-N-[(4-(trifluoromethyl)-3-pyridinyl]-aponyl- (9CI) (CA INDEX NAME)

RN 362724-28-5 CAPLUS
CN Imidosulfurous diamide, N,N'-bis(1,1-dimethylethyl)-N,N'-bis(phenylmethyl)-N''-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 362724-29-6 CAPLUS
CN Imidosulfurous diamide, N,N'-dimethyl-N,N'-diphenyl-N''-{(4-(trifluoromethyl)-3-pyridinyl)carbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1,2,7-thiadiazepin-1-yl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 362724-34-3 CAPLUS
CN Sulfilimine, N-[[2,6-dichloro-4-(trifluoromethyl)-3-pyridinyl]carbonyl]S,5-bis(1-methyl)- (9CI) (CA INDEX NAME)

RN 362724-35-4 CAPLUS
CN Morpholine, 4.4'-[[[6-chloro-2-(diethylamino)-4-(trifluoromethyl)-3pyridinyl]carbonyl]sulfinimidoyl]bis- (9CI) (CA INDEX NAME)

RN 362724-36-5 CAPLUS
CN Imidosulfurous diamide, N''-[[2,6-dichloro-4-(trifluoromethyl)-3-pyridinyl]carbonyl]-N,N,N',N'-tetramethyl- (9CI) (CA INDEX NAME)

RN 362724-37-6 CAPLUS
CN Inidosulfurous diamide, N''-{{6-chloro-2-(dimethylamino)-4-(trifluoromethyl)-3-pyridinyl}carbonyl}-N,N,N',N'-tetramethyl- (9CI) (CA INDEX NAME)

10/773,471

Page 21

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

362724-38-7 CAPLUS
Morpholine, 4,4'-[[[6-chloro-2-[(4-methylcyclohexyl)amino]-4(trifluoromethyl)-3-pyridinyl]carbonyl]sulfinimidoyl]bis- (9CI) (CA INDEX

362724-39-8 CAPUS Sulfilimine, S-[(4-methylphenyl)methyl)-S-phenyl-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9Cl) (CA INDEX NAME)

362724-40-1 CAPLUS Sulfiliaine, S-methyl-5-(1-methylethyl)-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

362724-41-2 CAPLUS

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (trifluoromethyl)-3-pyridinyl)carbonyl]- (9C1) (CA INDEX NAME)

362724-46-7 CAPLUS
Sulfilimine, S-(1-methylethyl)-S-[1-(4-methylphenyl)ethyl]-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

362724-47-8 CAPLUS Sulfillmine, S-(1-methylethyl)-S-([4-(trifluoromethyl)phenyl]methyl]-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

362724-48-9 CAPLUS Sulfilimine, S-{(4-methoxyphenyl)methyl]-S-(1-methylethyl)-N-[{4-(trifluoromethyl)-3-pyridinyl}carbonyl]- (9CI) (CA INDEX NAME)

362724-49-0 CAPLUS
Sulfilimine, S-(1-methylethyl)-S-[(4-nitrophenyl)methyl]-N-[[4(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Sulfiliatioe, S-methyl-S-(4-methylphenyl)-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (SCI) (CA INDEX NAME)

362724-42-3 CAPLUS
Sulfiliaine, 5-{2,5-dimethylphenyl)methyl]-S-methyl-N-{{4(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

362724-43-4 CAPLUS Sulfilimine, S-(2.5-dimethylphenyl)-S-ethyl-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

362724-44-5 CAPLUS
Sulfilimine, S-(1-methylethyl)-S-propyl-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

362724-45-6 CAPLUS Sulfilimine, S-(cyclopropylmethyl)-S-(1-methylethyl)-N-{{4-

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

362724-50-3 CAPLUS Sulfillmine, S-(1-methylethyl)-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl}-S-[(2.4.6-trimethylphenyl)methyl]- (9CI) (CA INDEX NAME)

362724-51-4 CAPLUS Suffilinine, S-[(2.6-difluorophenyl)methyl]-S-(1-methylethyl)-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

362724-52-5 CAPLUS Sulfiliaine, S-(2-furanylmethyl)-5-(1-methylethyl)-N-[[4-(trifluoromethyl)-3-pycidinyl]carbonyl]- [9CI) (CA INDEX NAME)

362724-53-6 CAPLUS Sulfiliatine, S-(4-methoxyphenyl)-S-(1-methylethyl)-N-[[4-(trifluoromethyl)-3-pytidinyl)carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSVER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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RN 362724-54-7 CAPLUS
CN Sulfilimine, S-(2,5-dimethylphenyl)-S-(1-methylethyl)-N-[(4-(trifluoromethyl)-3-pyridinyl)-arbonyl]- (9CI) (CA INDEX NAME)

RN 362724-55-8 CAPLUS
CN Sulfilianie, S-(1-methylethyl)-5-2-pyridinyl-N-[[4-(trifluoromethyl)-3-pyridinyl]-alpha (CA INDEX NAME)

RN 362724-56-9 CAPLUS
CN Sulfilimine, S-butyl-S-(4-chlorophenyl)-N-[[4-(trifluoromethyl)-3pyridinyl]-acbomyl- (9CI) (CA INDEX NAME)

RN 362724-57-0 CAPLUS CN Sulfilimine, S-(1-methylpropyl)-S-[(4-nitrophenyl)methyl]-N-[(4-(trifluoromethyl)-3-pyridinyl]carbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) [{4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 362724-62-7 CAPLUS
CN Sulfilimine, S-(4-methoxyphenyl)-S-(2-methylpropyl)-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 362724-63-8 CAPLUS
CN Suffiliation, 3-(2,5-dimethylphenyl)-S-(2-methylpropyl)-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 362724-64-9 CAPLUS
CN Sulfilimine, 5-(cyclopropylmethyl)-5-phenyl-N-[[4-(trifluoromethyl)-3-pycidinyl]catopyl]- (9CI) (CA INDEX NAME)

RN 362724-65-0 CAPLUS <12/14/2005>

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 362724-58-1 CAPLUS
CN Sulfilimine, S-[(4-methoxyphenyl)methyl]-S-(1-methylpropyl)-N-[(4-trifluoromethyl)-3-pycidinyl]carbonyl]- (9C1) (CA INDEX NAME)

RN 362724-59-2 CAPLUS
CN Sulfiliatne, S-(1-methylpropyl)-S-([4-(trifluoromethyl)phenyl]methyl]-N[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 362724-60-5 CAPLUS
CN Sulfilimine, S-(1-methylpropyl)-N-[[4-(trifluoromethyl)-3pyridinyl]carbonyl]-S-[(2,4,6-trimethylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 362724-61-6 CAPLUS Sulfilimine, S-[(3,5-dimethyl-4-isoxazolyl)methyl]-S-(1-methylpropyl)-N-

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) CN 2H-Thiopyran, 1,1,3,4,5,6-hexahydro-1-[[[4-[trifluoromethyl]-3-pyridinyl]cathonyl]imino] - [9C1] (CA INDEX NAME)

RN 362724-66-1 CAPLUS
CN Sulfiliaine, S-[(2.6-difluorophenyl)methyl)-S-phenyl-N-[[4(trifluoromethyl)-3-pycidinyl)carbonyl)- (9CI) (CA INDEX NAME)

RN 362724-67-2 CAPLUS
CN Sulfilimine, S-[(2,6-difluorophenyl)methyl]-S-(2,5-dimethylphenyl)-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (SCI) (CA INDEX NAME)

RN 362724-68-3 CAPLUS
CN Sulfilimine, S-[(2,6-difluorophenyl)methyl]-S-(4-methoxyphenyl)-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 362724-69-4 CAPLUS
CN Sulfiliaine, S-phenyl-S-[5-(trifluoromethyl)-2-pyridinyl]-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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RN 362724-70-7 CAPLUS
CN Sulfiliaine, S-phenyl-S-3-thienyl-N-[[4-(trifluoromethyl)-3-pycidinyl]carbonyl- (GA INDEX NAME)

RN 362724-71-8 CAPLUS
CN Dibenzothiophene, 5,5-dihydro-5-[[(4-(trifluoromethyl)-3-pyridinyl]carbonyl]imino]- (9CI) (CA INDEX NAME)

RN 362724-72-9 CAPLUS
CN Phenoxathiin, 10,10-dihydro-10-[[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]imino]- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 362724-75-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[N-propyl-S-(propylamino)sulfinimidoyl]-4(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 362724-76-3 CAPLUS
CN Morpholine, 4,4'-[[[2,6-dichloro-4-(trifluoromethyl)-3-pyridinyl]carbonyl]sulfinimidoyl]bis- (9CI) (CA INDEX NAME)

RN 362724-77-4 CAPLUS
CN Sulfilimine, S-(2,5-dimethylphenyl)-S-(2-ethyl-2-butenyl)-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 362724-73-0 CAPLUS
CN Thianthrene, 5,5-dihydro-5-[[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]imino]- (9CI) (CA INDEX NAME)

RN 362724-74-1 CAPLUS
CN 10H-Phenothiazine, 5,5-dihydro-10-methyl-5-[[{4-(trifluoromethyl)-3-pyridinyl]carbonyl]imino]- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 362724-78-5 CAPLUS
CN Sulfoximine, 5,5-bis(1-methylethyl)-N-[(4-(trifluoromethyl)-3-pycidinyl)carbonyl]- (9CI) (CA INDEX NAME)

RN 362724-79-6 CAPLUS
CN Sulfoximine, S-(1-methylethyl)-S-{[4-(trifluoromethyl)phenyl]methyl]-N-{[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 362724-80-9 CAPLUS
CN Sulfilimine, S-[2,5-dimethylphenyl]-S-[[4-{trifluoromethoxy}phenyl]methyl]N-[[4-{trifluoromethyl}-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 362724-81-0 CAPLUS
CN Sulfilimine, 5-(4-chlorophemyl)-5-[[4-{trifluoromethoxy}]phemyl]methyl]-NHabte

<12/14/2005>

ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

362724-82-1 CAPLUS Sulfillaine, 5-phenyl-5-[[4-(trifluoromethoxy)phenyl]methyl]-N-[[4-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

362724-10-59 362724-11-69
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridinyl acylsulfimides as insecticides, acaricides, and nematocides)
362724-10-5 CAPLUS IT

Inidosulfurous dichloride, [[4-(trifluoromethyl)-3-pyridinyl]carbonyl](9CI) (CA INDEX NAME)

362724-11-6 CAPLUS
Imidosulfurous dichloride, [[2,6-dichloro-4-(trifluoromethyl)-3-pyridinyl]carbonyl]- [9CI] (CA INDEX NAME)

L4 ANSWER 19 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:715779 CAPLUS
DOCUMENT NUMBER: 132:60427
ANTHOR(S): Antidote activity of N-(3-pyridoyl)-S,Sdialkylsulfilmine derivates on soybean
Schwartau, V. V.
CORPORATE SOURCE: Institute of Plant Physiology and Genetics, National
Academy of Sciences of Ukraine, Kiev. 252022, Ukraine
Fiziologiya i Biokhimiya Kul'turnykh Rastenii (1999),
31(4), 303-307
CODEN: FEKRAT; ISSN: 0532-9310
FUBLISHER: Irdatel'stvo "Logoo"
DOCUMENT TYPE:

DOUMENT TYPE:

Journal
AB The effect of new N-(3-pyridoyl)-S,S-dialkylsulfilimine derivates on dinitroaniline phytotoxicity was studied. The new compds. protected soybean plants from trifluralin injury. The antidote action was related to effects of the new compds. on nitrogenase activity and herbicide degradation in soil.

17 162441-90-9 253333-98-0 253333-98-9
253333-99-0 253333-99-0 253333-99-0
RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): BIOL (Biological study): USES (Uses)

(herbicide antidote activity of pyridoyldialkylsulfilmine derivates on soybean)

soybean)
162441-90-9 CAPLUS
Sulfilimine, N-[(1-methylpyridinium-3-y1)carbonyl]-S,S-bis(1-methylethyl)-, iodide (9CI) (CA INDEX NAME)

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253333-95-8 CAPLUS Sulfilimine, S,S-dimethyl-N-(3-pyridinylcarbonyl) - (9CI) (CA INDEX NAME)

RN 253333-96-9 CAPLUS
CN Sulfilimine, S,S-bis(1-methylethyl)-N-(3-pyridinylcarbonyl)- (9CI) (CA <12/14/2005>

ANSWER 18 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 19 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN INDEX NAME) (Continued)

253333-97-0 CAPLUS Sulfilimine, 5,5-diethyl-N-(3-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

253333-98-1 CAPLUS Sulfillmine, S,S-dimethyl-N-[(l-methylpyridinium-3-yl)carbonyl]-, iodide (9C1) (CA INDEX NAME)

253333-99-2 CAPLUS Sulfilimine, S,S-diethyl-N-{{1-methylpyridinium-3-yl}carbonyl}-, iodide (9CI) (CA INDEX NAME)

L4 ANSVER 20 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:231399 CAPLUS
DOCUMENT NUMBER: 130:252154
TITLE: Preparation of acylsulfamoylbenzoic acid amides as Preparation of acylsulramoylbenzoic acid and herbicide safeners. Ziemer, Frankr Willms, Lothar: Auler, Thomas: Bieringer, Hermann: Rosinger, Christopher Hoechst Schering Agrevo G.m.b.H., Germany PCT Int. Appl., 71 pp. CODEM: PIKMO2 INVENTOR(S): PATENT ASSIGNEE(5): SOURCE: DOCUMENT TYPE: LANGUAGE: Patent FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	PATENT NO.							APPLICATION NO.						DATE				
WO	9916						1999	0408								1	9980	924
	W:	AL,	AM,	AU,	ΑZ,	BA,	BB,	BG,	BR,	В	, c	۸,	CN,	Cυ,	CZ,	EE,	GD,	GE,
		HR,	ΗU,	ID,	IL,	IS,	JP,	KG,	KP,	KF	, K	Z,	LC,	LK,	LR,	LT,	LV,	MD,
		MG,	MX,	MN,	HX,	NO,	NZ,	PL,	RO,	RU	i, S	3,	SI,	SK,	SL,	TJ,	TH,	TR,
		TT,	UA,	UZ,	VN,	YU,	AM,	AZ,	BY,	KC	, K	Ζ,	MD,	RU,	TJ,	TH		
	RW:	GH,	GΜ,	KE,	LS,	MV.	SD,	SZ,	UG,	21	, A	۲,	BE,	CH,	CY,	DE,	DK.	ES,
		FI,	FR,	GB,	GR,	IE.	IT.	LU,	MC.	NI	. P	r,	SE,	BF,	BJ,	CF,	œ,	CI.
		CH,	GA,	GN,	G¥,	ML,	MR.	NE.	SN,	TE	, T	3						
DĒ	1974	2951			A1		1999	0415		DE	199	7-1	974	2951		1	9970	929
CA	2305	313			AA		1999	0408		CA	199	3-2	305	313		1	9980	924
AU	9910	265			A1		1999	0423		UΑ	1999	9-1	026	5		1	9980	924
EP	1019	368			A1		2000	0719		EΡ	199	8-9	1526	44		1	9980	924
EP	1019	368			B1		2003	0305										
	A:	AT,					ES,	FR,	GB,	GF	ι, Ι:	Γ,	LI,	NL,	SE			
BR	9812	564			Α		2000	0801		BR	199	9-1	256	4		1	9980	924
JP	2001	5184	61		T2		2001	1016		JΡ	200	0-5	138	30		1	9980	924
AT	2337	30			E		2003	1016 0315		ΑT	199	9-9	526	44		1	9980	924
RU	2205	824			C2		2003	0610		RU	200	0-1	107	30		1	9980	924
	2194							1116		ES	199	9-9	526	44		1	9980	924
US	6251	827			B1		2001	0626		US	199	8-1	611	20		1	9980	925
ZA	9808	826			Α		1999	0329		AS	199	9-8	826			1	9980	928
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L4 ANSWER 20 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

221670-29-7 CAPLUS
3-Pyridinecarboxamide, N-{{2,4-dichloro-5-{(cyclopropylamino)carbonyl]phen yl]sulfonyl]-Z-methoxy- (9CI) (CA INDEX NAME)

221670-31-1 CAPLUS
3-Pyridinecarboxamide, N-[[2-chloro-5-[(cyclopropylamino)carbonyl]phenyl]sulfonyl]-2-methoxy- (9CI) (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 20 OF 71 CAPLUS COPYRIGHT 2005 ACS on STM (Continued)
Plant protection agents optionally containing ≥1 pesticide and containing
≥1 title compds. [1; X = CH, N; R1 = H, (substituted) heterocyclyl,
hydrocarbyl, R2 = R, GH, (substituted) alkyl, alkenyl, alkynyl, alkony,
alkenyloxy; R1R2 = atoms to form 3-8 membered ring; R3 = halo, cyano, N02,
anino. GH, COZH, GEO, CONNEZ, SOZNEZ, etc., R4 = H, alkyl, alkenyl,
alkynyl; R5 = halo, cyano, N02, amino, GH, COZH, CRO, CONNEZ, SOZNEZ,
phosphoryl, etc.; m = 0-5; n = 0-4; with provisos], are claimed (no data).
Thus, 2-chlorobenzoic acid in THF vas treated with carbonyldiinidazole
followed by 30 min stirring at room temperature and 30 min. at reflux;
N-propyl-4-sulfamoylbenzamide and then DBU were added and the mixture was
refluxed 3 h to give 54% 4-(2-chlorobenzoylsulfamoyl)-N-propylbenzamide.
221670-20-8P 221670-23-1P 221670-25-4P
221670-29-7P 221670-31-1P

221670-29-7P 221670-31-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of acylsulfamoylbenzoic acid amides as herbicide safeners) 221670-20-8 CAPUS
3-Pyridinearaboxamide, 2-methoxy-N-[{4-{[(1-methylethyl)amino]carboxnyl]phe nyl]sulfonyl]- (9CI) (CA INDEX NAME)

221670-23-1 CAPLUS
3-Pyridinecarboxamide, N-[[4-[(cyclopropylamino)carbonyl]phenyl]sulfonyl]z-methoxy- (9C1) (CA INDEX NAME)

221670-26-4 CAPLUS
3-Pyridinecarboxamide, N-[[4-[[(1,2-dimethylpropyl)amino]carbonyl]phenyl]sulfonyl]-2-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1997:178823 CAPLUS DOCUMENT NUMBER: 126:171487

KIND DATE

126:171487
Preparation of aminopyridinecarboxylic acids and related compounds as inhibitors of the pain enhancing effects of E-type prostaglandins.
Breault, Gloria Anne
Zeneca Limited, UK: Breault, Gloria Anne
PCT Int. Appl., 93 pp.
CODEN: PIXXO2
Patent TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent English 1

FAMILY ACC. NUM. CO PATENT INFORMATION: COUNT:

PATENT NO.

WO	9700	164			A1		1997	0109		RO .	1996-	GB14	43		1	9960	617
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											, CF,						
	5020										1996-						
	1186										1996-						
CA	2220	529			AΑ		1997	0109		CA	1996-	2220	529		1	9960	617
ΑU	9662	321			A1		1997	0122		AU '	1996-	6232	1		1	9960	617
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	8473							1219			1330-	3203	٠,		•	,,,,,	
E.P																	
	H:						ES,	PR,	GB,	GH,	, IT,	LI,	ω,	NL,	5E,	MC,	PT,
			51,	LT,	LV,												
	1193				λ		1998	0923		CN	1996-	1963	94		1	9960	617
CN	1114	598			В		2003	0716									
BR	9608	908					1999	0302		BR '	1996~	8908			1	9960	617
	1150						1999	0713		JP '	1997-	5036	54		1.	9960	617
	3110				À			0128			1996-					9960	
	2111				Ê			0115			1996-					9960	
SK	2824	58			B6		2002	0205		SK	1997-	1733			1	9960	617

APPLICATION NO.

DATE

SK 282458 PT 847391 ES 2169248 CZ 290924 RU 2198878 HR 960289 ZA 9605201 US 6100258 NO 9705984 NO 311131 BG 63778 US 6313148 19960617 19960617 19960617 19960617 19960617 19960618 19960619 19971216 SK 1997-1733 PT 1996-920937 ES 1996-920937 CZ 1997-4110 RU 1998-100866 HR 1996-960289 ZA 1996-5201 US 1997-973915 NO 1997-5984 20020628 20020701 20021113 BG 1998-102174 US 2000-541306 GB 1995-12475 GB 1996-1465 19980109 20000403 19950620 19960125 20021229 20011106 US 6313148 PRIORITY APPLN. INFO.: WO 1996-GB1443 US 1997-973915 A3 19971216

US 1997-973915 A3 19971216

R SOURCE(S): MARPAT 126:171487

DOACHRINRZBRI [A = (substituted) Ph. naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyridazinyl, pyrididinyl, thienyl, thiazolyl, oxazolyl, thiadiazolyl, provided that the GH(R3)N(R2)BRI and OD groups are positioned in a 1,2 relationship to one another on ring carbon atoms and the ring atom OTHER SOURCE(S):

ANSVER 21 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) positioned ortho to the OD linking group (and therefore in the 3-position relative to the CHR3MR2 linking group) is not substituted; B = (substituted) Ph. pyridyl, thiazolyl, oxazolyl, thienyl, thiadiazolyl, inidazolyl, pyridyl, thiazolyl, oxazolyl, thienyl, thiadiazolyl, inidazolyl, pyriazinyl, pyrinidinyl; R1 = COZH, carboryalkyl, tetrazolyl, tetrazolylakyl, tetronic acid, hydroxamic acid, sulfonic acid, (provided the triple bond is not in the 1-position), phenylalkyl, pyridylalkyl; R3 = H, Me, Et; D = H, (substituted) 5-7 membered carbocyclic ring contg. 1 double bond, alkyl substituted by a (substitute) 5-7 membered carbocyclic ring contg. 1 double bond, (CR2)nCH(R4)C(R5):CRGR7; R4 = H, Me, Et; R5 = H, Me, Br, C1, F, CT3; R6, R7 = H, alkyl, Br, C1, F, CT3; n = 0, 11 and N- and 5-oxides thereof, with specific exceptions], were prepd. Thus, Me 2-[N-[5-broso-2-(2-chloroallyloxy)benyl-N-ethylamino]-5-pyridylcarboxylate (prepn. given) was stirred with aq. NaOH in MeOH to give 2-[N-[5-broso-2-(2-chloroallyloxy)benyl-N-ethylanino]-5-pyridylcarboxylic acid. Tested title compds. inhibited PGE2-induced contraction of guinea pig ileum with pA2 >5.3.
187229-70-5p 187229-71-6p 187229-72-7P
187229-70-5p 187229-71-6p 187229-72-7P
187229-70-5p 187229-71-6p 187229-72-7P

ΙT

187229-73-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aminopyridazinecarboxylic acids and related compds. as inhibitors of the pain enhancing effects of E-type prostaglandins) 187229-70-5 CAPLUS
3-Pyridinecarboxamide, 6-[{[S-bromo-2-([2-methyl-2-propenyl])oxylphenyl]methyl]ethylamino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

187229-71-6 CAPLUS
3-Pyridinecarboxamide, 6-[[[5-bromo-2-[(2-methyl-2-propenyl)] owy]phenyl]methyl]ethylamino]-N-(propylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1996:666970 CAPLUS DOCUMENT NUMBER: 125:301001 TITLE: Preparation of 0.000

INVENTOR (S):

Preparation of 3-(2'-sulfamoylbiphenyl-4-yl)methyl-2-imino-l,3,4-thiazolidine derivatives as antihypertensives
Sakae, Shinyar Yokomoto, Masaharur Inoe, Satoshir Nishimura, Kojir Hirata, Akikager Iguma, Kenichir Tamura, Koichi Wakunaga Seiyaku Kk, Japan Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JOXOAF
Patent
Japanese
1

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08208632	A2	19960813	JP 1995-280093	19951027
RIORITY APPLN. INFO.:			JP 1995-280093 A	19951027
			JP 1994-264755	19941028
THER SOURCE(S):	MARPAT	125:301001		

AB The title compds. [I; Rl = H, COR2; wherein R2 = (un) substituted lower alkyl, cycloalkyl, or cycloalkemyl, (un) substituted aryl-lower alkyl or aryl-lower alkyl, or cycloalkemyl, (un) substituted aryl-lower alkyl or aryl-lower alkyl, expl. R3 = halo, lower alkyl or cycloalkyl, (un) substituted Ph, lower alkyl alkomy, R4 = H, lower alkyl, acyl; R5, R6 = H, halo, lower alkyl, which show potent angiotensin II-antagonalizing, smooth muscle-relaxing, and antihypertensive activity, are prepared Thus, 533 mg 5-ethyl-2-trifluoroacetamido-1,3,4-thiadiazole and 1.00 g 4-bromomethyl-2' (N-tert-butylsulfamoylbhemyl-4-ylb) phenyl were added to DMF and stirred at room temperature for 4 h to give 606 mg I (R1 - CP3CO, R3 - Et, R5 - R6 - H, R4 - tert-butyl). I (R1 - Q, R3 - Et, R4 - COZEt, R5 - R6 - H) and I (R1 - 2-ClCGH4CO, R3 - Et, R4 - COZEt, R5 - R6 - H) and I (R1 - 2-ClCGH4CO, R3 - Et, R4 - COZEt, R5 - R6 - H) in vitro showed IC50 of 3.0 and 5.3 mM, resp., CG inhibiting angiotensin II and in vivo inhibited angiotensin II-induced hypertension of rats by 53.4 and 62.3%, resp., at 0.1 mg/kg i.v.

IT 183000-08-89 183000-42-29
RL: BKC (Biological activity or effector, except adverse); BSU (Biological

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); <12/14/2005>

ANSWER 21 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

RN CN

187229-72-7 CAPLUS
3-Pyridinecarboxamide, 6-[{[5-bromo-2-[(2-chloro-2-propenyl)oxy]phenyl]methyl]ethylamino]-N-(phenylsulfonyl)- (9CI) (CAINDEX NAME)

187229-73-8 CAPLUS
3-Pyridinecarboxamide, 6-[[[5-bromo-2-[(2-chloro-2-propenyl)oxy]phenyl]methyl]ethylamino]-N-(propylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & Br \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & &$$

ANSWER 22 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
BIOL (Biological study): PREP (Preparation): USES (Uses)
(prepn. of [[sulfamoylbiphenylyl]methyl]minothiazolidine derivs. as
anthypertensives, angiotensin II antagonists, and smooth muscle

anthypertensives, anglotensin il antagonists, and smooth miscle relaxande captus 13000-06-8 (Aptus 3-Pyridinecarboxamide, N-[{4'-{[2-{(cyclopropylcarbonyl)imino]-5-ethyl-1,3,4-thiadiazol-3(2H)-yl]methyl][1,1'-biphenyl]-2-yl]mulfonyl]- (9CI) (CA INDEX NAME)

183000-42-2 CAPLUS
3-Pyridinecarboxamide, 2-chloro-N-[[4'-[[2-[(cyclopropylcarbonyl)imino]-5-ethyl-1,3,4-thiadiazol-3(ZH)-yl]methyl][1,1'-biphenyl]-2-yl]sulfonyl](9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:367337 CAPLUS
ITILE: 125:33693
Aromatic amino ethers as pain relieving agents
Breault, Gloria Anner Oldfield, John; Tucker, Howard:
Varner, Peter
PATENT ASSIGNEE(S): 2enera Limited, UK
PCT Int. Appl., 140 pp.
CODEN: PIXXO2
DOCUMENT TYPE: Patent
LANGUAGE: PIXXO2
PATENT INFORMATION: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.				APPLICATION NO.	
				WO 1995-GB1728	
				CA, CH, CN, CZ, DE,	
				KR. KZ. LK. LR. LT.	
				RO, RU, SD, SE, SG,	
	UA	.,,	,,,	,	
		. SZ. UG	. AT. BE.	CH, DE, DK, ES, FR,	GB. GR. IE. IT.
				CF, CG, CI, CM, GA,	
SN.	TD. TO	;			
CA 2192088		AA	19960208	CA 1995-2192088 AU 1995-29883	19950721
AU 9529883		Al	19960222	AU 1995-29883	19950721
AU 688541		B2	19980312		
EP 773930		A1	19970521	EP 1995-925943	19950721
EP 773930		B1	20001011		
				GB, GR, IE, IT, LI,	
CN 1154106 CN 1085663		A	19970709	CN 1995-194340	19950721
CN 1085663		В	20020529		
BR 9508335		A	19970930	BR 1995-8335	19950721
HU 76606		A2	19971028	HU 1996-3338	19950721
JP 10503487		Ť2	19980331	BR 1995-8335 HU 1996-3338 JP 1995-505573 AT 1995-925943 ES 1995-925943 PT 1995-925943 TW 1995-84107606	19950721
AT 196898		E	20001015	AT 1995-925943	19950721
ES 2150577		T3	20001201	ES 1995-925943	19950721
PT 773930		T	20010131	PT 1995-925943	19950721
TW 411328		В	20001111	TW 1995-84107606 ZA 1995-6149	19950722
ZA 9506149			19960207	ZA 1995-6149	19950724
FI 9700261				FI 1997-261	19970122
FI 116219			20051014		
NO 9700314			19970313		19970124
NO 308032		BI	20000710		
US 5843942 CN 1286254 GR 3034603		A	19981201	US 1997-776275	19970124
CN 1286254		<u>^</u> _	20010307	CN 2000-104017	20000310
ORITY APPLN.		13	20010131	CN 2000-104017 GR 2000-402119 GB 1994-14924 GB 1995-1288 WO 1995-GB1728	20001012
ORITI APPLA.	INFO.:			GD 1334-14924	A 1994U/25
				00 1005-CB1330	W 10050221
ER SOURCE(S):		MADDAT	125.2260	WO 1553-GB1728	w 19930721
EN SOUNCE(S):		nara i	123:3300	,	

ANSWER 23 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

177758-44-0 CAPLUS
3-Pyridinecarboxamide, 6-[{[5-bromo-2-(phenylmethoxy)phenyl]methyl]ethylamino]-N-(propylsulfonyl)- (9CI) (CA INDEX NAME)

177758-45-1 CAPLUS
3-Pyridinecarboxamide, N-[[5-(acetylamino)-1,3,4-thiadiazol-2-yl]sulfonyl]-6-[[[5-bcmo-2-(pheylmethoxy)phenyl]methyl]ethylamino]- (9CI) (CA INDEX

177758-46-2 CAPIJIS
3-Pyridinecarboxamide, 6-[[[5-bromo-2-(phenylmethoxy)phenyl]methyl]ethylamino)-N-[[5-(2-pyridinyl)-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 177758-47-3 CAPLUS
CN 3-Pyridinecarboxamide, 6-[[[5-bromo-2-(phenylmethoxy)phenyl]methyl]ethylam <12/14/2005>

ANSWER 23 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

The invention relates to compds. I {A = (un) substituted Ph, naphthyl, pyridyl, pyrazinyl, pyridarinyl, pyrimidyl, thienyl, thiacolyl, omazolyl, thiadiazolyl having 2 2 adjacent ring C atoms, or bicyclic ring system, provided that the shown sidechains on A are in a 1,2-relationship, and the 3-postion is unaubstituted; B, D = (un) substituted ring system; RI = various groups; R2 = H, alk(en/yn)lyl, phenylalkyl, 5- or 6-membered heteroarylalkyl; R3, R4 = H or alkyl) and their N-oxides, 5-oxides, pharmaceutically acceptable salts, and in vivo-hydrolyzable esters and amides. Also claimed are processes for their preparation, intermediates,

amides. Also claimed are processes for their preparation, intermediates, as therapeutic agents, and pharmaceutical compns. I are analgesics which are structurally different from NSAIDS and opiates, and which may also possess antiinflammatory, antipyretic, and antidiarrheal properties. For example, condensation of 6-chloropyridazine-3-carboxamide with N-ethyl-N-(2-benzylosy-5-bromobenzyl) maine-HCl in N-methylpyrrolidinone containing NaHCO3 at 115' (851), and hydrolysis of the carboxamide function with NaOH in iso-PrOH (97N), gave title compound II. I generally had pA2 > 5.3 for inhibition of PG22-induced contraction of guinea pig ileum in vitro, and EDSO of 0.01-100 mg/kg orally in the i.p.-induced writhing test.
177758-29-1P 177758-44-0P 177758-45-IP
177758-49-5P 177758-47-3P 177758-51-9P
177758-99-4P
177758-99-4P
18LS BAC (Biological activity or effector, except adverse): BSU (Biological

177738-98-4P
RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SFN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of aromatic amino ethers as analgesics) 177758-29-1 CAPLUS
3-Pyridinecarboxamide, 6-{{[5-bromo-2-(phenylmethoxy) phenyl}methyl}ethylamino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

ANSWER 23 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) ino)-N-{(3,5-dimethyl-4-isoxazolyl)sulfonyl}- (9CI) (CA INDEX NAME)

PAGE 2-A

177758-48-4 CAPLUS
3-Pyridinecarboxamide, 6-[[[5-bromo-2-(phenylmethoxy)phenyl]methyl]ethylamino]-N-[(2-hydroxyethyl)sulfonyl]- (9CI) (CA INDEX NAME)

177759-49-5 CAPLUS

3-Pyridinecarboxamide, 6-{ethyl[{5-(methylsulfonyl)-2-(phenylmethoxy)phenyl]methyl}amino]-N-[(phenylmethyl)sulfonyl}- (9CI) (CA

L4 ANSWER 23 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN INDEX NAME) (Continued)

- 177758-50-8 CAPLUS
 3-Pyridinecarboxamide, 6-[[{5-bromo-2-(phenylmethoxy)phenyl]methyl]ethylamino]-N-[{1,3,5-trimethyl-1H-pyrazol-4-yl)sulfonyl}- (9CI) (CA INDEX NAME)

PAGE 1-A

L4 ANSWER 23 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

- 177758-52-0 CAPLUS
 3-Pyridinecarboxamide, 6-[[[5-bromo-2-(phenylmethoxy)phenyl]methyl]methylamino]-N-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

(Continued) PAGE 2-A

(Continued)

L4 ANSWER 23 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

- 177758-53-1 CAPLUS
 3-Pyridinecarboxamide, 6-[[[5-bromo-2-(phenylmethoxy)phenyl]methyl]ethylamino]-N-[(tetrahydro-1,1-dioxido-3-thienyl)sulfonyl]- [9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

- 177758-56-4 CAPLUS
 3-Pyridinecarboxamide, 6-[ethyl[[2-(phenylmethoxy)phenyl]methyl]amino]-N[(2-hydroxyethyl)sulfonyl]- (9CI) (CA INDEX NAME)

<12/14/2005>

177758-98-4 CAPLUS
3-Pyridinecarboxanide, 6-[[[5-bromo-2-(phenylmethoxy)phenyl]methyl]ethylam
ino]-N-[[5-[(methylamino)carbonyl]-1,3,4-thiadiazol-2-yl]sulfonyl]- (9CI)
(CA INDEX NAME)

L4 ANSWER 24 OF 71 CAPLUS COPYRIGHT 2005 ACS on STM
ACCESSION NUMBER: 1995:607987 CAPLUS
DOCUMENT NUMBER: 123:286034
ITILE: 123:286034
Substituted triazolinenes, triazolinethiones, and triazolinines as angiotensin II antagonists
Ashton, Wallace T.: Chang, Linda L.: MacCoss, Malcole: Chakravarty, Prasun K.: Greenlee, William J.: Pathett, Arthur A.: Flanagan, Kelly
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
U.S.: 90 pp. Cont.-in-part of U.S. Ser. No. 899,868, abandoned.
CODEN: USDCAM
DOCUMENT TYPE: Pathett English
FAMILY ACC. NUM. COUNT: 5
PATENT INTORNATION: 3

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5411980	A	19950502	US 1992-994228	19921221
ZA 9204916	A	19930331	ZA 1992-4916	19920702
PRIORITY APPLN. INFO.:			US 1989-386328 B2	19890728
			US 1990-504507 B2	19900404
			US 1991-725720 B2	19910703
			US 1991-812891 B2	19911220
			US 1992-899868 B2	19921217

OTHER SOURCE(S): MARPAT 123:286034

There are disclosed new substituted triazolinone compds. I [R2a = H, halo: R2b = H, halo: C1-4-alkyl: R3a = H, halo: R3b = H, halo: C1-4-alkyl: E is a single bond: R6 = (un) substituted C1-6-alkyl: R2 = e.g., (un) substituted Ph, branched C3-7-alkyl: C3-7-cycloalkyl: V1 = H, Me, CF3,

L4 ANSWER 25 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:488487 CAPLUS
DOCUMENT NUMBER: 122:233298

INTILE: Influence of S,S-diisopropyl-N-(1-methyl-2pyridoyl) sulfylimine on trifluralin toxicity to
soybeans (Glycine maximum L.)

AUTHOR(S): Shvartau, V. V.: Akimenko, L. I.: Merezhinsky, Yu. G.:
Meletskysya, O. S.: Shvartau, V. V.: Slusarenko, E.
I.: Danchenko, E. A.: Shermolovich, Yu. G.
Inst. Fiziol. Rast. Genet., Kiev, Ukraine
DOCUMENT TYPE: Document Nauk Ukraini (1994), (7), 124-6

PUBLISHER: Naukova Dumka
DOCUMENT TYPE: Journal
AB To search for antidotes of dinitroaniline herbicides a new biol. active
compound, S,S-diisopropoyl-N-(1-methyl-3-pyridoyl) sulfylimine ("A"), was
synthesized. The evaluation of antidote activity of "A" indicated that
the compound effectively protected soybean plants from trifluralin injury.
The antidote action of "A" was in accord with "A" influence on herbicide
degradation enhancing in soil. Antidote "A" was more effective than
and dichlormid (R-25788).

and dichlormid (R-25788). 162441-90-9P

162441-90-99
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and herbicide antidote activity of)
162441-90-9 CAPLUS
Sulfilimine, N-[(1-methylpyridinium-3-yl)carbonyl]-S,S-bis(1-methylethyl), iodide (9CI) (CA INDEX NAME)

• I-

ANSWER 24 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) halogen, with the proviso that V1 = CF3 when V2 = H; V2 = e.g., H, NO2, NRIORZI; R10 = H, C1-4-alkyl, R21 = H or R22; R22 = e.g., C1-6-alkyl, C3-7-cycloalkyl; aryl] which are useful as angiotensin II antagonists. Thus, e.g., reaction of 4-bromomethyl-2"-(t-butoxycarbonyl)hiphenyl with K phthalimide afforded 82% N-{[2"-(t-butoxycarbonyl)hiphenyl with K phthalimide afforded 82% N-{[2"-(t-butoxycarbonyl)hiphenyl-4-yl]methyl]phthalimide; hydraxinolysis afforded 82% 4-aminomethyl-2"-(t-butoxycarbonyl)hiphenyl: reaction with C52/MeI afforded 84% Ne N-{[2"-(t-butoxycarbonyl)hiphenyl-4-yl]methyl]-3-thiocarbamate; reaction of the latter with hydraxine afforded 79% 4-{[2"-(t-butoxycarbonyl)hiphenyl-4-yl]methyl]-3-thiosemicarbaxies; heterocyclization with tri-He orthovalerate afforded 63% 4-{[2"-(t-butoxycarbonyl)hiphenyl-4-yl]methyl]-5-butyl-2.4-dihydro-3H-1,2.4-triazole-3-thione; removal of the t-Bu group with trifluoroacetic acid afforded the corresponding 2"-carboxy deriv. (21%). Representative compds. of the invention act as angiotensin II receptor antagonists with activity of at least IC50 < 50 µM. Pharmaceutical formulations were given. 159044-96-99
RI: BAC (Biological activity or effector, except adverse): BSU (Biological study); PREP (Preparation); USES (Uses)
(substituted triazolinomes, triazolinethiones, and triazolinimines as angiotensin II antagonists)
15904-96-9 CAPLUS
3-Pyridinecarboxamide, N-[(4'-[(3-butyl-1,5-dihydro-5-oxo-1-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]-2-chloro- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 71
ACCESSION NUMBER: 1995:354646 CAPLUS
DOCUMENT NUMBER: 123:83393
ITILE: Pridine derivatives, herbicidal composition containing them, and method for killing weeds
Hyzazaki, Hasahiron Matsuzawa, Hasahumi; Toriyahe, Keiji; Hirata, Michiya
PATENT ASSIGNEE(S): Kumiai Chemical Industries Co., Ltd., Japan; Ihara Chemical Industries Co., Ltd.
U.S., 45 pp. Cont.-in-part of U.S. Ser. No. 927,281.

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5380700	A	19950110	US 1992-996042	19921223
JP 05331163	A2	19931214	JP 1991-84556	19910326
US 5385880	A	19950131	US 1992-927281	19920917
IN 178208	A	19970315	IN 1994-CA798	19940930
IN 178419	A	19970419	IN 1994-CA799	19940930
PRIORITY APPLN. INFO.:			JP 1991-84556 A	19910326
			US 1992-927281 A	19920917
			WO 1992-JP362 W	19920326
			IN 1992-CA401 A	19920604
			IN 1992-CA402 A:	19920604

OTHER SOURCE(S): MARPAT 123:83393

$$x^1$$
 x^2
 x^2
 x^2
 x^2
 x^2
 x^2
 x^2
 x^2

147078-07-79
RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SFN (Synthetic preparation): BIOL (Biological study): PREP (Preparation): USES (Uses)

L4 ANSWER 26 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(herbicidal (pyrmidinylthio) - and (triazinylthio)pyridine derivs.)

147078-07-7 CAPLUS

CN 3-Pyrdinecarboxamide, 4-(3-chlorophenyl)-2-{(4,6-dimethoxy-2-pyrimidinyl)thio)-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 71 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1994:700817 CAPLUS DOCUMENT NUMBER: 121:300817

TITLE:

121:300817
Triazolinone Biphenylsulfonamide Derivatives as Orally Active Angiotensin II Antagonists with Potent ATI Receptor Affinity and Enhanced AT2 Affinity Ashton, Wallace T.; Chang, Linda L.; Planagan, Kelly L.; Hutchins, Steven M.; Naylor, Elizabeth M.; Chakcavarty, Prasun K.; Patchett, Arthur A.; Greenlee, William J.; Chen, Tsing-Bau; Faust, Kristie A.; Chang, Raymond S. L.; Lotti, Victor J.; Zingaco, Gloria J.; Schorn, Terry W.; Siegl, Peter K. S.; Kivlighn, Salah D.

D. Merck Research Laboratories, Rahway, NJ, 07065, USA Journal of Medicinal Chemistry (1994), 37(17), 2808-24 CODEN: JMCMAR; ISSN: 0022-2623

CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE:

AUTHOR(S):

<12/14/2005>

Several series of 2,4-dihydro-2,4,5-trisubstituted-3H-1,2,4-triszol-3-ones with acidic sulfonamide replacements of tetrazole at the 2'-position of the biphenyl-4-ylmethyl side chain at N4 were prepared and tested as angiotensin II (AII) antagonists. Preferred substituents on the triszolinone ring were Bu at CS and 2-(trifluoromethyl)phenyl at N2. Subnanomolar ICSO values at the ATI receptor subtype were observed for a variety of acylsulfonamides, including aroyl, heteroarcyl, and cycloaltylcarbonyl derivs. Certain other acidic sulfonamides, such as sulfonylcarbanates and disulfinides also displayed high affinity for the ATI receptor. In addition, AT2 binding for some of these compds. was increased by as much as 1000-fold over the corresponding tetrazole, e.g. AT2 ICSO 17 nM for I (R = Me3CO). When evaluated for inhibition of the AII pressor response, the benchmark benzoylsulfonamide I (R = Ph) (I-159,913) was efficacious in several species and was superior to losartan in conscious rhesus monkeys. Several subsequent analogs, including the I (R = 2-ClCGH4), 3-chlorothiophene-2-yl, (S)-2.2-dimethylcyclopropyl, Me3CO) derivs., were highly effective in rats, surpassing I (R = Ph) and losartan in duration of action and/or potency. Compound I (R = 2-ClCGH4) (I-162,223) displayed very prolonged AII antagonism in the rat model (24 h at 1 my/kg i.v.). At 1 my/kg po in rats, I (R = 2-ClCGH4) and I (R = Me3CO) (L-162,234) produced 85-871 peak inhibition of the AII pressor response with duration exceeding 6 h. The

ANSWER 28 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) identification of triazolinone-based sulfonamide derivs. combining high AT1 affinity, considerably enhanced AT2 potency, and favorable in vivo properties provides insights relevant to the design of dual AT1/AT2 receptor antagonists.

135044-96-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and angiotensin II antagonist activity of)
159044-96-9 CAPLUS
3-Pyridinecarboxamide, N-[4*-[4]-butyl-1,5-dihydro-5-oxo-1-[2trifluoromethyl)phenyl]-4H-1,2,4-triazol-4-yl]methyl][1,1*-biphenyl]-2yl]sulfonyl]-2-chloro (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:605212 CAPLUS
100CHCENT NUMBER: 121:205212
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121:205

DOCUMENT TYPE: PE LANGUAGE: PAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: Patent English

		DATE	APPLICATION NO.	
			EP 1993-305622	19930716
EP 580374	B1	19960103		
R: AT, BE, CH,	DE, DK	, ES, FR, GB	, GR, IE, IT, LI, LU,	MC, NL, PT, SE
JP 06321903	A2	19941122	JP 1993-214766	19930630
JP 2994182	B2	19991227		
CA 2100011	AA .	19940124	CA 1993-2100011	19930707
CA 2100011	С	19980203	CA 1993-2100011	
ZA 9305042	A	19940405	ZA 1993-5042	
IL 106340	A1	19990312	IL 1993-106340	19930714
SK 281481	В6	20010409	SK 1993-750	19930715
AT 132489 ES 2085118	E	19960115	AT 1993-305622	19930716
ES 2085118	73	19960516	ES 1993-305622	
AU 9342106	A1	19940203	AU 1993-42106	
AU 657056				
BR 9302960	۸	19940216	BR 1993-2960	19930722
RU 2083562	C1	19970710	RU 1993-50289	19930722
PL 173611				
CN 1081670			CN 1993-109092	19930723
CN 1044233				
US 5360806			US 1993-95192	19930723
HU 69334	A2	19950628		
HU 214279	В	19980302		
CZ 286147	B6	20000112	CZ 1993-1502	19930723
PRIORITY APPLN. INFO.:			JP 1992-238804	A 19920723
			JP 1993-57668	
			JP 1993-96428	A 19930317
OTHER SOURCE(S):	MARPAT	121:205212		

AB Title compds. [I: R = halomethyl: R1,R2 = H, (cyclo)alkyl, alkenyl,

L4 ANSWER 30 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:557652 CAPLUS

121:157652 LAPLUS

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5250548	A	19931005	US 1992-844351	19920302
CA 2050723	AA	19920311	CA 1991-2050723	19910905
AU 9183744	A1	19920312	AU 1991-83744	19910909
AU 647174	B2	19940317		
JP 04261156	A2	19920917	JP 1991-258343	19910910
JP 07053551	A2	19950228	JP 1993-187412	19930630
PRIORITY APPLN. INFO.:			US 1990-580400	B2 19900910
			US 1991-744241	A2 19910815
OTHER SOURCE(S):	MARPAT	121:157652		

The title compds., [{(tetrazolylbiphenylyl)methyl}amino]pyridimecarbomylates I (R3 - H, alkyl, halo; R5 - alkyl) were disclosed. Pharmacol. test data for I as ampiotensin receptor antagonists were reported.

151322-15-80
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as ampiotensin antagonist)
151323-15-8 CAPUS
3-Pyridimecarbomanide, N-(phenylsulfonyl)-2-[propyl{[2'-(lH-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]amino]- (9CI) (CA INDEX NAME)

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ANSWER 29 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) alkysulfonyl, etc.; NR1R2 = heterocyclyl; X = 0 or S; a = 0 or 1 } were prepd. Thus, 4-trifluoromethylpyridine-3-carboxylic acid was amidated by HZMCHZOK to give title compd. If which gave complete control of Myzus persicae larvae on eggplant leaf dipped in an 800ppm soln.
189063-57-1P 138063-60-69
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as pesticide)
158063-57-1 CAPLUS
3-Pyridinecarboxamide, N-(methylsulfonyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

158063-60-6 CAPLUS
3-Pyridinecarboxamide, N-[(dimethylamino)sulfomyl]-4-(trifluoromethyl)-(9C1) (CA IMDEX NAME)

L4 ANSWER 30 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 31 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1994:457525 CAPLUS DOCUMENT NUMBER: 121:57525 TITLE: Prepagation

121:5/525
Preparation of pyrimidine derivatives as herbicides
Myazaki, Masahiro: Matsuzawa, Masafumi: Toyabe, Keiji:
Hirata, Micha INVENTOR(S): PATENT ASSIGNEE(S): Kumiai Chemical Industry Co, Japan: Ihara Chemical Ind

SOURCE: Jpn. Kokai Tokkyo Koho, 79 pp. CODEN: JRXXAF

DOCUMENT TYPE: Patent FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 06041116 JP 3217848 PRIORITY APPLN. INFO.: OTHER SOURCE(5): A2 B2 19940215 20011015 JP 1992-97313 19920325 JP 1992-97313 19920325 MARPAT 121:57525

The title compds. [I; R = OH, alkoxy, benzyloxy, etc.; R1, R2 = alkoxy, alkyl, halo, etc.; X = alkyl, alkoxy, (un)substituted Ph, etc.; V = O, S, etc.; Z = methine, N; n = O - 3] are prepared A mixture of hydroxynicotinic acid ester II, K2CO3, and chloropyrimidine III in DMF was heated at 100° for 4 h to give pyrimidine IV. IV at 10 g/are gave 70 - 901 control of Echinochlos oryzicola. I47078=07-79
RE: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)

L4 ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
1994:435344 CAPLUS
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DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PA1	ENT NO.		KIN	ID I	DATE	AP	PLICAT	ION NO.		DATE		
		590520						1993-	115361		19930	923	
		590520											
		R: AT,	BE,	CH, DE,	DK,	ES, FR,	GB, G	R, IE,	IT, LI,	LU,	MC, NL,	PT.	SE
	DE	4233124		A)	. :	19940407	DE	1992-	4233124		19921	1002	
	US	5428046		A		19950627	US	1993-	124683		19930	922	
	AT	4233124 5428046 139227 2090806 1089603		E		19960615	AT	1993-	115361		19930	923	
	ES	2090806		T3	:	19961016	ES	1993-	115361		19930	923	
	CN	1089603		A		19940720	CN	1993-	118248		19930	929	
	11	10,122		A.		19990922	11	1993-	10/155		19930	1929	
	FI	9304303		A				1993-	4303		19930	930	
		103881				19991015							
	CZ	283869		В€		19980617	cz	1993-	2044		19930	930	
	CA	2107514		AJ		19940403	CA						
	NO	9303521 180085 180085		A		19940405	NO	1993-	3521		19931	1001	
	NO	180085		В		19961104							
	NO	180085		С		19970212							
	AU	9348726		A1	. :	19940414	AU	1993-	48726		19931	1001	
	AU	662448		Ba	!	19950831							
	ZA	9307298		A		19940425	ZA	1993-	7298		19931	1001	
	HU	67292		A2	! :	19950328	HU	1993~	2778		19931	1001	
	RU	2117660		C1	. :	19980820	RU	1993-	56156		19931	1001	
	PL	176772		B1	. :	19990730	PL	1993~	300561		19931	1001	
	JP	06211795		A2	: :	19940802	JP	1993-	247717		19931	1004	
RI	ORITY	APPLN.	INFO.	.:			DE	1992-	4233124	A	19921	1002	
ΤН	ER SC	DURCE (S) :		HAS	PAT :	121:3534	4						

Title compds. [I: A = R3, B = XNRSR6, or B = R3, A = XNRSR6: X = bond, CO: R1-R3 = H. alkyl, alkoxy, halo, cyano, CH, amino: R4 = (substituted) acyloxyalkyl, alkyl, alkeyl, alkynyl, alkeynyyl, aryl, aralkyl, heteroacyl: R5 = H. alkyl, protecting group, physiol. acceptable cation: R6 = Y(CU): DW: Y = SO2, CO: C = bond, (substituted) (cyclo) alkanediyl, <12/14/2005>

ANSWER 31 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN 147078-07-7 CAPLUS (Continued) 3-Pyridinecarboxamide, 4-(3-chlorophenyl)-2-[(4,6-dimethoxy-2-pyrimidinyl)thio]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (cyclo)alkenediyl, alkynediyl, alkenyndiyl; U = bond, H, CO, CO2, O, SO, SO2, COMH, etc., D = bond, H, (substituted) alkanediyl, alkenediyl, alkynediyl; W = bond, H, (substituted) cycloaliphatyl, aryl, heteroaryl; n = 0, 1; r = 1-4; with provisos], were prepd. Thus, a soln. of 4-methoxybenzenesulfonamide in THF at 0' was treated with KOCMe3 and then with a soln. of 2-methoxycarbonylpyridine-5-carbonyl chloride: the mixt. was stirred 3 h while warming to room temp. to give Me 5-[[(4-methoxyphenylsulfonyl)amino]carbonyl]pyridine-2-carbonylate. This was sapond with NaOH in MeOH/H2O followed by esterification with 2-propanol/conc. H2SO4 to give title compd. II. In the CCl4-induced liver fibrosis test in rats, I were active at 1-100 mg/kg orally or i.p. 155881-76-8P

135881-76-8P
RL: SPN (Synthetic preparation): PREP (Preparation)
(preparation of)
155881-76-8 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[4-[(phenoxyacetyl)amino]phenyl]sulfonyl]a
mino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

IT 138834-65-8P 138834-71-6P 138834-75-0P 152457-91-5P 152458-01-0P 152458-04-3P 153881-74-6P RI: 5PM (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate for drug for treatment of fibrotic disease)
RN 138834-65-8 CAPLUS
CN 2-Pyridinecarboxylic acid, 5-[{[phenylsulfonyl]amino]carbonyl}-, methyl ester (9CI) (CA INDEX NAME)

138834-71-6 CAPLUS
2-Pyridinecarboxylic acid, 5-[[(4-methoxyphenyl)sulfonyl]amino]carbonyl]-, methyl seter (9C1) (CA INDEX NAME)

L4 ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

138834-75-0 CAPLUS 2-Pyridinecarboxylic acid, 5-[[(phenylsulfonyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

152457-91-5 CAPLUS 2-Pyridinecarboxylic acid, 5-[[[(4-methoxyphenyl)sulfonyl]amino]carbonyl]-(9C1) (CA INDEX NAME)

152458-01-0 CAPLUS 2-Pyridinecarboxylic acid, 5-[[[4-[[(2-phenylethyl)amino]carbonyl]phenyl] sulfonyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

152458-04-3 CAPLUS 2-Pyridinecarboxylic acid, 5-[[[4-[2-[(2-chloro-5-methoxybenzoyl) amino]ethyl]phenyl]sulfonyl]amino]carbonyl]- (9CI) (CÁ INDEX NAME)

ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

152457-97-1 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[4-(trifluoromethoxy)phenyl]sulfonyl]amino
Jcarbonyl]-, methyl ester (9CI) (CA INDEX NAME)

152458-00-9 CAPLUS 2-Pyridinecarboxylic acid, 5-{[[[4-{[[2-phenylethyl]amino]carbonyl]phenyl} sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

152458-02-1 CAPLUS 2-Pyridinecarboxylic acid, 5-{[[{4-{2-[(2-chloro-5-methoxybenzoyl)amino]ctpyl}phenyl}sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

152458-06-5 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[4-{(1-oxo-4-phenylbutyl)amino]phenyl}sulf
onyl]amino]carboxyl}-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

$$\begin{array}{c} \text{MeO} \\ \\ \\ \text{C} \\ \\ \text{C1} \\ \end{array}$$

155881-74-6 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[{4-[[(3-ethoxypropyl)amino]carbonyl]phenyl]sulfonyl]mino]carbonyl]- (9CI) (CA INDEX NAME)

(Continued)

152457-92-6P 152457-96-0P 152457-97-1P 152458-00-9P 152458-02-1P 152458-06-5P 153881-39-3P 155881-40-6P 155881-41-7P 155881-48-0P 155881-48-0P 155881-48-0P 155881-48-0P 155881-48-0P 155881-48-0P 155881-49-5P 155881-49-5P 155881-59-0P 155881-51-9P 155881-52-0P 155881-53-0P 155881-53-6P 155881-53-7P 155881-53-6P 155881-59-7P 155881-59-7P 155881-59-7P 155881-59-7P 155881-69-0P 155881-69-7P 155881-69-69 155881-69-7P 155881-69-155881-69-155881-69-7P 155881-69-155881-69-155881-69-155881-69-155881-69-155881-69-19 155881-69-155881-69-19 155881-69-19 155881-69-9P 155881-69-0P 155

152457-96-0 CAPLUS 2-Pyridinecarboxylic acid, 5-[[[(4-butoxyphenyl)sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

155881-39-3 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[{4-methoxyphenyl)sulfonyl]amino]carbonyl}-, 1-methylethyl ester [9CI) (CA INDEX NAME)

155881-40-6 CAPLUS
2-Pyridinecarboxylic acid, 5-{{(phenylsulfonyl)amino}carbonyl}-,
1-ethylpropyl ester (9CI) (CA INDEX NAME)

155881-41-7 CAPLUS 2-Pyridinecarboxylic acid, 5-[[(butylsulfonyl)amino]carbonyl]-, methyl ester (9C1) (CA INDEX NAME)

155881-42-8 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[4-[[(3-phenylpropyl)amino]carbonyl]phenyl]sulfonyl]amino]carbonyl]-, methyl ester (9C1) (CA INDEX NAME)

L4 ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

155881-43-9 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[{4-[[(4-phenylbutyl)amino]carbonyl]phenyl]
sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

155881-44-0 CAPLUS
2-Pyridinecarboxylic acid. 5-[[[4-[[[2-(4-methoxyphenyl)ethyl]amino]carbo
nyl]phenyl]aulfonyl]amino]carbonyl]-, methyl ester (9C1) (CA INDEX NAME)

155881-45-1 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[4-[[[2-(3,4-dimethoxyphenyl)ethyl]amino]carbonyl]phenyl]sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX

155881-46-2 CAPLUS 2-Pyridinecarboxylic acid, 5-[[[[4-[[[2-(2-methoxyphenyl)ethyl]amino]carbo

1.4 ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

155881-50-8 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[4-[2-(benzoylamino)ethyl]phenyl]sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

155881-51-9 CAPLUS 2-Pyridinecarboxylic acid. 5-{[[[4-[2-([4-chlorobenzoy1)amino]ethyl]phenyl]pulfonyl]amino]carbonyl]-. methyl ester, monosodium salt (9CI) (CA INDEX NAME)

● Na

155881-52-0 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[{4-{2-{(5-chloro-2-methoxyberzoyl)amino]ethyl]phenyl]sulfonyl]amino]carbonyl]-, methyl ester(9CI) (CA INDEX NAME)

155881-53-1 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[{4-{2-[(1-oxo-3-phenylpropyl)aminojethyl]phenyl}sulfonyl]aminojcarbonyl]-, methyl ester, monosodium salt (9CI) (CA INDEX NAME)

ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) nyl]phenyl]sulfonyl]amino[carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

155881-47-3 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[4-[[(3-ethoxypropyl)amino]carbonyl]phenyl]sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

155881-48-4 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[4-{2-[(1-oxopentyl)amino]ethyl]phenyl]sul
fonyl]amino[carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

155881-49-5 CAPLUS 2-Pyridinecarboxylic acid, 5-[[[[4-[2-[(1-oxobuty])amino]ethyl]phenyl]sulf onyl]amino]earboxyl]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

155881-54-2 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[4-[2-[(phenoxyacetyl)amino]ethyl]phenyl]sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

155881-55-3 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[4-[2-[(cyclohexylacetyl)amino]ethyl]pheny
1]sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

155801-56-4 CAPLUS
2-Pyridinecarboxylic acid, 5-{{[{4-{2-[(2-methyl-1-oxopropyl) amino]ethyl}phenyl]sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

155881-57-5 CAPLUS 2-Pyridinecarboxylic acid, 5-{[[[4-{|(acetylamino)methyl]phenyl}sulfonyl]amino|carboxyl-, aethyl ester [9CI) (CA INDEX NAME)

155881-58-6 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[4-{2-[(3-methyl-1-oxobutyl)amino]ethyl]phenyl}sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

155881-59-7 CAPLUS
2-Pyridinecarboxylic acid, 5-{[[[4-[2-([4-methyl-1-oxopentyl)amino]ethyl]phenyl]sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

155881-60-0 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[{4-{[(3-methyl-1-oxobutyl)amino]methyl]phenyl]sulfonyl]amino]carbonyl]-, methyl ester (9CI)
(CA INDEX NAME)

ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 155881-64-4 CAPLUS 2-Pyridinecarboxylic acid, 5-{{[[4-{[(3-ethoxypropyl)amino]carbonyl]phenyl | sulfonyl]mino]carbonyl}-, 1-methylethyl ester, monosodium salt (9CI) (CA INDEX NAME)

155881-65-5 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[{2-chloro-4-[[(2-phenylethyl)amino]carbonyl]phenyl]sulfonyl]amino]carbonyl}-, methyl ester, monosodium salt (9CI) (CA INDEX NAME)

155881-66-6 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[2-chloro-4-[[(3-ethoxypropy1)amino]carbonyl]phenyl]sulfonyl]amino]carbonyl]-, methyl ester
(9CI) (CA INDEX NAME)

155881-67-7 CAPLUS
2-Pyridinecarboxylic acid, 5-{[[[4-{2-(acetylamino)ethyl]phenyl]aulfonyl]a mino]carboxyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$\begin{array}{c} \vdots\\ i-Bu-C-NH-CH_2\\ \vdots\\ \vdots\\ S-NH-C\\ \vdots\\ \end{array}$$

155881-61-1 CAPLUS
2-Pyridinecarboxylic acid, 5-[{[[4-[{(4-methyl-1-cxopentyl)amino]methyl]phenyl}sulfonyl]amino]carbonyl]-, methyl ester
(9CI) (CA INDEX NAME)

155881-62-2 CAPLUS 2-Pyridinecarboxylic acid, 5-[[[4-[[(2-phenylethyl)amino]carbonyl]phenyl] sulfonyl]amino]carbonyl]-, 1-ethylpropyl ester (9CI) (CA INDEX NAME)

155881-63-3 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[{3-[[(2-phenylethyl)amino]carbonyl]phenyl]
sulfonyl]amino|carbonyl]-, 1-ethylpropyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

155881-68-8 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[4-[2-((2-chloro-5-methoxybenzoy1)amino]cthyl]phenyl]sulfonyl]amino]carbonyl]-, 1-ethylpropyl ester (9CI) (CA INDEX NAME)

155881-69-9 CAPLUS 2-Pyridinecarboxylic acid, 5-{[[[4-{2-[[(3,4-dimethoxyphenyl)acetyl]amino]ethyl]phenyl]sulfonyl]amino]carbonyl]-. methyl ester (9CI) (CA INDEX NAME)

155881-70-2 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[{4-[[[2-(4-methoxyphenyl)ethyl]amino]carbo
nyl]phenyl]sulfonyl]amino]carbonyl]-, methyl ester, compd. with
2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

CRN 155881-44-0 CMF C24 H23 N3 O7 S

L4 ANSWER 32 OF 71 CAPLUS COPYRIGHT 2005 ACS OR STN (Continued)

CH 2 CRN 77-86-1 CMF C4 H11 N O3

L4 ANSWER 33 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

15365-24-6 CAPLUS
3-Pyridinecarboxamide, N-[[4-[2-[(2-chloro-5-methomybenzoy1)amino]ethyl]phenyl]sulfomyl]-6-[chloromethyl)-, monosodium salt (9CI) (CA INDEX NAME)

153684-99-1p 153684-99-2p 153685-03-1p
153685-04-2p 153685-05-3p 153685-06-4p
153685-07-5p 153685-06-6p 153685-09-1p
153685-10-0p 153685-11-1p 153685-12-2p
153685-13-3p 153685-14-4p 153685-15-5p
153685-16-6p 153685-17-7p 153685-18-0p
153685-19-9p 153685-20-2p
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as fibrosuppressive agent)
153684-98-1 CAPLUS
3-Pyridinecarboxamide, 6-[(phenylmethoxy)methyl]-N-(phenylsulfonyl)- (9CI)
(CA INDEX NAME) IT

Ph-CH2-O-CH2

153684-99-2 CAPLUS 3-Pyridinecarboxamide, 6-(hydroxymethyl)-N-((4-phenoxyphenyl)sulfonyl]-(9CI) (CA INDEX NAME) L4 ANSVER 33 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1994:270116 CAPLUS DOCUMENT NUMBER: 120:270116

DOCUMENT NUMBER: TITLE:

120:270116
Preparation of 4- or 5-(sulf)imido- and
-(sulfon)amidopyridines and their N-oxides as
fibrosuppressive agents
Weidmann, Klaus: Bickel, Martin: Guenzler-Pukall,
Volkmar
Boochst A.-G., Germany
Eur. Pat. Appl., 73 pp.
CODEN: EPXXUW
Patent
1

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 567997	A1	19931103	EP 1993-106797	19930427
R: AT, BE, CH,	DE, DK,	ES, FR, GB	, GR, IE, IT, LI, LU,	MC, NL, PT, SE
ZA 9302983	Α.	19931115	ZA 1993-2983	19930428
CA 2095206	AA	19931031	CA 1993-2095206	19930429
NO 9301560	λ	19931101	NO 1993-1560	19930429
AU 9338225	A1	19931104	AU 1993-38225	19930429
CN 1079466	A	19931215	CN 1993-105255	19930429
JP 06087831	λ2	19940329	JP 1993-128332	19930430
PRIORITY APPLN. INFO.:			DE 1992-4214465	A 19920430
			DE 1992-4224440	A 19920724
OTHER SOURCE(S):	MARPAT	120:270116		

$$R^1$$
 R^1
 R^2
 R^2

Title compds. [I; 1 of A,B = R3 and the other = XNR6R7; R1-R3 = H, alkyl, alkoxy, halo, etc.; R4 = a group physiol. convertable to a carboxylate function; R4 = ester or anide; R6 = H, alkyl, protective group, etc.; R7 = YN8; R8 = H, cycloalk(en)yl, (hetero)aryl, etc.; X = bond, C0; Y = SO2, C0, etc.; n = 0 or 1] were prepared as fibrosuppressives (no data). Thus, Me 5-aminopyridine-2-carboxylate was amidated by 4-FCGH4SO2Cl and the product treated with LAH to give title compd, II.
153685-23-59 153685-24-69
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of fibrosuppressive agent)
153685-23-5 CAPLUS
3-Pyridinecarbox amide, 6-(hydroxymethyl)-N-[{4-[(2-phenylethyl)amino]carbonyl]phenyl]sulfonyl]- (SCI) (CA INDEX NAME)

ANSWER 33 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

153685-03-1 CAPLUS 3-Pyridinecarboxamide, 6-(ethoxymethyl)-N-(phenylaulfonyl)- (9CI) (CA INDEX NAME)

153685-04-2 CAPLUS
3-Pyridinecarboxamide, N-{(4-butoxyphenyl)sulfonyl}-6-(methoxymethyl)-9C1) (CA INDEX NAME)

153685-05-3 CAPLUS 3-Pyridinecarboxamide, N-[(4-butoxyphenyl)sulfonyl]-6-(hydroxymethyl)-(9CI) (CA INDEX NAME)

153685-06-4 CAPLUS
3-Pyridinecarboxamide, 6-(hydroxymethyl)-N-[[4-[[2-phenylethyl]amino]carbonyl]phenyl]sulfonyl]-, monoammonium səlt (9C1) [KNDEX NAME)

L4 ANSWER 33 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● NH3

153685-07-5 CAPLUS
3-Pyridinecarboxamide, N-{{4-{2-{(2-chloro-5-methoxybenzoyl)amino]ethyl]phenyl]ufcnyl]-6-(hydroxymethyl)-, monosodium salt (9CI) (CA INDEX NAME)

153685-08-6 CAPLUS
3-Pycidinecarboxamide, N-[[4-{ [butylamino] carbonyl] phenyl] sulfonyl]-6-[[phenylamthoxy] bethyl]- (9CI) (CA INDEX NAME)

153685-09-7 CAPLUS
3-Pyridinecarboxanide, N-[[4-[2-[(2-methyl-1-oxopropyl)amino]ethyl]phenyl]
sulfonyl]-6-[(phenylmethoxylmethyl]- (9CI) (CA INDEX NAME)

ANSWER 33 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

153685-13-3 CAPLUS
3-Pyridinecarboxanide, N-[[4-{2-{(cyclohexylacetyl)amino|ethyl]phenyl]sulfonyl]-6-(ethoxysethyl)- (9CI) (CA INDEX NAME)

153685-14-4 CAPLUS
3-Pyridinecarboxamide, 6-(ethoxymethyl)-N-[[4-{2-[(1-oxohexyl)amino]ethyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

153685-15-5 CAPLUS
3-Fyridinecarboxamide, 6-(ethoxymethyl)-N-[[4-[2-[(4-methyl)-noxopentyl)amino]ethyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

153685-16-6 CAPLUS
3-Pyridinecarboxanide, N-{[4-[(butylamino)carbonyl]phenyl]sulfonyl]-6-(ethoxymethyl)- (GC INDEX NAME)

L4 ANSWER 33 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

153685-10-0 CAPLUS
3-Pyridinecarboxanide, N-{[4-[2-[(4-methyl-1-oxopentyl)amino]ethyl]phenyl]
sulfonyl)-6-[(phenylmethoxy)methyl]- (9C1) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

— CH2— Ph

153685-11-1 CAPLUS
3-Pyridinecarboxamide, N-{{4-{{((2-phenylethyl) amino]carbonyl]phenyl}sulfon yl]-6-{(phenylmethoxy)methyl}- (9CI) (CA INDEX NAME)

153685-12-2 CAPLUS
3-Pyridinecarboxamide, 6-(ethoxymethyl)-N-[[4-[[(2-phenylethyl)amino]carbonyl]phenyl]sulfonyl]- (9C1) (CA INDEX NAME)

L4 ANSWER 33 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

153685-17-7 CAPLUS
3-Pyridinecarboxamide, N-[[3-[(butylamino)carbonyl]phenyl]sulfonyl]-6-(ethoxymethyl)- (9CI) (CA INDEX NAME)

153685-18-8 CAPLUS
3-Pyridinecarboxamide, N-[{2-chloro-5-[{{2-{4-} fluorophenyl}amino]carbonyl}phenyl}sulfonyl}-6-(hydroxymethyl)-, monoammonium salt (9CI) (CA INDEX NAME)

● NH →

153685-19-9 CAPLUS
3-Pyridinecarboxamide, N-[{4-[2-{(cyclohexylacety))amino|ethyl]phenyl]sulf
onyl]-6-(hydroxymethyl)-, monoammonium salt (9CI) (CA INDEX NAME)

• NH3

153685-20-2 CAPLUS Habte

ANSWER 33 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 3-Pyridinecarboxamide, 6-(hydroxymethyl)-N-[[4-[2-[(2-methyl-1-openpyl)amino]ethyl]phenyl]sulfonyl]-, monoammonium salt (9CI) (CA INDEX NAME)

● NH3

L4 ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1994:106786 CAPLUS DOCUMENT NUMBER: 120:106786

DOCUMENT NUMBER:

Preparation of sulfonamido(carbon)pyridine-2-carboxamides as bibrosuppressives Weidmann, Klaus: Bickel, Martin: Guenzler-Pukall, TITLE:

INVENTOR(S):

Weidmann, Klaus: Bickel Volkmar Hoechst A.-G., Germany Eur. Pat. Appl., 92 pp. CODEN: EPXXDW Patent German

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				EP 1993-104658	19930322
	EP 562512				
	R: AT, BE, CH,	DE, DK	, ES, FR, G	B, GR, IE, IT, LI, LU,	MC, NL, PT, SE
	FI 102895	B1	19990315	FI 1993-1250	19930322
	SK 280884	B6	20000912	5K 1993-223	19930322
	AT 199250	E	20010315	FI 1993-1250 SK 1993-223 AT 1993-104658 ES 1993-104658	19930322
	ES 2154266	T3	20010401	ES 1993-104658	19930322
	PT 562512	T	20010629	PT 1993-104658	19930322
	CA 2092276	AA	19930925	PT 1993-104658 CA 1993-2092276	19930323
	NO 9301056 NO 179867 NO 179867	A	19930927	NO 1993-1056	19930323
	NO 179867	В	19960923		
	NO 179867	С	19970102		
	CN 1076691	A		CN 1993-103349	19930323
	AU 9335369	A1	19930930	AU 1993-35369	19930323
	AU 657609	B2	19950316		
	ZA 9302047	A	19931019	ZA 1993-2047	19930323
	JP 06049030	A2	19940222	JP 1993-63723 PL 1993-298195	19930323
				PL 1993-298195	19930323
	RU 2129545	C1	19990427	RU 1993-4764	19930323
	HU 69685	A2	19950928	HU 1993-850	19930324
	HU 219224	В	20010328		
	US 5607954	A	19970304	US 1994-355419	
	HK 1011987	A1	20010824	HK 1998-113239	19981211
	GR 3035479	T3	20010531	GR 2001-400321 DE 1992-4209424	20010228
1	PRIORITY APPLN. INFO.:			DE 1992-4209424	A 19920324
				DE 1992-4238506	A 19921114
				US 1993-28438	B1 19930309
	OFFIED COMPONER(C) -	MADDAT	120-106786	:	

L4 ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Title compds. [I: 1 of A, B = R3 and the other = XNRGR7: R1-R3 = H, halo, alkyl, alkony, etc.: R4, R5 = H, alkony, alkyl, aryl, etc.: R6 = H, alkyl, N-protective group, etc.: R7 = Y(ZU)rDW: X = bond or CO: Y = CO or SO: Z = bond, H, alk(en)ylene, etc.: U = null, bond, H, CO, O, SO: Q etc.: D = null, bond, H, alk(en)ylene, etc.: U = null, bond (sic), H, alk(en)yl, etc.: n = 0 or 1: r = 1-4) were prepared Thus, 2-methoxycarbonylpyridine-5-carboxylic acid was treated with SOCI2 and the product condensed with 4-(MeO)CGH4SO2NHZ to give, after amidation with HOCH2CH2NHZ, title compound II. I were effective (sic) at 1-100 ng/kg orally or i.p. in the CC14-induced liver fibrosis model employing rats. 13834-65-99 1382457-92-79 152457-93-79 152457

IT

(preparation and reaction of, in preparation of fibrosuppressive agent) 138834-65-8 CAPLUS 2-Pyridinearboxylic acid, 5-[{[phenylsulfonyl]amino]carbonyl}-, methyl ester (9CI) (CA INDEX NAME)

13834-71-6 CAPLUS
2-Pyridinecarboxylic acid, 5-[[(4-methoxyphenyl)sulfonyl]amino]carbonyl]-, methyl ester (9C1) (CA INDEX NAME)

L4 ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

152457-91-5 CAPLUS 2-Pyridinecarboxylic acid, 5-[[[(4-methoxyphenyl)sulfonyl]amino]carbonyl]-(9C1) (CA INDEX NAME)

152457-92-6 CAPLUS 2-Pyridinecarboxylic acid, 5-{[[(4-fluorophenyl)sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

152457-93-7 CAPLUS

2-Pyridinecarboxylic acid, 5-{[[(4-fluorophenyl)sulfonyl]amino]carbonyl}-(9CI) (CA INDEX NAME)

152457-96-0 CAPLUS 2-Pyridinecarboxylic acid, 5-[[[(4-butoxyphenyl)sulfonyl]amino]carbonyl}-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

152457-97-1 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[4-(trifluoromethoxy)phenyl]sulfonyl]amino
]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

$$F_3^{C-0} \underbrace{ \begin{bmatrix} \vdots \\ \vdots \\ \vdots \\ \vdots \\ \vdots \end{bmatrix}}_{S-NH-C} \underbrace{ \begin{bmatrix} \vdots \\ \vdots \\ \vdots \\ \vdots \\ \vdots \end{bmatrix}}_{C-OMe}$$

152458-00-9 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[4-{{(2-phenylethyl)amino]carbonyl}phenyl]
sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

152458-01-0 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[{4-[[(2-phenylethyl)amino]carbonyl]phenyl}sulfonyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

152458-02-1 CAPLUS
2-Pyridinecarboxylic acid, 5-{{{[4-{2-{{2-chloro-5-methoxybenzoyl} amino]ethyl}phenyl}sulfonyl}amino]carbonyl]-, methyl ester

ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN 152457-87-9P 152457-88-0P 152457-89-1P 152457-90-4P

152437-90-4P
RL: SPN (Synthetic preparation): PREP (Preparation)
(prepn. of, as fibrosuppressive agent)
15257-41-5 CAPLUS
2,5-Pyridinedicarboxamide, N2-(2-hydroxyethyl)-N5-{(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

152457-42-6 CAPLUS Glycine, N-[[5-[[(4-methoxyphenyl)sulfonyl]amino]carbonyl]-2-pycidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

152457-43-7 CAPLUS 2,5-Pyridinedicarboxamide, N2-(2-hydroxyethyl)-N5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

152457-48-2 CAPLUS
2,5-Pyridinedicarboxamide, N5-[(4-butoxyphenyl)sulfonyl]-N2-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (9CI) (CA INDEX NAME)

152458-04-3 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[4-{2-{(2-chloro-5-methoxybenzoyl) amino]ethyl]phenyl]aulfonyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \\ \\ \text{C1} \end{array}$$

152458-06-5 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[4-{(1-oxo-4-phenylbutyl)amino]phenyl]sulf
onyl]amino[arboxyl]-, methyl ester (9CI) (CA INDEX NAME)

152457-41-5P 152457-42-6P 152457-43-7P 152457-40-2P 152457-50-6P 152457-50-6P 152457-51-7P 152457-52-8P 152457-50-6P 152457-51-7P 152457-55-2P 152457-55-2P 152457-57-3P 152457-55-1P 152457-62-0P 152457-63-1P 152457-63-6P 152457-63-1P 152457-71-1P 152457-73-5P 152457-73-1P 152457-83-5P 152457-83-5P 152457-83-5P 152457-83-5P 152457-83-5P 152457-83-5P

ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

152457-49-3 CAPLUS
2,5-Pyridinedicarboxamide, N2-(2-hydroxyethyl)-N5-[{4-(trifluoromethoxy)phenyl}sulfonyl}- (9CI) (CA INDEX NAME)

152457-50-6 CAPLUS
2,5-Pyridinedicarboxamide, N5-[[2,5-bis(2,2,2-trifluoroethoxy)phenyl]sulfonyl]-N2-(2-hydroxyethyl)- (9CI) (CA INDEX

152457-51-7 CAPLUS
2.5-Pyridinedicarboxamide, N5-(butylsulfomyl)-N2-(2-hydroxyethyl)- (9CI)
(CA INDEX NAME)

152457-52-8 CAPLUS
2,5-Pyridinedicarboxamide, N2-(2-hydroxyethyl)-N5-[[4-(3-(trifluoromethyl)phenoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

152457-53-9 CAPLUS 2,5-Pyridinedicarboxamide, N2-(2-methoxyethyl)-N5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

152457-54-0 CAPLUS Glycine, N-[6]-[[phenylsulfonyl]amino]carbonyl]-2-pyridinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

152457-55-1 CAPLUS Glycine, N-[{5-[(phenylsulfonyl)amino]carbonyl]-2-pyridinyl]carbonyl]-(9C1) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ \end{array}$$

L4 ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

- CH2- OH

152457-59-5 CAPLUS 2,5-Eyridinedicarboxamide, N5-[[4-[[butylamino]carbonyl]phenyl]sulfonyl]-N2-[2-hydroxyethyl)- (9CI) (CA INDEX NAME)

152457-60-8 CAPLUS 2,5-Pyridinedicarboxamide, N2-(2-hydroxyethyl)-N5-[[3-[[(2-phenylathyl)aminojcarbonyl]phenyl]-(9CI) (CA INDEX NAME)

152457-61-9 CAPLUS 2,5-Pyridinedicarboxamide, N5-[[4-[[[2-(3,4-dimethoxyphenyl)ethyl]amino]carboxyl]phenyl]sulfonyl]-N2-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

PAGE 1-R

— CH2— ОМе

152457-62-0 CAPLUS
Glycine. N-{[5-[[[4-[[(2-phemylethyl)amino]carbomyl]phemyl]sulfomyl]amino <12/14/2005>

ANSVER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 152457-56-2 CAPLUS 2.5-Pyridinedicarboxamide, N2-(2-hydroxyethyl)-N5-[[4-[[2-phenylethyl)amino]carbonyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

152457-57-3 CAPLUS
2,5-Pyridinedicarboxamide, N2-(2-hydroxyethyl)-N5-[{4-[{[2-(4-methoxyphenyl)ethyl]amino]carbonyl]phenyl]sulfonyl]- (9C1) (CA INDEX NAME)

PAGE 1-B

— СН2-- ОН

152457-58-4 CAPLUS
2,5-Pyridinedicarboxamide, N5-[[4-{[[2-(3,4-dimethoxyphenyl)ethyl]amino]carboxyl]phenyl]sulfonyl]-N2-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) |carbonyl]-2-pyridinyl]carbonyl]-, methyl ester, monosodium salt (9CI) (CA INDEX NAME)

152457-63-1 CAPLUS Glycine, N-[[5-[[[4-{[[2-(3,4-dimethoxyphenyl)ethyl]amino]carbonyl]phenyl]bulfonyl]amino]carbonyl]-2-pyridinyl]carbonyl]-, methyl ester, monosodium salt (9Cl) (CA INDEX NAME)

152457-64-2 CAPLUS
Glycine, N-[{5-[[[4-{(butylamino)carbonyl]phenyl]sulfonyl]amino]carbonyl]2-pyridinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
152457-65-3 CAPLUS
Glycine, N-(5-[[([4-[([3-ethoxypropyl]amino]carbonyl]phenyl]sulfonyl]amin
o|carbonyl]-2-pyridinyl|carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

152457-66-4 CAPLUS Glycine, N-[(5-[[[[3-[(butylamino)carbonyl]phenyl]sulfonyl]amino]carbonyl]-2-pycidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

152457-67-5 CAPLUS Glycine, N-[[5-[[[[3-[(butylamino]carbonyl]phenyl]sulfonyl]amino]carbonyl]-2-pytidinyl]carbonyl]- [9C1) (CA INDEX NAME)

152457-68-6 CAPLUS
Glycine, N-[[5-{{[{4-{[[2-(3,4-dimethoxyphenyl)ethyl]amino]carbonyl]phenyl}
]sulfonyl]amino]carbonyl]-2-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

152457-72-2 CAPLUS
2,5-Pyridinedicarboxamide, N5-{[4-(2-{{2-chloro-5-methoxybenzoy1}amino}ethyl]phenyl]sulfonyl}-N2-{2-hydroxyethyl}- {9CI}
(CA INDEX NAME)

PAGE 1-A

PAGE 1-B

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152457-73-3 CAPLUS 2,5-Pyridinedicarboxamide, N5-[[4-[2-[[3-(3,4-dimethoxyphenyl]-1-oxopropyl]amino]ethyl]phenyl]sulfonyl]-N2-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L4 ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A

PAGE 1-B

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152457-69-7 CAPLUS Glycine, N-[[5-[[[4-[(butylamino)carbonyl]phenyl]sulfonyl]amino]carbonyl]-2-pyridinyl[carbonyl]- (9C1) (CA INDEX NAME)

152457-70-0 CAPLUS Glycine, N-[[5-[[[4-[(3-ethoxypropy1)amino]carbony1]pheny1]sulfony1]amino]carbony1]-2-pyridiny1]carbony1]- (9CI) (CA INDEX NAME)

152457-71-1 CAPLUS Glycine, N-[[5-[[[4-[[(2-phenylethyl)amino]carbonyl]phenyl]sulfonyl]amino [carbonyl]-2-pyridinyl]carbonyl]- (GC INDEX NAME)

ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

152457-74-4 CAPLUS
2,5-Pyridi nedicarboxamide, N2-(2-hydroxyethyl)-N5-[[4-[2-[(phenoxyacetyl) amino]ethyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

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152457-75-5 CAPLUS 2.5-Pyridinedicarboxamide, N2-(2-hydroxyethyl)-N5-[[4-[2-([4-methyl-1-oxopentyl) amino]ethyl]phenyl]sulfonyl]- (9CT) (CA INDEX NAME)

PAGE 1-B

— CH2- CH2- OH

152457-76-6 CAPLUS
2,5-Pyridinedicarboxamide, N5-[[4-[2-[[3-(3,4-dimethoxyphenyl)-1-cxpropyl] amino]ethyl]phenyl]sulfonyl]-N2-(2-methoxypthyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A

PAGE 1-B

RN 152457-77-7 CAPLUS
CN 2,5-Pycidinedicarboxamide, N2-(2-methoxyethyl)-N5-[{4-[2-[(4-methyl-1-oxopentyl)amino]ethyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

--- CH2-- CH2-- OMe

RN 152457-78-8 CAPLUS

Glycine, N-[{5-{[[{4-{2-[(2-chloro-5-methoxybenzoyl)amino]ethyl]phenyl]sulfonyl]amino]carbonyl]-2-pyridinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

RN 152457-81-3 CAPLUS CN Glycine, N-[[5-[[[4-{2-[(3,4-diethoxybenzoyl)amino]ethyl]phenyl]sulfonyl] amino]carbonyl]-2-pyridinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 152457-82-4 CAPLUS
CN Glycine, N-[[5-[[[4-[2-[(cycloherylacetyl)amino]ethyl]phenyl]sulfonyl]amino]carbonyl]-2-pyridinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 152457-83-5 CAPLUS
CN Glycine, N-[[5-[[[[4-[2-[(2-methyl-1-oxopropyl)amino]ethyl]phenyl]sulfonyl
]amino]carbonyl]-2-pyridinyl]carbonyl]-, methyl ester (9CI) (CA INDEX
NAME)

<12/14/2005>

L4 ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 152457-79-9 CAPLUS
CN Glycine, N-[[5-[[[4-[2-[(1-oxohexyl)amino]ethyl]phenyl]sulfonyl]amino]car
bonyl]-2-pyridinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

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RN 152457-80-2 CAPLUS
CN Glycine, N-[[5-[[[4-[2-[[3-(3,4-dimethoxyphenyl])-1-oxopropyl]amino]carbonyl]-2-pycidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

L4 ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

RN 152457-85-7 CAPLUS
CN Glycine, N-[[5-[[[4-[2-[(1-oxohexyl)amino]ethyl]phenyl]sulfonyl]amino]car
bonyl]-2-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

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152457-86-8 CAPLUS
Glycine, N-[[5-[[[[4-[2-[(3,4-diethoxybenzoyl)amino]ethyl]phenyl]amino]carbonyl]-2-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

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152457-87-9 CAPLUS Glycine, N-[(5-[[[(4-[2-[(cyclohexylacetyl)amino]ethyl]phenyl]aulfonyl]ami no]carbonyl]-2-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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L4 ANSWER 34 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

PAGE 1-B

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152457-88-0 CAPLUS
Glycine, N-[[5-[[[4-[2-[(2-methyl-1-oxopropyl)amino]ethyl]phenyl]sulfonyl
jamino[actbonyl]-2-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

$$i-Pr-C-NH-CH_2-CH_2$$

152457-89-1 CAPLUS
Glycine, N-[[5-[[[4-[2-[[4-methyl-1-oxopentyl]amino]ethyl]phenyl]sulfonyl
]amino[carbonyl]-2-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

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152457-90-4 CAPLUS
2,5-Pyridinedicarboxamide, N2-(2-hydroxyethyl)-N5-[[4-[{1-oxo-4-phenylbutyl} amino]phenyl]sulfonyl]- (9C1) (CA INDEX NAME)

L4 ANSWER 35 OF 71
ACCESSION NUMBER:
DOCUMENT NUMBER:
1171LE:
120:100174
Novel inhibitors of prolyl 4-hydroxylase. 5. The intriguing structure-activity relationships seen with 2,2'-bipyridine and its 5,5'-dicarboxylic acid derivatives
Hales, Neil J.: Beattie, John F.
CORPORATE SOURCE:
SOURCE:
100:100174
Novel inhibitors of prolyl 4-hydroxylase. 5. The intriguing structure-activity relationships seen with 2,2'-bipyridine and its 5,5'-dicarboxylic acid derivatives
Hales, Neil J.: Beattie, John F.
Infect. Res. Dep., Zeneca Pharm.,
Macclesfield/Cheshire, SXIO 4TG, UK
JOURNES JOHNAR: ISSN: 0022-2623
DOCUMENT TYPE:

DOCUMENT TYPE: LANGUAGE: GI

Members of a series of 2,2'-bipyridines have been synthesized and tested as inhibitors of prolyl hydroxylase (EC 1.14.11.2). The structure-activity relationships seen with [2,2'-bipyridine]-5-carboxylic acid (I) closely resemble those of pyridine?-carboxylic acid (II). Accordingly, [2,2'-bipyridine]-5,5'-dicarboxylic acid (III, IC50 = 0.19 µM) is the most potent inhibitor of its type yet reported. However, 2,2'-bipyridines lacking a 5-carboxylate are poor inhibitors. These contrasting structure-activity relationships are discussed in terms of net anionic charge, iron chelation, and the availability of alternative putative binding modes at a single binding site in each catalytic subunit. This series of inhibitors may provide insight for the design of drugs effective in the inhibition of excess collagen deposition.
152365-37-29 152365-39-49
RL: SPN (Synthetic preparation): PREF (Preparation) (preparation of and prolyl hydroxylase inhibition by, structure in stein

relation

tion to) 152365-37-2 CAPLUS [2,2'-Bipyridine]-5-carboxamide, N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

152365-39-4 CAPLUS
[2,2'-Bipyridine]-5,5'-dicarboxamide, N,N'-bis(phenylsulfonyl)- (9CI) (CA
RNDEX NAME)

L4 ANSWER 35 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 36 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

151323-51-2P

LA ANSYER 36 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:671084 CAPLUS
TITLE: 1993:671084 CAPLUS
119:271084 CAPLUS
119:271084 CAPLUS
119:271084 CAPLUS
119:271084 CAPLUS
119:271084 CAPLUS
2-(Alkylamino)nicotinic acid and analogs. Potent angiotensin II antagonists
AUTHOR(S): Vinn, Martini De, Bisvanath; Zydovsky, Thomas M.;
Altenbach, Robert J.; Basha, Fatina Z.; Boyd, Steven A.; Crowell, DeAnne: et al.
CORPORATE SOURCE: Cardiovas. Res. Div., Abbott Lab., Abbott Park, IL, 60064, USA
SOURCE: ODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE:

DOCUMENT TYPE:

A series of pyridines and other six-membered ring heterocycles connected to a biphenyl-tetrazole with a -CH2-NR1-link were discovered to be potent angiotensin II antagonists. In the pyrimidine carboxylic acid series I (V = CR, X = N, Y = CH, Z = COOH), compds. with an alkyl group (R1) on the exocyclic nitrogen were much more potent than compds. with an alkyl group (R) on the heterocyclic ring. The corresponding pyridine, pyridazine, pyrazine, and 1,2,4-triazine carboxylic acids also showed potent in vitro angiotensin II antagonism. The pyridine I (W, X, Y = CH, Z = COOH, R1 = n-C3H7) demonstrated potent in vitro activity (pAz = 10.10, rabbit aorta, and Ki = 0.61 nM, receptor binding in rat liver) as well as exceptional oral anthypertensive activity and bioavailability. Any nonacidic replacement for the carboxylic acid was detrimental for activity. IS1323-15-69

181329-19-89
RL: SPN (Synthetic preparation), PREP (Preparation)
(preparation and angiotensin II antagonist activity of)
151323-15-8 CAPLUS
3-Pyridinecarboxamide, N-(phenylsulfonyl)-2-[propyl[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 37 OF 71
ACCESSION NUMBER:
DOCUMENT NUMBER:
118:254964 CAPLUS
1100CUMENT NUMBER:
118:254964 Preparation of (pycidylthio- or pyridyloxy)pyrimidine or triazine derivatives as herbicides
Miyazaki, Masahiron Matsuzawa, Masafumi: Toriyabe, Kejir: Hirata, Michiya
Kejir: Hirata, Michiya
SOURCE:
SOURCE:
CDEN: PIXXD2
DOCUMENT TYPE:
Patent
LANGUAGE:
PATENT ASSIGNEE
PATENT ASSIGNEE(S):
PATENT ASSIGNEE(S):
Numai Chemical Industry Co., Ltd., Japan: Ihara
Chemical Industry Co., Ltd.
PCT Int. Appl., 77 pp.
CODEN: PIXXD2
PATENT TYPE:
Patent
Japanese

Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			WO 1992-JP362	19920326
W: AU, BR, CA,				
RW: AT, BE, CH,	DE. DK	, ES, FR,	GB, GR, IT, LU, MC, NL,	SE
JP 05331163	A2	19931214	JP 1991-84556	19910326
CA 2078336	AA	19920927	CA 1992-2078336	19920326
AU 9214517	A1	19921102	JP 1991-84556 CA 1992-2078336 AU 1992-14517	19920326
AU 645193	B2	19940106		
EP 532761			EP 1992-907592	
R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IT, LI, LU, MC,	
HU 62761	A2	19930628	HU 1992-3716	19920326
HU 212644 BR 9204796	В	19960930		
BR 9204796	A	19930831	BR 1992-4796 RU 1992-16418	19920326
RU 2066321	Cl	19960910	RU 1992-16418	19920326
PL 171471	B1	19970530		
RO 112112	B1	19970530	RO 1992-1473	19920326
IN 174958	A	19950408		
IN 175877	Α	19951014	IN 1992-CA401	19920604
CN 1080637	A	19940112		19920622
CN 1080638	λ	19940112	CN 1992-105045	19920622
CN 1040280	В	19981021		
US 5385880	A	19950131	US 1992-927281	19920917
IN 178208	A	19970315	IN 1994-CA798	19940930
IN 178419	A	19970419	IN 1994-CA799	19940930
ORITY APPLN. INFO.:			JP 1991-84556	A 19910326
			WO 1992-JP362	A 19920326
			IN 1992-CA401	A1 19920604
			IN 1992-CA402	A1 19920604
HER SOURCE(S):	MARPAT	118:25496	64	

The title compds. (I: R = H, HO, alkony, alkonyalkony, acylonyalkony, (un)substituted PhCH2O, Me3SiCH2CH2O, etc.: R1, R2 = H, alkony, halo,

- ANSWER 37 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (disalkylamino, halomalkowy, alkyl: W = 0, 5, NH, N(CHO), alkomycarbonylimino: Z = CH, N: X = halo, (halo)alkyl, acylamino, (halo)cylomalkyl, alkenylowy, alkynylowy, (un)substituted Ph or PhCH2, etc.) are prepd. Thus, sulfonylation of Ne 2-hydromy-4-phenylnicotinate with (CTSO2)20 in CH2Cl2 at -20 to -10 followed by condensation with 4,6-dimethomy-2-hydromypyrimidine in the presence of XZCO3 in DHSO at 80° gave a pyrimidine deriv. (II: R = CMe) which was hydrolyzed to II: (R = OH). This at 100 g/10 are in paddy field soil controlled 250% Echinochlos crus-galli, Monochoria vaginalis, and Scirpus juncoides. A total of 173 I were prepd.
 147078-07-79
 RI: AGR (Agricultural use); BAC (Riological activity or effector, except
 - 147078-07-79
 RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SFN (Synthetic preparation): BIOL (Biological study): PREF (Preparation): USES (Uses) (preparation of, as herbicide)
 147078-07-7 CAPLUS
 3-Pyridinecarboxamide, 4-(3-chlorophenyl)-2-[(4,6-dimethoxy-2-pyrimidinyl)thio]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

- ANSWER 38 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
- 138834-65-8 CAPLUS 2-Pyridinecarboxylic acid, 5-[[(phenylsulfonyl)amino]carbonyl]-, methyl ester (9C1) (CA INDEX NAME)
- 13834-66-9 CAPLUS 2-Pyridinecarboxylic acid, 5-[[[(phenylmethyl)sulfonyl]amino]carbonyl]-, methyl ester (9C1) (CA INDEX NAME)
- 138934-67-0 CAPLUS
 2-Pyridinecarboxylic acid, 5-[[(1-naphthalenylsulfonyl)amino]carbonyl]-,
 methyl ester (9CI) (CA INDEX NAME)

- L4 ANSWER 38 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1992:128593 CAPLUS COCUMENT NUMBER: 116:128593 Novel inhibitors of prolyl 4-hy
- PLUS COPYRIGHT 2005 ACS on STN
 1992:128593 CAPLUS
 116:128593
 Novel inhibitors of prolyl 4-hydroxylase
 Dowell, Robert I., Hadley, Elizabeth M.
 Chem. 1 Dep., ICI Pharm., Mereside/Alderley
 Park/Macclesfield/Cheshire, SXIO 4TG, UX
 Journal of Medicinal Chemistry (1992), 35(5), 800-4
 CODEN: JMCMAR: ISSN: 0022-2623
 Journal AUTHOR (5): CORPORATE SOURCE:
- SOURCE:
- DOCUMENT TYPE: LANGUAGE:
- CONHSO2R HO₂C
- Pyridinecarbonylsulfonamides I (R = Me, CEMe2, Ph, CH2Ph, 1-naphthyl, 8-quinolyl, etc.) were prepared by reacting Me 5-carboxylpyridine-2-carboxylate with RSOZNEZ in the presence of CCC. They were examined for their inhibitory activity against prolyl 4-hydroxylase.

 Structure-activity relationships were also examined 138834-63-69 138834-63-67-P 138834-63-67 138834-63-67 138834-63-09 138834-67-09 138834-67-09 138834-67-09 138834-67-09 138834-71-69 138834-72-79

 BL. SCT. (Baertantly, SDN. (Sympetic preparation), PREF. (Preparation), PREF.

- 138834-72-79
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and hydrolysis of)
 138834-63-6 CAPUS
 2-Pyridinecarboxylic acid, 5-[[(methylsulfonyl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)
- MeO-
- 138834-64-7 CAPLUS
 2-Pyridinecarboxylic acid, 5-{[[(1-methylethyl)sulfonyl]amino]carbonyl}-, methyl ester (9CI) (CA INDEX NAME)
- L4 ANSWER 38 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
- 13834-68-1 CAPLUS 2-Pyridinecarboxylic acid, 5-[[(8-quinolinylaulfonyl)amino]carbonyl}-, methyl ester (9CI) (CA INDEX NAME)
- 138834-69-2 CAPLUS 2-Pyridinecarboxylic acid, 5-[[[(5-chloro-2-thienyl)sulfonyl]amino]carbony 1]-, methyl ester (9C1) (CA INDEX NAME)
- - Habte

ANSWER 38 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

138834-70-5 CAPLUS

2-Pyridinecarboxylic acid, 5-[[[(4,5-dibromo-2-thienyl)sulfonyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

138834-71-6 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[(4-methoxyphenyl)sulfonyl]amino}carbonyl}-, methyl ester (9C1) (CA INDEX NAME)

138834-72-7 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[4-(4,7-dichloro-2-quinolinyl)phenyl}sulfonyl}amino|carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

138834-73-8P 138834-74-9P 138834-75-0P 138834-75-0P 138834-76-1P 138834-77-2P 138834-83-8P 138834-80-8P 138834-80-79 138834-81-8P 138834-82-9P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and inhibitory activity of, against prolyl hydroxylase) 138834-73-8 CAPLUS 2-Pyridinecarboxylic acid, 5-[[(methylsulfonyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

ANSWER 38 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

138834-78-3 CAPLUS
2-Pyridinecarboxylic acid, 5-[[8-quinolinylaulfonyl]amino]carbonyl]-,
disodium salt (9C1) (CA INDEX NAME)

●2 Na

138934-79-4 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[(5-chloro-2-thienyl)sulfonyl]amino]carboxy
1]-, disodium salt [9CI) (CA INNEX NAME)

L4 ANSWER 38 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

138834-74-9 CAPLUS
2-Pyridinecarboxylic acid, 5-{{{(1-methylethyl)sulfonyl}amino}carbonyl}-(9CI) (CA INDEX NAME)

138834-75-0 CAPLUS 2-Pyridinecarboxylic acid, 5-[[(phenylsulfonyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

138834-76-1 CAPLUS 2-Pyridinecarboxylic acid, 5-{{[(phenylmethyl)sulfonyl]amino]carbonyl]-(9C1) (CA INDEX NAME)

138834-77-2 CAPLUS 2-Pyridinecarboxylic acid, 5-[[(1-naphthalenylsulfonyl)amino]carbonyl]-(9C1) (CA INDEX NAME)

L4 ANSWER 38 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN

●2 Na

13834-80-7 CAPLUS 2-Pyridinecarboxylic acid, 5-[[[(4,5-dibromo-2-thienyl)]sulfonyl]amino|carbonyl|-, disodium salt (9CI) (CA INDEX NAME)

●2 Na

138934-81-8 CAPLUS
2-Pyridinecarboxylic acid, 5-{{[(4-methoxyphenyl) sulfonyl}amino]carbonyl]-, monosodium salt (9CI) (CA INDEX NAME)

138834-82-9 CAPLUS
2-Pyridinecarboxylic acid, 5-[[[[4-[4,7-dichloro-2-quinolinyl]phenyl]sulfonyl]amino]carbonyl]-, disodium salt (9CI) (CA INDEX INME)

L4 ANSWER 38 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●2 Na

ANSWER 39 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 39 OF 71
ACCESSION NUMBER:
1990:178928 CAPLUS
DOCLMENT NUMBER:
112:178928
Synthesis of some pyrido[2,3-c]{1,2,6}triazonine
derivatives
Soloducho, Jadviga
Inst. Org. Phys. Chem., Tech. Univ. Wroclaw, Wroclaw,
Ph-50-370, Pol.
SOURCE:
JOURNAL (Leipzig) (1989),
331(3), 503-6
CODEN: JPCEAO; ISSN: 0021-8383
JOURNAL CASREACT 112:178928

AB Treating nicotinic acid derivative I (R = tosyl) with K, followed by treatment
of the product with Br(CH2)3Br gave 81% pyridotriazonine II (R1= R3 = tosyl, R2 = R) (III). Bydrolysis of III with 48% H2504 gave II (R1-R3 = H) (IV). Mannich reaction of IV with formaldehyde and morpholine or piperidine gave II (R1 = R2 = H, R3 = CH2R4; R4 = morpholino, piperidino). Alkylation of IV with ClCH2CH2NEt2 gave II (R1 = R3 = H, R2 = CH2CH2NEt2).

IT 109274-64-68

ΙT

RN CN

L4 ANSWER 40 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1988:131590 CAPLUS DOCUMENT NUMBER: 109:131590

TITLE:

108:131590
Preparation of (phenylsulfonyl)nicotinamide
derivatives as agricultural fungicides
Yoshida, Hiroshir Koike, Kengor Konishi, Kenjir
Shimano, Shizuor Nakagawa, Taizo
Nippon Kayaku Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JXXXAF

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

Patent

DOCUMENT TYPE: LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO.

JP 62181261
PRIORITY APPLN. INFO.: A2 19870808 JP 1986-22999 JP 1986-22999 19860206 19860206

DATE

$$\bigvee_{x}^{N} - \text{conhso}_2 - \bigvee_{x}^{Y_n}$$

The title compds. (I: X = H, halo, MeS: Y = H, halo, Me, MeO, CF3, MeS: n = 1-3), useful as agricultural fungicides, were prepared A mixture of 4-MeCGH4SO2ME2 and 2-chloronicotinoyl chloride in pyridine was stirred for 2 h at room temperature to give 48.4% I (X = 2-C1, Yn = 4-Me). At 200 ppm,

2 h at room temperature to give 48.4% I (X = 2-Cl, Yn = 4-Me). At 200 ppm,

- H, Yn = 4-Me) provided 72% protection to rice plants against Pyricularia oryzae. A formulation containing 2 parts I (X = H, Yn = 2-Me) and 98 parts clay was prepared 113513-61-49 113513-62-59 113513-63-69 113513-67-09 113513-69-19 113513-70-9 113513-71-69-119 113513-71-69-119 113513-71-69-119 113513-71-69 113513-71-60-119 113513-71-60 113513-71-60 113513-71-69 113513-71-60 113513-71-60 113513-71-60 113513-71-60 113513-71-60 113513-71-60 113513-71-60 113513-60-79 113513-71-60 113513-61-60 113513-61-60 113513-61-60 113513-61-60 113513-61-61 (Biological study), PREP (Preparation), USES (Uses) (preparation), BIOL (Biological study), PREP (Preparation), USES (Uses) (preparation of, as agricultural fungicide)
113513-61-4 CAPLUS
3-Pyridinecarboxamide, N-{(4-methylphenyl)sulfonyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 40 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

113513-62-5 CAPLUS
3-Pyridinecarboxamide, N-{(2-methylphenyl)sulfonyl}- (9CI) (CA INDEX NAME)

113513-63-6 CAPLUS
3-Pyridinecarboxamide, 2-chloro-N-{(4-methylphenyl)sulfonyl}- (9CI) (CA

113513-64-7 CAPLUS
3-Pyridinecarboxamide, 2-chloro-N-{(2-chlorophenyl)sulfonyl]- (9CI) (CA

113513-65-8 CAPLUS
3-Pyridinecarboxamide, 2-chloro-N-[(2-methylphenyl)sulfonyl]- (9CI) (CA

113513-66-9 CAPLUS
3-Pyridinecarboxamide, 2-chloro-N-((2-fluorophenyl) sulfonyl]- (9CI) (CA

ANSWER 40 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

113513-71-6 CAPLUS
3-Pyridinecarboxamide, 4-chloro-N-[(4-fluorophenyl)sulfonyl]- (9CI) (CA

113513-72-7 CAPLUS 3-Pyridinecarboxamide, N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

113513-73-9 CAPLUS
3-Pyridinecarboxamide, N-[(4-methylphenyl)sulfonyl]-2-(methylthio)- (9CI)(CA INDEX NAME)

113513-75-0 CAPLUS
3-Pyridinecarboxamide, N-[(4-chloro-2-methylphenyl)sulfomyl]- (9CI) (CA
INDEX NAME)

<12/14/2005>

L4 ANSWER 40 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

113513-67-0 CAPLUS 3-Pyridinecarboxamide, 2-chloro-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

113513-68-1 CAPLUS
3-Pyridinecarboxamide, 2-chloro-N-[{4-fluorophenyl}sulfonyl]- (9CI) (CA
INDEX NAME)

113513-69-2 CAPLUS
3-Pyridinecarboxamide, 6-chloro-N-[(2,4,5-trichlorophenyl)sulfonyl]- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ \end{array}$$

113513-70-5 CAPLUS
3-Pyridinecarboxamide, 6-chloro-N-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

ANSWER 40 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 113513-76-1 CAPLUS 3-Pyridinecarboxamide, N-[(4-chlorophenyl)sulfonyl]- (9CI) (CA INDEX

113513-78-3 CAPLUS
3-Pyridinecarboxamide, N-[{4-chloro-2-(trifluoromethyl)phenyl]aulfonyl]-2-(enthylthio)- (9C1) (CA INDEX NAME)

113513-79-4 CAPLUS
3-Pyridinecarboxamide, 2-(methylthio)-N-[[4-(methylthio)phenyl]gulfonyl]-(9C1) (CA INDEX NAME)

113513-80-7 CAPLUS
3-Pyridinecarboxamide, 2-(methylthio)-N-[[3-(trifluoromethyl)phenyl]sulfon
yll- [951] (CA INDEX NAME)

113513-81-8 CAPLUS 3-Pyridinecarboxamide, N-{[4-{methylthio}phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 40 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

113513-82-9 CAPLUS
3-Pyridinecarboxamide, N-{[4-chloro-2-(trifluoramethyl)phenyl]=ulfonyl}-(SCI) (CA INDEX NAME)

113513-83-0 CAPLUS 3-Pyridinecarboxamide, 6-chloro-N-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

ANSVER 41 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Reactant or reagent)
(prepn. and reaction of, with dibromoethane)
109274-70-6 CAPLUS
Benzenesulfonic acid, 4-methyl-, 2-[3-[[(4-methylphenyl)sulfonyl]amino]carbonyl]-2-pyridinyl]hydrazide, monopotassium salt (9CI) (CA INDEX NAME)

L4 ANSVER 41 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:459010 CAPLUS
DOCUMENT NUMBER: 107:55010 Synthesis of some pyrido[3,2-g][1.2,5]triazocine derivatives
AUTHOR(S): Soloducho, Jadwiga
CORPORATE SOURCE: POLISH JOURNAL OF Chemistry (1986), 59(10-12), 1115-20
DOCUMENT TYPE: ODDN: FUCHDO; ISSN: 0137-5083

DOCUMENT TYPE: Journal

English CASREACT 107:59010 OTHER SOURCE(S):

The title compds. I (R = H, morpholinomethyl, piperidinomethyl; Rl = H, EL2NCHZCHZ, 2-hydroxy-3-morpholinopropyl) were prepared starting from 2-chloronicotinamide. 109274-64-89

109274-64-89
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
[preparation and cyclocondensation of, with dibromomethane)
109274-64-8 CAPUS
Benzenesulfonic acid, 4-methyl-, 2-[3-[[[(4-methylphenyl)sulfonyl]amino]carbonyl)-2-pyridinyl]hydrazide (9CI) (CA INDEX NAME)

109274-70-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L4 ANSWER 42 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
102:24500 CAPLUS
INVENTOR(S):
SUIFOXIMINES
MUELIER: Erich
PATENT ASSIGNEE(S):
SOURCE:
CODEN: GWXXEX
DOCUMENT TYPE:

CODEN: GWXXEX
Patent DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	AP	PLICATION NO.		DATE
DB 3246980	A1	19840620	DE	1982-3246980		19821218
DE 3129444	A1	19830210	DΕ	1981-3129444		19810725
DK 8305705	A	19840619	DK	1983-5705		19831212
FI 8304578	A	19840619	FI	1983-4578		19831214
DD 216925	A5	19850102	DD	1983-258010		19831215
AT 8304371	Α	19861215	AT	1983-4371		19831215
AT 383593	В	19870727				
NO 8304648	A	19840619	NO	1983-4648		19831216
HU 32561	٥	19840828	HŲ	1983-4308		19831216
KU 190515	В	19860929				
ES 528093	A1	19850101	ES	1983-528093		19831216
CA 1201123	A1	19860225	CA	1983-443542		19831216
PRIORITY APPLN. INFO.:			DE	1981-3129444		19810725
			DE	1981-3142904		19811029
			DE	1982-3246980	A	19821218
OTHER SOURCE(S):	CASRE	ACT 102:24500)			

OTHER SOURCE(S):

Sulfoximines I [R1 = Ph (un)substituted C1-3 alkyl, (un)substituted Ph, C4-7 alkyl, C3-7 cycloalkyl, naphthyl (un)substituted with C1-3 alkoxy, pyridyl: X = CH2. (EICHZCH2 (un)substituted with 10 r2 C1-3 alkoxy, pyridyl: X = C2-6 alkylene), useful as antithrombotics, tumor metastasis inhibitors, and aggregation-inhibiting prostaglandin I2 synthesis promoters (no data), were prepared by hydrolysis of II. 3,4-C12CGH35(0)(CH2)4Br reacted vith 2,4,6-M-3CGH2502CH2 to give 3,4-C12CGH35(0)(CH2)4Br which was N-acetylated with Ac20 to give 981 3,4-C12CGH35(0)(HAC)(CH2)4Br. This

ANSWER 42 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) was etherified with 6-hydroxycarbostyril in Me250 contg. K2CO3 in 17 h to give 62.55 carbostyril ether III, hydrolysis of which with KOH in MeOH gave 89% IV. 85740-70-1P

85740-70-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
85740-70-1 CAPLUS
ZH-Indol-2-one, 5-[4-{S-(3,4-dimethoxypheny1)-N-(3-pyridinylcarbony1)sulfonimidoy1}butoxy}-1,3-dihydro-3,3-dimethyl- (9CI)
(CA INDEX NAME)

85740-45-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
85740-45-0 CAPLUS
2(1H)-Quinolinone, 6-{4-{5-{3,4-dichlorophenyl}-N-{3-pycidinylcarbonyl}sulfonimidoyl}butoxy]-3,4-dihydro- (9CI) (CA INDEX

$$\bigcap_{C-N=1}^{N}\bigcap_{S-(CH_2)}^{0}\bigcap_{4-0}^{K}\bigcap_{C1}^{N}\bigcap_{C$$

AMSWER 43 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 85740-45-0 CAPLUS 2(HH)-Quinolinone, 6-[4-[5-(3,4-dichlorophenyl)-N-(3-pyridinylcarbonyl)sulfonimidoyl]butoxy]-3,4-dihydro-(9CI) (CA INDEX NAME)

85740-62-1 CAPLUS
2(1H)-Quinolinone, 6-[4-[5-(3,4-dimethoxyphenyl)-N-(3-pyridinylcarbonyl)sulfonimidoyl]butoxy]-3,4-dihydro-(9CI) (CA INDEX NAME)

85740-70-1 CAPLUS
2H-Indol-2-one, 5-[4-[5-[3,4-dimethoxyphenyl]-N-(3-pyridinylcarbonyl) sulfonimidoyl}butoxy]-1,3-dihydro-3,3-dimethyl-(CA INDEX NAME)

L4 ANSWER 43 OF 71 CAPLUS COPYRIGHT 2005 ACS on STM
ACCESSION NUMBER: 1983:405522 CAPLUS
DOCUMENT NUMBER: 99:5522
Sulfianines, their salts and pharmaceutical compositions containing them weller, Etich Nickl, Josef: Narr, Berthold; Roch, Josef: Haarmann, Walter; Weisenberger, Johannes M. Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 101 pp.
COODN: EPXCUV
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIN	D DATE	APPLICATION NO.	DATE
EP 71150	A1	19830209	EP 1982-106501	19820719
EP 71150	B1	19850703		
R: AT,	, BE, CH, DE,	FR, IT, LI,	LU, NL, SE	
DE 3129444	A1	19830210	DE 1981-3129444	19810725
DE 3142904	A1	19830511	DE 1981-3142904	19811029
AT 14120	E	19850715	AT 1982-106501	19820719
PRIORITY APPLN.	INFO.:		DE 1981-3129444	A 19810725
			DE 1981-3142904	A 19811029
			EP 1982-106501	A 19820719
OTHER COUNCE (C)		DEACT DOLEES	•	

OTHER SOURCE(S): CASREACT 99:5522

Sulfimines I [R = H, acyl; Rl = (un)substituted alkyl, cycloalkyl, Ph, pyridyl, naphthyl; X = (un)substituted CH2, CH2CH2, CH3CH3 Z = alkylene; n = 0, 1] were prepared Thus, 6-{4-[(4-brono-3-methylphenyl)sulfinyl]butoxylc arbostyril was dissolved in polyphosphoric acid and treated with NaN3 to give 548 HI. II, at 0.039 meol/L, gave 500 inhibition of cyclic AMP phosphodiesterase activity, and 2.5 mg II/kg orally increased bleeding time in mice > 2754.
85740-45-0P 85740-62-IP 85740-70-IP
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

Maximili

PATENT ASSIGNEE(S):

Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger. Ger. Offen., 56 pp. Addn. to Ger. Offen. 3,142,904. CODEN: GWXXEX

DOCUMENT TYPE: Patent

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT INFORMATION:					
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 3129444		19830210	DE 1981-3129444		19810725
DE 3129444 DE 3142904 NO 8202255 US 4442111	A1	19830511			19811029
NO 8202255	Ä	19830126	NO 1982-2255		19820630
US 4442111	Ä	19840410	US 1982-395631		19820706
SU 1158041	A3	19850523	SU 1982-3463928		19820716
EP 71150		19830209			19820719
EP 71150	B1	19850703			
R: AT, BE, CH,	DE, FR	, IT, LI,	LU, NL, SE		
AT 14120	E	19850715	AT 1982-106501		19820719
AT 14120 PI 8202550	Α	19830126	PT 1992-2550		19820720
DD 202871 PL 137725 DK 8203295 HU 27628 HU 188188 CS 236488	A5	19831005	DD 1982-241832		19820721
PL 137725	B1	19860731	PL 1982-237600		19820721
DK 8203295	Α	19830126	DK 1982-3295		19820722
HU 27628	0	19831028	HU 1982-2373		19820722
HU 188188	В	19860328			
CS 236488	B2	19850515			19820722
		19830214	JP 1982-128814		19820723
GB 2104515	A	19830309			19820723
GB 2104515		19850605			
ES 514275		19830401			19820723
AU 8286378		19830414	AU 1982-86378		19820723
AU 556082		19861023			
ZA 8205273	A.	19840328			19820723
CA 1175430	A1	19841002			19820723
IL 66384	A1	19860131	IL 1982-66384		19820723
DE 3246980	A1	19840620	DE 1982-3246980		19821218
ES 518826 ES 518827 ES 518828	A1	19831016	ES 1983-518826		19830107
ES 518827 ES 518828	A1	19831016			19830107
ES 518828	A1	19831016	ES 1983-518828		19830107
US 4551464	A	19851105	US 1984-573964 DE 1981-3142904		19840126
PRIORITY APPLN. INFO.:			DE 1981-3142944		19811029
			US 1981-3129444 US 1982-395631	٥.	19810725
					19820706
GI .			Er 1382-106501	^	13020/19
91					

L4 ANSWER 44 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Sulfoximes I [A = (un)substituted methylene, vinylene, or ethylene; Q = C2-6 alkylene; R = (un)substituted C7-9 aralkyl, etc.; Rl = acyl, alkylsulfonyl, arylsulfonyl, etc.] were prepared (78 in all) by reaction of the sulfoxides with NR3 or 2,4,6-MeSCGRISONNEZ or acylation of sulfoximines and shown to be antithrombotics. Among 78 compds. prepared were II-IV. IV increased the bleeding time in mice 275% l h after adminsitration at 2.5 mg./kg. orally.

85740-45-09 85740-62-219 85740-67-07-1P
RL: BBC (Biological activity or effector, except adverse); BSU (Biological study, brighter preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antithrombotic)

85740-45-0 CAPLUS
2(1H)-Quinolinone, 6-(4-[S-(3,4-dichlorophenyl)-N-(3-pyridinylcarbonyl)sulfonimidoyl]butoxyl-3,4-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 45 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1975:458608 CAPLUS
DOCUMENT NUMBER: 5:85080
AUTHOR(S): Synthesis and pharmacological properties of some
N-acylsulfonamides
Delarge, J.; Lapiere, C. L.
Inst. Pharm., Univ. Liege, Liege, Belg.
Annales Pharmaceutiques Francaises (1974), 32(12),
657-67
CONDY, ARMAN, ISSN, 2003-4509 CODEN: APFRAD; ISSN: 0003-4509 DOCUMENT TYPE: Journal French CASREACT 83:58608 RSOURCE(S): CASREACT 83:58608

For diagram(s), see printed CA Issue
Pyridinesulfonamides 1 (R = 3-CF3C6H4, 2-CF3C6H4, 3-C1C6H4, 4-C1C6H4,
Pyridinesulfonamides 1 (R = 3-CF3C6H4, 2-CF3C6H4, 3-C1C6H4, 4-C1C6H4,
Pyridinesulfonamides 1 (R = 3-CF3C6H4, 2, 2-C12C6H3, 2, 4-C12C6H3,
Pyridinesulfonamides or anilinopyridinesulfonic acids, or acylating
anilinopyridinesulfonamides or anilinopyridinesulfonic acids, or acylating
anilinopyridinesulfonamides. II (R2 = H, Me, Et, Ph) (10 compds.) were
obtained as by products. Some I and II showed diuretic activity
comparable that of furosemide and antiinflammatory activity comparable to
that of common antiinflammatory agents.

56175-89-4P

RL: SPN (Synthetic preparation); PREF (Preparation)
(preparation and antiinflammatory and diuretic activity of)
56175-99-4 CAPLUS

3-Pyridinecarboxamide, N-[[4-[[3-(trifluoromethyl)phenyl]amino]-3pyridinyl]sulfonyl]- (9CI) (CA INDEX NAME) OTHER SOURCE(S):

ANSWER 44 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

85740-62-1 CAPLUS
2(1H)-Quinolinone, 6-[4-[S-(3,4-dimethoxyphenyl)-N-(3-pyridinylcarbonyl)sulfonimidoyl]butoxy]-3,4-dihydro-(9CI) (CA INDEX NAME)

85740-70-1 CAPLUS
2H-Indol-2-one, 5-{4-{5-{3,4-dimethoxyphenyl}-N-(3-pyridinylcarbonyl)sulfonimidoyl]butoxy]-1,3-dihydro-3,3-dimethyl-(CA INDEX NAME)

L4 ANSWER 46 OF 71 CAPLUS COPYRIGHT 2005 ACS On STN ACCESSION NUMBER: 1974:3511 CAPLUS DOCUMENT NUMBER: 80:3511 DOCUMENT NUMBER: TITLE: 80:3511
Derivatives of penam-3-carboxylic acids and cephem-4-carboxylic acids
Fechtig, Bruno: Kocsis, Karoly: Bickel, Hans Ciba-Geigy A.-G.
Ger. Offen., 78 pp.
CODEN: GWANEM INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent German FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
	DE 2312330	A1	19731004	DE 1973-2312330		19730313
	CH 560705	A	19750415	CH 1972-4251		19720322
	ZA 7301905	A	19731219	ZA 1973-1905		19730319
	DD 105617	c	19740512	DD 1973-169591		19730320
	AU 7353499	A1	19740926	AU 1973-53499		19730320
	ES 412838	A1	19760516	ES 1973-412838		19730320
	CA 1049501	A1	19790227	CA 1973-166491		19730320
	BE 797084	A1	19730921	BE 1973-129044		19730321
	FR 2181839	A1	19731207	FR 1973-10084		19730321
	AT 7302519	A	19750115	AT 1973-2519		19730321
	AT 325765	В	19751110			
	AT 7408632	A	19750315	AT 1974-8632		19730321
	HU 169031	P	19760928	HU 1973-CI1355		19730321
	US 3996208	À	19761207	US 1973-344020		19730321
	NL 7304036	A	19730925	NL 1973-4036		19730322
	JP 49005988	A2	19740119	JP 1973-34000		19730322
	GB 1423386	A	19760204	GB 1973-13848		19730322
	SE 7602730	Ä	19760227	SE 1976-2730		19760227
PF	MIORITY APPLN. INFO.:			CH 1972-4251	A	19720322
				CH 1972-12919		19720901
				CH 1972-18530	A	19721220

For diagram(s), see printed CA Issue.

The N-sulfamylampicillins I (R = alkyl, aryl, substituted amino, N-heterocyclic) (48 compds.) vere prepared by treating a trimethylsilylated ampicillin with RCONHISO2CI. The RCONHISO2CI were obtained by treating RCOZH with CISOZNCO. Some related cephalosporins (3 compds.) were similarly prepared Thus, nicotinoylsulfamyl chloride, prepared by treating nicotinic acid with CISOZNCO. was treated with trimethylsilyl N-trimethylsilyl-6-D-m-phenylglycylaminopenicillanate to give I (R = 3-wyridyl).

N-trimethylsityl-o-u-a-pnenyigiveylaminopenicilianate to give i (k = 3-pyricyl).
50881-20-4P 50881-21-5P 50881-59-9P
RE: RCT (Reactant): SFN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(preparation and reaction of, with ampicillin derivative)
50881-20-4 CAPUS
2-Pyridinearboxylic acid, 3-[[(chlorosulfonyl)amino]carbonylj-, methyl
ester (9CI) (CA INDEX NAME)

<12/14/2005>

L4 ANSWER 46 OF 71 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

50881-21-5 CAPLUS Sulfamoyl chloride, [(1,6-dihydro-6-oxo-3-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

50881-59-9 CAPLUS Sulfamoyl chloride, [(2-chloro-3-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

50881-61-3P 50881-62-4P 50882-05-8P 51032-26-9P 51032-26-9P 51032-26-9P 51032-26-1P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 50881-61-3 CAPLUS 4-Thia-1-azabicyclo[3.2.0] heptane-2-carboxylic acid, 6-[[[[[2-(sachoxycarboxyl)-3-pyridinyl]carbonyl]amino]oulfomyl]amino]phenylacetyl]amino]-3.3-dimethyl-7-oxo-, [25-[2α,5α,6β(5*)]]- (9C1) (CA INDEX NAME) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 46 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

51032-28-1 CAPLUS
5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[(acetyl)oxy)methyl]-8-oxo-7-[(phenyl[[[(3-pyridinylcarbonyl)amino]sulfon
yl]amino]acetyl]amino]-, [6R-[6a,78(R*)]]- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

L4 ANSWER 46 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

50881-62-4 CAPLUS
4-Thia-1-arabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[(1,6-dihydro-6-oxo-3-pyridinyl]carbonyl]amino]sulfonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, [25-[2a,5a,6B(5*)]]- [9CI) (CA INDEX NAME)

Absolute stereochemistry.

50882-05-8 CAPLUS 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[(2-chloro-3-pytidinyl)arboxyl]anino]sulfonyl]anino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, [25-[2 α ,5 α ,6 β (5 *)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

51032-26-9 CAPLUS
4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[phenyl[([3-pyridinylcarbonyl]amino]sulfonyl]amino]acetyl]amino]-,
[25-[2a,5a,6β(S*)]]- (9CI) ICA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 47 OF 71
ACCESSION NUMBER:
DOCUMENT NUMBER:
1973:58095 CAPLUS
79:58095
N-Arcylsulfonamides
NN-Arcylsulfonamides
NN-Arcylsulfonamides
Norce, George G. I., Conway, Alvin C.
Minnesota Mining and Manufacturing Co.
U.S., 4 pp.
CODEN: USXXAM
Patent

English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

A 19721205 PATENT NO. APPLICATION NO. DATE

US 3705185 A 19721205 US 1969-816038 19690414

PRIORITY APPIN. INFO.:
GI For diagram(s), see printed CA Issue.
A Twenty-three trifluoromethanesulfonamides most of them of structure I (R = F, Cl, H; Rl = NO2, Cf3, halo, H; R2 = NO2, Cl, F, CN, H) or their salts, useful anticonvulsants, were prepared by treating F3CSO2NH2 and Na2CO3 (or EL3N) in MeZCO with the appropriate aroyl halide.

IT 39063-09-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 39063-09-7 CAPLUS

ON 3-Pyridinecarboxamide, N-{(trifluoromethyl)sulfonyl}-, sodium salt (9CI)
(CA INDEX NAME)

• Na

L4 ANSVER 48 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1971:405734 CAPLUS DOCUMENT NUMBER: 75:5734 Quaternary 3-myridinium 7

75:5734
Quaternary 3-pyridinium-2-quinolones
Bell, Stanley C.
American Home Products Corp.
U.S., 4 pp.
CODEN: USXXXAM INVENTOR(S): PATENT ASSIGNEE(S):

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A US 1968-721095 US 1968-721095

US 3574216 A 19710406 US 1968-721095 19680412
PRIORITY APPLN. INFO.: US 1968-721095 A 19680412
GI For diagram(s), see printed CA Issue.
AB Title compds., with depressant activity, were prepared Thus,
4'-chloro-2'-(2-chloro-5--sulfamoy)lbenzoyl)-2-iodoacetanilide,
N-(p-tolylsulfonyl)nicotinamide and Me2CO is refluxed for 24 hr and cooled
to give I.

17 32532-10-8P 32532-12-0P

32532-10-69 32532-12-0F
RL: SPN (Synthetic preparation); PREF (Preparation)
(preparation of)
32532-10-8 CAPLUS
Pyridinium, 1-[[14-chloro-2-(2-chloro-5-sulfamoylbenzoyl]phenyl]carbamoyl]
methyl]-3-[1-hydroxy-N-(p-tolylsulfonyl)formimidoyl]-, hydroxide, inner
salt (8CI) (CA INDEX NAME)

L4 ANSWER 49 0F 71
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
TITLE:

INVENTOR(5):
PATENT ASSIGNEE(5):
SOURCE:
DOCUMENT TYPE:

DOCUMENT TYPE:

CAPLUS COPYRIGHT 2005 ACS on STN
1971:3525 CAPLUS
74:3525
CAPLUS
174:3525
CAPLUS

DOCUMENT TYPE:

Patent English

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE

US 3534049 A 19701013 US 1968-721067 19680412

PRIORITY APPLN. INFO:

GI For diagram(s), see printed CA Issue.

BY 1968-721067 A 19680412

For diagram(s), see printed CA Issue.

AB The title compds. (I. R = m-AcOCGHCCOL2) (II) and (I. R = lower alky) (III) and the 1,2,5,6-tetrahydropyridinium (IV) and piperidinium (IV) analogs of III. together with the inner salts and anion salts of I are prepared from N-(p-tolylaulfomy)lnicotinamide (VI). Thus, VI, and MeI was refluxed 18 hr im MeZOO and cooled to give iII (R = Me, X = I), which was suspended in RZO and neutralized with NaZOO3 to give the inner salt of III (R = Me) (VII). VII was stirred 1 hr with aqueous NABH4, and the mixture adjusted top Hd 5 to give IV (R = Me), which was hydrogenated in RZO over 10% Pd/C to yield V (R = Me). VI and m-(BrCHZCO)CGHOAC refluxed 2 hr in MeZOO gave II (X = Br). The compds. together with the inner salts and anion salts have central nervous system depressant and bronchodilator activities.

II 29956-19-2 PS9956-20-5P 29956-23-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 29956-19-2 CAPLUS

CN Pyridinium, 3-(1-hydroxy-N-(p-tolylsulfonyl)formimidoyl]-1-methyl-, hydroxide, inner salt (RCI) (CA INDEX NAME)

29956-20-5 CAPLUS
Pyctidinium, 1-methyl-3-[(p-toly|sulfonyl)carbamoyl]-, iodide (8CI) (CA
INDEX NAME)

L4 ANSWER 48 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 2-A

32532-12-0 CAPLUS
Pyridinium, 1-[6-chloro-4-(2-chloro-5-sulfamoylphenyl]-1,2-dihydro-2-oxo-3-quinolyl]-3-[1-hydroxy-N-{p-tolylsulfonyl)formimidoyl]-, hydroxide, inner salt [8CI] (CA INDEX NAME)

L4 ANSWER 49 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

29956-23-8 CAPLUS
Pyridinium, 1-ehydroxyphenacyl)-3-{1-hydroxy-N-(p-tolyl-sulfonyl)formimidoyl]-, bromide, 1-acetate (ester) (8CI) (CA INDEX

PAGE 1-A

PAGE 2-A

• Br

L4 ANSWER 49 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ACCESSION NUMBER: 1963:485182 CAPLUS
COUNTY NUMBER: 1963:485182 CAPLUS
CRIGINAL REFERENCE NO.: 59:85182
CRIGINAL REFERENCE NO.: 59:15829d-e

Metabolic modifications induced by diuretic treatment and urinary elimination of some viramins of the B complex
AUTHOR(S): Angarano, D.; Marano, R.; Salvia, F. De
CORPORATE SOURCE: Univ. Bari, Italy
CODD: ACVIA9: ISSN: 0001-7248

DOCUMENT TYPE: Journal
LANGUAGE: Italian
AB Not only the desired effect of diuresis vas obtained in 20 patients when using thiazide compds. but also elimination of vitamins B1 and B2 and nicotinic acid in the urine of these subjects. Urine values were determined photometrically and ranged from 400 to 900 y vitamin B1 eliminated in 24 hrs., 400 to 1120 y vitamin B2 in 24 hrs., and 6.0 to 10 mg. of nicotinic acid in 24 hrs.

IT 856302-24-4, 2H-1, 2, 4-Benzothiadiazine-7-sulfonamide, 6-chloro-, 1,1-dioxide, nicotinic acid
RIBOS-24-4 CAPLUS

N 856302-24-4 CAPLUS
CN 2H-1, 2, 4-Benzothiadiazine-7-sulfonamide, 6-chloro-, 1,1-dioxide, nicotinic acid (7CI) (CA INDEX NAME)

L4 ANSWER 51 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1953:62026 CAPLUS
OCCUMENT NUMBER: 47:62026
ORIGINAL REFREENCE NO.: 47:10549e-f
ATTILE: Acylated sulfonamides
PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik (I. G. Farbenindustrie
Akt.-Ges. "In Auflosung")
PATENT TYPE: PATENT INFORMATION:

PATENT INFORMATION:

PATENT INFORMATION:

REFREENCE NUM COUNT: 1

PATENT NO. KIND DATE APPLICATION NO. DATE

GB 692651 19530610 GB
AS see Ger. 830,507 (C. A. 47,6924).

IT 113513-61-4, Nicotinamide, N-p-tolylsulfonyl(preparation of)
RN 113513-61-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[{4-methylphenyl}sulfonyl- (9CI) (CA INDEX NAME)

L4 ANSWER 52 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1953:62025 CAPLUS
OCCUMENT NUMBER: 47:62025
ORIGINAL REFERENCE NO.: 47:10549e
TITLE: Removal of impurities from 1,4-dicyano-2-butene
PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co.
DOCUMENT TYPE: Unavailable
PATENT INFORMATION:

PATENT INFORMATION:

PATENT INFORMATION:

REMOVAL APPLICATION NO. DATE

GB 692827 19530617 GB
See U.S. 2,557,258 (C.A. 46, 15821).

IT 113513-61-4, Nicotinamide, N-p-tolylsulfonyl(preparation of)
RN 113513-61-4 CAPLUS
CN 3-Pytridinecarboxamide, N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Page 55

3-Pyridinecarboxamide, N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 54 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 54 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1953:41393 CAPLUS DOCUMENT NUMBER: 47:41393 ORIGINAL REFERENCE NO.: 47:69826-1,6983a Arylated sulfonamides Krzikalla, Hans: Plankenhorn, Zrvin Badische Anliin- & Soda-Fabrik (I. G. Farbenindustrie Akt.-Ges. "In Auflosung") (NVENTOR (5): PATENT ASSIGNEE(S): DOCUMENT TYPE: Patent Unavailable FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE DATE DE 830507 19520204 DE. Treating carboxylic acids with sulfonyl isocyanates at elevated temps. (100-200°) and possibly in the presence of a higher-boiling inert diluent gives, corresponding to RCOZH + OCNSOZR' + RCONH-SOZR' + COZ, N-Acylsulfonamides useful as textile auxiliary agents or intermediates in the manufacture of dyes and pharmaceuticals. Heating isl ACON 6 and p-McCSH4502NCO (1) 20 at 130° until the gas evolution has ceased gives N-acetyl-p-toluenesulfonamide 15 parts by weight, m. 138° (from EtcOH), acid number 260. Replacing 1 by an alkylsulfonyl isocyanate (prepared from an alkanesulfonylchiotide from the sulfochlorination of a liquid paraffin hydrocarbon sixture with Cl and SO2) gives an oily N-acetylalkanesulfonamide. Similarly are prepared: N-benzoyl-p-toluenesulfonamide, m. 146° (from EtCOH), acid number 197 (calculated 203), from 1 and B2OH: N-benzoylenzenesulfonamide, m. 146°, N-phenylacetyl-p-toluenesulfonamide, m. 188°9, acid number 191 (calculated 193), from I and PhCHZCOZH: N-stearcyl-p-toluenesulfonamide, m. 78° (from EtCOH), acid number 132 (calculated 128), from glacial AcOH), acid number 131 (calculated 129), from I and oleic (from glacial AcOH), acid number 131 (calculated 129), from I and oleic acid: 3-Pyridinecarboxamide, N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX S-NH-C

L4 ANSWER 55 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1949:13134 CAPLUS
DOCUMENT NUMBER: 43:13134
ORIGINAL REFERENCE NO.: 43:2597d-1
TITLE: Sulfanilamides. XIII. Reaction with dicarbowylic acids
-NI- and N4-acyl and heterocyclic derivatives
-NI- and N4-acyl and heterocyclic derivatives
AUTHOR(S): Jain, B. C.: Iyer, B. H.; Guha, P. C.

BOURCE: Journal
ALMGUAGE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
A cf. C. A. 42. 6766h. ACNECCH520NHK with the appropriate acid chloride
gave the following compds. (n.ps. given) where R = 4-AcNECGH4502 and R' =
4-NH2CGH4502: ELOZCHEZONHR 159*; Oc. CH2. CH2. CO. NR (I) 259*;
HOD2 (CH2) 2CONNR 11 195*; HD2C (CH2) 3CONNR 255* (decomposition);
HD2C (CH2) 2CONNR* 187*; HD2C (CH2) 3CONNR 255* (decomposition);
HD2C (CH2) 3CONNR* 170*; HD2C (CH2) 4CONNR 245*; HD2C (CH2) 8CONNR (V)
304*; 2-HD2CCGH4CONNR* 315*; HD2CCCH.CHC.COCNNR 230*
(decomposition); RNHCOCCH.CHC.HC.COCNNR 230*
(decomposition); RNHCOCCH.CHC.HC.COCNNR 230*
(decomposition); RNHCOCCH.CHC.HC.COCNNR 230*

4-MH2CGH4SOZNH2 (VI) giving N4,N4*-heptamethylenedisulfanilamide, m.
238* (decomposition), and N4, N4*-octamethylenedisulfanilamide, m.
231* (decomposition), visit (S. 1 g.), 2 g. chelidonic acid, and 25 ml. H2O
refluxed 2 h., concentrated to 0.5 volume, filtered to remove unchanged VI,
concentrated

to a sirup, and treated with alc. gave 3.1 g. of 1-(4-sulfamylphenyl)-4-(4aminophenylsulfonimido)-helidamic acid (VII), m. 165*, as the
sesquihydrate. VII (l g.) decarboxylated by heating 10 min. at
100* and 45 min. at 160* gave 0.6 g. 1-(4-sulfamylphenyl)-4-(4aminophenylsulfonimido)-helidamic acid (VII), m. 165*, as the
sesquihydrate. VII (l g.) decarboxylated by heating 10 min. at
100* and 45 min. at 160* gave 0.6 g. 1-(4-sulfamylphenyl)-4-(4aminophenylsulfonimido) indicolophylate (4. 2 g.) heated 1 h. at 135*
with 4-AcNECH4SOZCI (VIII) gave 6.4 g. 1-(4-sulfamylphenyl)-4-(5-g.), 2 g.
1-(N-acetylsulfamilyl)-chelidamic acid, m. 255* (decomposition).
VII (2.5 g.), 2 g.
1-(N-acet

L4 ANSWER 55 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSVER 56 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Nicotinamide, 4-chloro-2,6-dimethyl-N-sulfanilyl- (5CI) (CA INDEX NAME)

845745-75-7 CAPLUS Nicotinamide, 2,6-dimethyl-4-(methylthio)-N-sulfanilyl- (5CI) (CA INDEX NAME)

845752-18-3 CAPLUS Nicotinamide, 4-ethoxy-2,6-dimethyl-N-sulfanilyl- (5CI) (CA INDEX NAME)

845752-30-9 CAPLUS Nicotinamide, 1-ethyl-1,6-dihydro-6-oxo-N-sulfanilyl- (5CI) (CA INDEX NAME)

845960-89-6 CAPLUS Nicotinamide, 4-chloro-2,6-dimethyl-N-(N-sulfomethylsulfanilyl)-, sodium salt (SCI) (CA INDEX NAME)

L4 ANSWER 56 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1948:32159 CAPLUS
OCCUMENT NUMBER: 42:32159
ORIGINAL REFERENCE NO.: 42:6851h-i,6852a-c
ITILE: N-ACVI)-p-aminobenzenesulfonamides
N-ACVI)-p-aminobenzenesulfonamides
LANGUAGE: Unavailable
Patent
Unavailable
Unavailable

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE

GB 598472

Products having greater effectiveness against infective agents and low toxicity are prepared by causing a p-mainobenzenesulfonamide to react with a carbonyl halide containing a heterocyclic residue or by condensing a heterocyclic acid amide with p-OZNCGH4SOZCI, followed by reduction of the nitro group. Thus, OZNCGH4SOZNINA (1) 44.8 suspended in PhNO2 150 is gradually mixed with 3.5-dimethyl-4-isoxazolecarbonyl chloride 31.9 parts, the mixture heated at 50-60° 4 h., and the product (II) dissolved in 2 N NaZCO3 solution, filtered from unchanged I, precipitated with 2 N HCl,

the mixture heated at 50-60° 4 h., and the product (II) dissolved in 2 N Na2CO3 solution, filtered from unchanged I, precipitated vith 2 N HCl, and recrystd. from EtOH. In an Fe reducing kier, Fe chips 68, saturated NaCl solution 400, H2O 400, and 300 HCl 72 parts are thoroughly stirred together for 15 min. at 98°. While maintaining this temperature, N-(p-nitrophenylsulfonyl)-3,5-dimethyl-4-isoxazolecarboxamide (II) 65 parts is introduced in small portions and the reaction is complete in 1 h. The solution is made alkaline with 2 N NaCH, filtered from the sludge, the filtrate is acidified with 300 HCl, and the precipitated N-(p-aminophenylsulfonyl) acid (III) filtered with suction and purified by dissolving in 2 N Na2CO3 solution, precipitating with 2 N HCl, and crystallizing from EtOH.

By using appropriate acids, the following compds. are prepared: N-(4-aminophenylsulfonyl)-2,6-dimethyl-4-chloro-3-pyridinecarboxamide; Nh N-[p-(sulfomethylamino)phenylsulfonyl)-1-ethyl-2(IB)-pyridone-6-carboxamide; Nh (-[-suniconthylamino)phenylsulfonyl)-1-ethyl-2(IB)-pyridone-6-carboxamide; Nh (4-aminophenylsulfonyl)-2,4-dimethylcoumalamide; N-(4-aninophenylsulfonyl)-2,4-dimethylcoumalamide; N-(4-aninophenylsulfonyl)-2,6-dimethyl-4-ethoxy-3-pyridinecarboxamide; N-(4-aninophenylsulfonyl)-2,6-dimethyl-4-ethoxy-3-pyridinecarboxamide; N-(4-aninophenylsulfonyl)-2,6-dimethyl-4-ethoxy-3-pyridinecarboxamide; N-(4-aninophenylsulfonyl)-2,6-dimethyl-4-ethoxy-3-pyridinecarboxamide; N-(4-aninophenylsulfonyl)-2,6-dimethyl-4-(methyleccapto)-3-pyridinecarboxamide; N-(4-aninophenylsulfonyl)-2,6-dimethyl-4-(methyleccapto)-3-pyridinecarboxamide; N-(4-aninophenylsulfonyl)-2,6-dimethyl-4-(methyleccapto)-3-pyridinecarboxamide; N-(4-aninophenylsulfonyl)-2,6-dimethyl-4-(methyleccapto)-3-pyridinecarboxamide; N-(4-aninophenylsulfonyl)-2,6-dimethyl-4-(methyleccapto)-3-pyridinecarboxamide; N-(4-aninophenylsulfonyl)-2,6-dimethyl-4-(methyleccapto)-3-pyridinecarboxamide; N-(4-aninophenylsulfonyl)-2,6-dimethyl-4-(methyleccapto)-3-pyridinecarboxamide; N-(4-ani

ANSWER 56 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Na

845960-92-1 CAPLUS Nicotinamide, 4-chloro-2,6-dimethyl-N-(N-ureidosulfanilyl)- (5CI) (CA

858480-20-3 CAPLUS

Semicarbazide, 1-[p-{(4-chloro-2,6-dimethylnicotinoy1)sulfamoy1]pheny1}-(SCI) (CA INDEX NAME)

(Continued)

L4 ANSWER 57 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1948: 29905 CAPLUS DOCUMENT NUMBER: 42: 29905 ORIGINAL REFERENCE NO.: 42: 63794-h Acylsulfonamides J. R. Geigy A.-G. Patent TITLE: PATENT ASSIGNEE(S): DOCUMENT TYPE: LANGUAGE: Unavailable FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

APPLICATION NO. PATENT NO. DATE KIND DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

GB 598536 19480220 GB
Compds. of the general formula RZRICNSOZR, where Rl is aliphatic, aromatic, aralkyl, cycloalkyl, or heterocyclic, R is a substituted or unsubstituted residue, and R2 is a substituted or unsubstituted aresidue, and R2 is a substituted or unsubstituted are group, can be easily hydrolyzed to the corresponding acylsulfonamide of formula RICOMHSOZA. Thus, p-Mec(NHZ):NSOZEGHANOZ [1] 10 and 3.5 HCl 100 parts are stirred at 90-100° 4 h. After cooling, the mass is made alkaline with NAGH, filtered, and acidulated, giving N-acetyl-4-nitrobenzenesulfonamides. and acidulated, giving N-acetyl-4-nitrobenzenesulfonamides: isovaleryl, m. 144-5° (B,B-dimethylacrylyl), m. 155°; (3,4-dimethylacrylyl), m. 155°; (3,4-dimethylacrylyl), m. 155°; (3,4-dimethylacrylyl), m. 155°; (3,4-dimethylacrylyl), m. 192°; (3, B-dimethylacrylyl), m. 181-2°; (a-propyrroprionyl), m. 181-2°; (a-propyrroprionyl), m. 181-2°; (a-propyrroprionyl), m. 181-2°; (a-propyl-phenzoyl), m. 178-9°; (4-ethylamercapto)benzoyl), m. 162°; (4-ethylamercapto)benzoyl), m. 185°; (4-ethylamercapto)benzoyl), m. 181°; (4-ethylamercapto 41, 2440g. 6005-34-1, Nicotinamide, N-sulfanilyl-

(preparation of)
6005-34-1 CAPLUS
Nicotinamide, N-sulfanilyl- (8CI) (CA INDEX NAME)

L4 ANSVER 58 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1947:29342 CAPLUS
ORIGINAL REFERENCE NO.: 41:5898f-1,5899a
TITLE: INVENTOR(S): Hartin, Henry: Hafliger, Franz, Neracher, Otto
PATENT ASSIGNEE(S): Patent
LANGUAGE: Patent
LANG

PATENT ASSIGNEE(S): DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 2417006 19470304 US

Rydrolysis of N'-sulfanilylamidines is a practical method of preparing acyl
sulfanilamides. N-Sulfanilylisovaleramide, m. 130° (from dilute
MeON), is obtained by hydrolysis of 10 parts N'-sulfanilylisovaleramidine,
m. 118-20°, with 10 parts of 3.5% HCl at 90-100° 2 hrs.,
followed by neutralization with Na2CO3 and acidification with AcOH.
Similarly N-sulfanilyl derivs. of the following amides were prepared:
butyramide, m. 126° (amidine, m. 70-2°); isobutyramide, m.
199°; B,B-dimethylacrylamide, m. 184-5° (amidine
m. 128-9°); 4-methylbenzamide (II), m. 185-9° (cf. preceding
abstract, m. 144°) (amidine, m. 236°); 4-ethylbenzamide (II),
m. 162-3°; 4-propylebnzamide, m. 162°; 4(ethylmercapto)benzamide (III), m. 185°, 3,4-dimethylbenzamide
(IV), m. 222° (amidine, m. 218-20°); 3-propyl-4methoxybenzamide, m. 213°; 3-allyl-4-methoxybenzamide, m.
202-3°; 1-cyclophenen-1-carboxamide, m. 202°;
1-cyclohexene-1-acetamide, m. 176-7°. IV is also obtained by a
2-4-hr. hydrolysis of the following derivs. of N'-sulfanilyl-3,4dimethylbenzamidine: N.N-diethyl-, m. 148-50°, N-phenyl-, m.
198-200°; N.N-dimethyl-s N-tolyl-, and by a 12-hr. hydrolysis of Et
N-sulfanilyl-3,4-dimethylbenzimidate, m. 328-9° (decomposition). I and
II are also obtained from the corresponding benzimidic acid esters. A
4-hr. hydrolysis of the proper amidines yields N-sulfanilyl derivs. of the
following anides: 3,4-dimethylhydrocinnamamide, m. 166-8°,
p-methylcinnamamide, m. 209-10°, p-methoxy-amethylcinnamamide, m. 209-10°, p-methoxy-amethylcinnamamide PATENT NO. KIND DATE APPLICATION NO. IΤ

L4 ANSWER 58 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 59 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN SSION NUMBER: 1947:29228 CAPLUS HENT NUMBER: 41:29228 INAL REFERENCE NO.: 41:5864e-i,5865a-c ACCESSION NUMBER: DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: 41:3864e-1,3850a-c Certain sulfanilamide derivatives of nicotinic acid Sadykov, A. 5.; Maksimov, V. I. Middle-Maiatic State Univ. Zhurnal Obshchei Khimii (1946), 16, 1719-28 CODEN: ZOMEMA: ISSN: 0044-460X AUTHOR (5): CORPORATE SOURCE: SOURCE: DOCUMENT TYPE: Unavailable In view of the partial control of the toxic effects of sulfa drugs by administration of nicotinic acid, several derivs. of sulfa drugs containing nicotinic acid residue were prepared Sulfanilamide (7.2 g.) in 30 cc. pyridine bases (crude) was treated with 7 g. nicotinyl chloride (I) and the mixture was heated on a steam bath 2 h.; after removal of the solvent in vacuo and dilution with H2O, the crude product was purified by near manute was measted on a steam bath 2 n.; after removal of the solvent in vacuo and dilution with H2O, the crude product was purified by stallization from 501 ECOH, then from ECOH, to yield. N1-nicotinylsulfanilamide, n. 256-7' (84.6h), identical with the Crossley, et al., product (C.A. 34, 392.8) I (28.8 g.) in 100 cc. pyridine bases was treated with 42 g. p-AcNECGH4SOZNEZ and heated on a steam bath for 3 h.; after dilution with water, 45 g. N1-nicotinyl-N4-acetylsulfanilamide, n. 213-15' (from 501 ECOH) (methiodide, n. 196-7' (from ECOH)) was obtained; the Ac group is readily removed by hydrolysis with 154 ECI at 50-60' 3 h. I (14.1 g.) in 30 cc. pyridine bases was treated with 9.4 g. 2-aminopyridine and the mixture vas heated on a steam bath for 3 h.; after removal of the solvent in vacuo 20 g. 2-nicotinylaminopyridine, n. 230' (from ECOH); picrate, n. 220-1' (from ECOH); methiodide, n. 192-3' (from ECOH)) was obtained. I (7.2 g.) in 25 cc. pyridine bases and 12 g. sulfapyridine heated on a steam bath 3 h. yielded after dilution with water 12 g. N4-nicotinyl-N1-(22-aminopyridyl) sulfanilamide (nicotinylsulfapyridine), n. 185-6' (from ECOH); picrate n. 199-50' (from ECOH); methiodide n. 228-9' (from ECOH); I (14.2 g.) in 50 cc. pyridine bases and 10.8 g. sulfapyradinine, m. 219-20' (from SOH ECOH); in 12-g. yield; picrate n. 191-2' (from ECOH); similar reaction, using N4-acetylsulfaquanidine, n. 219-20' (from SOH ECOH), in 12-g. yield; picrate n. 191-2' (from ECOH); similar reaction, using N4-acetylsulfaquanidine, gave 12 g. nicotinyl derivative (from 7.2 g. I), n. 258-9' (from SCOH), picrate n. 200-2' (from ECOH), in 12-g. yield; picrate n. 191-2' (from ECOH); similar ceaction, using N4-acetylsulfaquanidine, gave 12 g. nicotinic acid (12.3 g.), 12.1 g. PhNECH, and 10 g. PCL5 were heated to 200-10' 4 h.: on cooling, diluting with 200 cc. H2O, and making alkaline with 504 NaOH there was inted crystallization from

ined
N-phenyl-N-ethylnicotinamide, b3 186-90°, m. 63° (from
Me2CO); picrate m. 154-5° (from EtOH); methiodide m.
137-7.5° (from EtOH). PhNHEt (121 g.) treated, with cooling, with
78.5 g. AcCl gave 150 g. N-Ac derivative, m. 53°, which was treated at
20-5° with 350 cc. CLSO3H; the mixture was heated to 60-70° 3
h., poured on ice, and filtered to yield 200 g. p-(N-ethylacetamido)benzenesulfonyl chloride, m. 139-40° (from
(CHZC1)2); this was added slowly to 380 g. concentrated NH4OH to yield 150 obtained

p-(N-ethylacetamido) benzenesulfonamide, m. 123-4° (from water).

ANSVER 59 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
The latter (50 g.) in 150 cc. 20% HCl was heated to 65-70° for 3
h., to yield on cooling and neutralization with Na2CO3,
N4-ethylsulfanilamide, m. 110-1°. When this (5 g.) and 3.6 g. 1
were heated on a steam bath 4 h. in 20 cc. pyridine bases there was
obtained, after the removal of the solvent in vacuo, 5.6 g.
N1-nicotinyl-N4-ethylsulfanilamide, m. 229-30° (from 70% EtoH);
picrate m. 218° (from EtOH); nebriodide m. 214-15°
(decompn. from EtOH); the prepn. was confirred by a similar condensation
of I with the N4-acetyl-N4-Et deriv. to yield N1-nicotinyl-N4-ethyl-N4acetylsulfanilamide, m. 242-3° (from EtOH), which on hydrolysis
with 20% HCl for 5 h. at 65-70° gave a product identical with that
of direct condensation.
6005-34-1, Nicotinamide, N-sulfanilyl- 845784-82-7, Nicotinamide,
N-(N-ethylsulfanilyl)-, picrate 845960-49-6, Nicotinamide,
N-(N-ethylsulfanilyl)- stafe-04-9, Nicotinamide,
N-(N-acetylsulfanilyl)- stafe-04-9, Nicotinamide,
N-(N-acetylsulfanilyl)-, sethiodide 860430-81-5, Sulfanilamide,
N-(N-acetylsulfanilyl)-, sethiodide 860430-81-5, Sulfanilamide,
N-(N-acetylsulfanilyl)-, sethiodide 860430-83-7, Sulfanilamide,
N-(N-acetylsulfanilyl)-, sethiodide
(preparation of)
6005-34-1 CAPLUS
Nicotinamide, N-sulfanilyl- (8CI) (CA INDEX NAME)

845754-75-8 CAPLUS Nicotinamide, N-(N-ethylsulfanilyl)- (5CI) (CA INDEX NAME)

845754-82-7 CAPLUS Nicotinamide, N-(N-ethylsulfanilyl)-, methiodide (5CI) (CA INDEX NAME)

CH 1 CRN 845754-75-8 CMF C14 H15 N3 O3 S

ANSWER 59 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

845754-83-8 CAPLUS Nicotinamide, N-(N-ethylsulfanilyl)-, picrate (SCI) (CA INDEX NAME)

CH 1

CRN 845754-75-8 CMF C14 H15 N3 O3 S

CM 2

CRN 88-89-1 CMF C6 H3 N3 O7

845960-04-5 CAPLUS Nicotinamide, N-(N-acetyl-N-ethylaulfanilyl) - (5CI) (CA INDEX NAME)

L4 ANSWER 59 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

845960-39-6 CAPLUS Nicotinamide, N-(N-acetylsulfanilyl)- (5CI) (CA INDEX NAME)

845960-40-9 CAPLUS Nicotinamide, N-(N-acetylaulfanilyl)-, methiodide (5CI) (CA INDEX NAME)

CM 1

CH 2

860430-81-5 CAPLUS Sulfanilamide, N4-ethyl-N1-nicotingyl-, picrate (5CI) (CA INDEX NAME)

L4 ANSWER 59 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH 2

CRN 88-89-1 CMF C6 H3 N3 O7

860430-83-7 CAPLUS Sulfanilamide, N4-ethyl-N1-nicotinoyl-, methiodide (5CI) (CA INDEX NAME)

• 1

ANSWER 60 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN [Continued] treated with dil. Na2CO3 soln. II dissolves and the p-AcNECGHISOZNEZ formed simultaneously remains undissolved: II, colorless crystals, is easily sol. in alc. and acetone, difficulty in water, insol. in benzene and CEC13. Vis prepd. From p-AcNECGHISOZNE2 and B2C1 in NaOH. X, from p-AcNECGHISOZC1 and m-ENNCGHI4 followed by sapon., gives XI with AcZO. XII is obtained in 250-g. yield by adding 340 g. ClCOZCHZPh to 172 g. sulfanilamide at 0" with stirring, sepp. the XII after several hrs., washing it with dil. EC1, and crystg. it from MeOH bolled with 5 times its wt. of Ac2O it gives XIII, 200 g. of which, shaken in 3 l. alc. with 5 g. Pd black and H, yields 106 g. II. XIV, from MH3 and an ether soln. of N-carbethorysulfanily! chloride (e. 104-5", prepd. from PNHEOZEL and CISOJH at 0" and then at 55-60", pptd. in ics water, and purified from MeOH and water). XV. from XIV and Ac2O, gives II when heated 10 min. at 80" with 7 times its wt. of 2 N NAOH.
N-Carbomethoxysulfanily chloride, from p-MeOZCHHCGHISOSONa and PC15, n. 117-18". XVII. from II and glucose refluxed in ECOI, needles from abs. ECOI, easily soll. in vater its alkali salts dissolve easily in water with neutral reaction. XIX, from XIV and in ECOI, needles from abs. ECOI, with You was a constant to the XVII and XVII and Ac2O. gives by the XVII XX, from XIV and in XX, from XIV and pptg. with AcOH. XXVI, from XIV and complex by N NAOH XXVII, from XIV and complex by the XVIII XX, from XIV and in XX, from XIV and pptg. with AcOH. XXVII, from XIV heated several hrs. at 160-70" with PhCH2COCL. XXVIII, from XIV and processed hrs. at 160-70" with PcH2COCL. XXVIII, from XIV and processed hrs. at 160-70" with PcH2COCL. XXVIII, from XIV and processed hrs. at 160-70" with PcH2COCL. XXVIII, from XIV and processed hrs. at 160-70" with PcH2COCL. XXVIII, from XIV and processed hrs. at 160-70" with PcH2COCL. XXVIII, from XIV and CICCEE to Associate hrs. at 160-70" with PcH2COCL. XXVIII, from XIV and processed hrs

ANSVER 60 OF 71 CAPLUS COPYRIGHT 200S ACS on STN
SSION NUMBER: 1947:24017 CAPLUS
MENT NUMBER: 41:24017
INAL REFERENCE NO.: 41:4809a-i,4810a-i,4811a
E: Valuable derivatives of sulfonamides
NTOR(S): Dohrn, Max Diedrich, Paul
NTOR(S): Schering Corp.
MENT TYPE: Patent L4 ANSWER 60 OF 71 CA ACCESSION NUMBER: DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: TITLE: INVENTOR(S): PATENT ASSIGNEE(S): DOCUMENT TYPE: LANGUAGE: Patent Unavailable FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE DATE US 2411495 19461119 US US 2411495 [97]
For diagram(s), see printed CA Issue.
Sulfonamide derivs. acylated at the sulfonamide N, of the general formula RSOZNEN, in which R stands for an aromatic, heterocyclic, or mixed residue and X for an acyl radical, are described. In these compds. the H atom can be replaced by metals, the resulting salts being easily soluble in water neutral reaction. The new compds. are made either by direct acylation of the sulfa drugs and partial saponification of the diacyl derive. or by ing sulfonyl chlorides or anhydrides with acyl amides. Another method consists in acylating nitro- or halo-substituted sulfonamides and then substituting the nitro or halogen groups by the NHZ group. The alkali alkaline earth salts of the new compds. are prepared by simply adding the control of the new compds. amount of hydroxide in aqueous solution and precipitating with alc.

y-metal salts are

made from their sulfates and the Ba salt of the new compds. Organic bases

can also be used for salt formation. The products have the same

therapeutic use as the parent sulfa compds. p-RHRC6H4SO2HEX: Number, R, X,

H,p., I, Ac, Ac, 253' (d.); II, H, Ac, Bl1; III, Etco,

COEt., 232'; IV, H, COEt. 130-1'; V, Ac, Bz, 245-6'

(d.); VI, H, Bz, 179-86'; VII, PhCH2, Ac, 143-4'; VIII,

p-AcHNCGH4SO2, Ac, 178'; IX, p-HZNCGH4SO2, Ac, 187'; X, H,

CGH4SOZNHAP(a), 156'; XI, Ac, CGH5SOZNHAP(a), 145-6'; XII,

PhCH2CCO, H, 192-2.5'; XIII, PhCH2COD, Ac, 167-8'; XIV,

EtcOC, H, 238'; XV, EtCOC, Ac, 244'; XVI, MeCOD, H,

226-7'; XVII, glucoside, Ac, 191'; XVIII, H, nicotinoyl,

246'; XIX, EtCOC, incotinoyl, 241'; XX, EtCOC, OCPC,

217-18'; XXI, H, COPT, 125'; XXII, EtCOC, COCH; CHMe,

224'; XXII, H, COCHCCHMe, 175'; XXII, EtCOC, COCH; CHMe,

229'; XVIV, EtCOC, COCH; 208'; XVVII, EtCOC, COCH2Ch,

229'; XVIV, H, COCH2Ch, 192'; XXVIII, EtCOC, COCH2Ch,

229'; XXIX, EtCOC, COCH2NH2, 223'; XXVII, EtCOC, COCH2Ch,

229'; XXIX, EtCOC, COCH2NH2, 223'; XXVII, EtCOC, COCH2Ch,

259' (d.); XXXII, H, COCH(HO), 200-1'; XXXII, EtCOC, COCH2Ch,

259' (d.); XXXII, H, COCH, 133'; XXXVII, ACNA, Ac,

278-9'; XXXXVII, H, COCH, 133'; XXXVII, ACNA, Ac,

278-9'; XXXXVII, H, COC, 133'; XXXVII, ACNA, Ac,

278-9'; XXXXVIII, EXM, Ac; XXXVII amount of hydroxide in aqueous solution and precipitating with alc. Heavy-metal salts are

ANSWER 60 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
155°, Ca, from II and CaCO3; Ag, pptd. from II in water with AgNO3,
washed with water, alc., and ether, and dried, m. 216°; Hg, from II
in water with Hg(OAC)2, m. 25°! (decompn.); quinine, from 31.4 g.
II and 32.4 g. quinine in alc. and evapn. of the latter, sol. in water, m.
73°, morphine, from the components in alc., with heating, pptd. by
addn. of ether, m. about 160°. Ca salt of IV, from IV and CaCO3 in
water, crystals from dil. alc., decomp. 23°. Ng salt of XXVIII,
from XXXIII and NgCO3 in boiling water, crystals from dil. alc. Na salt
of XXVIII, from dil. alc., decomp. 200° 270°. Ng salt of XXVII,
from XVII and NgCO3 in boiling water, m. 165-7°. Na salt of IX,
from XVII and NgCO3 in boiling water and pptg. from the concd. soln.
with alc., m. 268° (decompn.). Na salt of XL, orange-brown needles
from water with alc. and ether, decomp. 207°.
5005-34-1, Nicotinamide, N-sulfanilyi- 845674-82-0,
Carbanilic acid, p-(nicotinoylsulfamoyl)-, ethyl ester
[preparation of]
6005-34-1 CAPLUS
Nicotinamide, N-sulfanilyi- (8CI) (CA INDEX NAME)

845674-82-0 CAPLUS Nicotinamide, N-(N-carboxysulfanily1)-, ethyl ester (5CI) (CA INDEX NAME)

L4 ANSWER 61 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1947:2208 CAPLUS DOCUMENT NUMBER: 41:2208 41:409h-i,410a-i,411a-b ORIGINAL REFERENCE NO.: Amidines. II. Preparation of cyanides, amides, and amidines from carboxylic acids Oxley, P.: Partridge, M. W.: Robson, T. D.: Short, W. AUTHOR(S): Boots Pure Drug Co. Ltd., Nottingham, UK Journal of the Chemical Society, Abstracts (1946) 763-71 CORPORATE SOURCE: SOURCE: AGE:

JOSITAL OF THE CHEMICAL SOCIETY, ABSTRACTS (1946)
763-71
CODEN: JCSAAZ; ISSN: 0590-9791
JOURNAL
SUAGE:

UNAVAILABLE
UNAVAILABLE
GE SOURCE(S):

C. C.A. 40, 4367.1. It is suggested that the reaction between RCO2H and R'SOZHHZ can be represented as occurring in 5 stages: (A) RCO2H + R'SOZHHZ RCONHZ + R'SOZHHZ + R'SOZHZ + R'SOZHHZ + R'SOZHHZ + R'SOZHZ + R'S CODEN: JCSAAZ; ISSN: 0590-9791 DOCUMENT TYPE: OTHER SOURCE(S): PhSO3H. H2O: the temperature changes which occur during the reaction are shown in curves; the es; the temperature rise is much greater with the catalyst. IV, heated at 220° 40 min., gives 81% p-02NCSH4CN (66% after heating 18 min.). The o-NO2 isomer of IV, m. 171° (46% on basis of acid or 64% on basis of amids): heated at 225° for 8 min., it yields 42% o-02NCGH4CN. p-HD2CCGH4SO2Me and PhSONH2. heated at 225° 70 min., give 62% p-NCCGH4SO2Me (V) and 20.5% N-[p-methylsulfony]benzoy]benzenesulfonamide (VI), m. 214.6-15°. If a small quantity of anhydrous PhSO3H is added, the yields are 80.3 and 1.2%, resp. p-CLOCCH4SO2Me and PhSO2NH2, heated at 145° for 3.5 h., give 50.8% VI. An equimol. mixture of III,

L4 ANSVER 62 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1946:24053 CAPLUS
DOCUMENT NUMBER: 40:24053
OKIGINAL REFERENCE NO:: 40:4747b-g
STITLE: Sulfonic acid amides of organic sulfonic acids and primary or secondary amines or amides
PATENT ASSIGNEE(S): Actieselskabet Grindstedvaerket PATENT ASSIGNEE(S): DOCUMENT TYPE: LANGUAGE: Patent Unavailable FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. APPLICATION NO. KIND DATE DATE APPLICATION NO. DATE

NK 63458 19450507 DX

For diagram(s), see printed CA Issue.

A primary or secondary amine or amide is condensed with an aldehyde, and the product treated with the halide or anhydride of the desired sulfonic acid. Water is then added and, if necessary, a substance capable of splitting off H halide. The reaction proceeds as follows - RINH2

-RZCHO RIN:CHR2 -R3SOZR R3SOZRIN-CHRZ2 -H2O

RNH: SOZR3 The aldehyde is respencated in the last step of the process.

Examples are given of the first intermediate compound by interaction of (1)

BZH and AcNIZ. (2) 2-bydrozynaphthaldehyde and 4-nitroanline, (3) BZH and
5-amino-2-cyanothiazole and 5-amino-2-thiazolethiocarboxamide, (4) BZH and
5-amino-d-quinolineaerboxylic acid. (5) BZH and 4-aminomorpholine, (6) Acid and anthranlic acid, (7) PhCH:CHCHO and anthranlic acid, and (8) BZH and
4-amino-1,2,4-triazole. Specific examples are given of the preparation of DATE 4-anno-1, 2.4-triazole. Specific examples are given of the preparation of p-tolylsulfonamidobenzene from PhCH:NPh and p-McCGH4SO2C1, (2) p-acetamidophenylsulfonamidobenzene from PhCH:NPh and p-AcKNCGH4SO2C1 (I), (3) p-acetamidophenylsulfonamidothiazole from 2-salicylideneaminothiazole and I, (4) p-acetamidophenylsulfonamidotyridine from 2-salicylideneaminopyridine and p-HZNCGH4SO2C1, (5) N-methylbenzenesulfonamide from PhCH:NMe and PhSO2C1, (6) N-(p-acetamidophenylsulfonyl) anthranilic acid from N-ethylideneanthranilic acid and I, (7) phenylsulfonamidothiazole from N,N'-benzylidenebis(2-aminothiazole) (II) and benzenesulfonic anhydride, (8) N-methyl-2-naphthalenesulfonamide from PhCH:NMe and 2-c10H7SO2C1, (9) 2-(2-naphthylsulfonamido) thiazole from II and 2-naphthalenesulfonic anhydride, and (10) a sulfonamide from 4-benzylideneamino-1, 2,4-triazole and p-NeCGH4SO2C1. The preparation of NI,N4-diacetylsulfanilamide and, N4-acetyl-N1-nicotinylsulfanilamide (III) by similar methods is also described. N1-Nicotinylsulfanilamide may be obtained by the saponification

III. 6003-34-1, Nicotinamide, N-sulfanilyl-(preparation of) 6005-34-1 CAPLUS Nicotinamide, N-sulfanilyl- (8CI) (CA INDEX NAME)

ANSWER 61 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) PhSO2NEZ, and PhSO3N, heated at 198° 0.5 h., gives 81% V and 8% VI. When heated at 230° 1 h., VI gives 95% V. p-HOCCCGH4SOZET and PhSO2NEZ, heated at 225° 30 min., give 79% PhSO3NEM, 59% p-NCCGH4SOZET, and 12.7% of the Et homolog of VI, m. 189°. Thus, it seems clear that "mixed inides" of the type of IV and VI are the precursors of the cyanides produced from RCOZH and R'SOZNEZ. The 2 exothermic phases involved in the prepo. of p-ONCGH4ON represent the acid-anide exchange (phase A) and the decompn. of the mixed anide (phases C and D). BANHO25Fh results in 3% yield on heating BANHEZ, PhSOZNEZ, and PhSO3H at 155° for 3 h. PhSOZNEHZ, heated at 200°, gives 89% PNCN and 82% PhSO3H. This establishes reaction D and the isomerization postulated in C is analogous to that which occurs in the Beckmann transformation of oximes. Stage E has been discussed in Part I. Details are given of the prepn. of o- and p-HO2CCGH4SOZNe, p-O2NCGH4SOZNe, p-PCNCGH4SOZNe, and p-HO2CCGH4SOZNe, p-DCRCGH4SOZNe, p-CACCGH4SOZNe, and p-HO2CCGH4SOZNe, p-O2NCGH4SOZNe and p-O2NCGH4COCL in EtOR, boiled 1 h., give 56.5% p-tolyl p-nitrobenzyl sulfone, n. 185-9°, oxidn. with Na2Cc2O7 in boiling ACOH gives 68% of p-carboxyphenyl p-nitrobenzyl sulfone, n. 295-300°. Examples are given of the prepn. of 19 cyanides from an acid and a PhSOZNEZ 2-cyanophenyl He sulfone, 83.5% n. 103-4°, 4-cyanodiphenyl sulfone, 38%, m. 169-9°. The method fails with acids which are readily decarboxylated (e.g., p-HOCGH4SOZNE) because of their accessibility, although a somewhat lower yield (5%) of cyanide is usually obtained with the latter. There is usually no difficulty in regulating the exptl. conditions so that very little amidine is formed when a cyanide is the desired product of the acation. Several examples are given in which an increased yield of amidine salt is obtained if the sulfone caid is neutralized with dry NID before caising the temp. to accelerate the reaction of phase E. p-NCCGH4SOZE (202), and 25 g. P

L4 ANSWER 62 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 63 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1946:11379 CAPLUS
ORIGINAL REFERENCE NO: 40:11379
TITLE: 500E N4- and N1-heterocyclic-acyl-sulfanilamides
Jain, B. C.; Iyec, B. H.; Guha, P. C.
CORPORATE SOURCE: 50URCE: 50URCE: 50E nec and Culture (1945), 11, 270-1
CODEN: SCINAL; ISSN: 0036-8156
DOCUMENT TYPE: JOURNAL

COMPONATE SOURCE: Indian Inst. Sci., Bangalore
SOURCE: Science and Culture (1945), 11, 270-1
CODEN: SCINAL; ISSN: 0036-8156

DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

Sulfanilamide (1) was reacted with quinoliny! anhydride to give pyridine-2-carboxy-3-carbony!-N4-sulfanilamide, which s. 210', solidified and remelted at 260', and reacted with thionyl chloride to yield pyridine-2,3-dicarbonyl-N4-sulfanilamide, which s. 210', solidified and remelted at 260', and reacted with thionyl chloride to yield pyridine-2,3-dicarbonyl-N4-disulfanilamide, m. 230' (decomposition); with chelidonic acid to give N-p-sulfonamidophenyl-4-(p-aminophenylsulfonimino)-N4-disulfanilamide, m. 293' (decomposition); with chelidonic acid to give N-p-sulfonamidophenyl-4-(p-aminophenylsulfonimino)-1,4-dihydropyridine, m. 210' (decomposition). Bi-zt dihydro-s-collidine-3,5-dicarboxyl-N4-disulfanilamide, m. 251' (decomposition) in the properties of the diversion of I with s-collidine-3,5-dicarboxyl-N4-disulfanilamide, m. 260' (decomposition); with chelidamic acid, 4-pyridone-2,6-dicarbonyl-N4-disulfanilamide, m. 260' (decomposition); with chelidamic acid, 4-pyridone-2,6-dicarbonyl-N4-disulfanilamide, m. 260' (decomposition); with cantharidin, 1,4-endoxycyclohexane-2,3-dimethyl-2,3-dicarbonyl-N4-sulfanilamide, m. 234'. Fusion of I under vacuum with oxalodiglycolic ester gase 3,4-dihydroxyfuran-2,5-dicarbonyl-N4-disulfanilamide, which shrunk at 250' and decomposed with di-Et furo-3,4-p-dioxane-2,5-dicarboxylate, 3,4-ethylenedioxyturan-2,5-dicarbonyl-N4-disulfanilamide (decomposition); with di-Et thiodiglycolic ester, 3,4-dihydroxyfuran-2,5-dicarbonyl-N4-disulfanilamide (decomposition); with di-Et thiodiglycolic ester, 3,4-dihydroxyfuran-0,2-dicarbonyl-N4-disulfanilamide, m. 30' (decomposition), and could be hydrolyzed to N-(N'-sulfanilayl)chelidamic acid, m. above 300' (decomposition). II reacted with chelidamic acid in pyridine to p-AcMNCGH8502Cl (II) condensed with di-Et dihydrocollidinedicarboxylate to give N-(N'-acetylsulfanilyl)-chelidam

L4 ANSWER 64 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1945:27380 CAPLUS
DOCUMENT NUMBER: 39:27380 CAPLUS
39:47380 CAPLUS
39:47380 captus
S9:27380 on chick-brain tissue
Cultivated in vitro
dec. Saunders, John B.: Haymaker, Webb
Proceedings of the Society for Experimental Biology
and Medicine (1945), 59, 306-9
CODEN: PSEBAA; ISSN: 0037-9727
DOCUMENT TYPE: Journal

CODEN: PSEBAA: 155N: 0037-9727

DOCUMENT TYPE: JOURNAL

LANGUAGE: Unavailable

AB Brain of 8-day-old chick embryos was cultivated in vitro in plasma to which sulfonamides were added in various concens. Cultures containing sulfadiazine and succinylsulfathiazole grew better than the controls at all concens. tested, even up to 5 times the saturation concentration sulfapyratine, and sulfaguanidine in concens. up to saturation had no significant influence on growth. Sulfathiazole, sulfanilamide, succinylsulfanilamide, and nicotinylsulfanilamide were more or less toxic. The solubilities of the different sulfonamides in plasma, their effect on the pli of the plasma, and the influence of pli on brain-tissue growth were determined to the different sulfonamides. The solubilities of the different sulfonamides in plasma, their effect on the pli of the plasma, and the influence of pli on brain-tissue growth were determined (effect on brain).

RN 6005-34-1, Nicotinamide, N-sulfanilyl- (8CI) (CA INDEX NAME)

L4 ANSWER 63 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 65 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1945:16764 CAPLUS
ORIGINAL REFERENCE NO: 39:16764
ITILE: NVENTOR(S): N-Sulfanilylnicotinamide
Rosicky, Johann

INVENTOR(5):
DOCUMENT TYPE: PA
LANGUAGE: Un
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: Patent Unavailable

PATENT NO. KIND DATE APPLICATION NO. DATE DE 741685 19430930

This compound is prepared from sulfanilamide or benzenesulfonamide

tituted
in the p-position by a group that can be transformed into a free amino
group. The starting compound is made to react with quinolinic acid
anhydride. The condensation is carried out either by fusing the two or by
heating them in a solvent capable of withstanding a high temperature Either
simultaneously with the condensation reaction or by subsequent treatment
the product is decarboxylated.
6005-34-1, Nicotinamide, N-sulfanilyl(preparation of)
6005-34-1 CAPLUS
Nicotinamide, N-sulfanilyl- (BCI) (CA INDEX NAME)

L4 ANSWER 66 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1945:11165 CAPLUS 1995:11165 CAFWS
39:11737d-q, 1738a-b
39:1737d-q, 1738a-b
Sulfonamide derivatives of diaminodiphenyl sulfones
Tullar, Benjamin F.
Parke, Davis & Co. DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: TITLE: INVENTOR(S): PATENT ASSIGNEE(S): DOCUMENT TYPE: LANGUAGE: Unavailable FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

DATE PATENT NO. KIND DATE APPLICATION NO.

PATENT NO. KIND DATE APPLICATION NO. DATE

US 2358365

The new compds. valuable as therapeutics, e.g., internal antiseptics, and intermediates for therapeutics have the general formula
5-R3HM-2(p-RZHMCGH4502)CGH3502NRIR, where R2 and R3 represent members of the group consisting of H and organic carboxylic acid radicals, R1 is a member of the class consisting of H and organic carboxylic acid radicals, R1 is a member of the class H and an alkali metal. The compds. of the invention may be obtained by more than one method. For example, the corresponding sulfonamide substituted diphenyl sulfone having a nitro group reduced to an amino group. Alternatively, the corresponding dintrodiphenyl sulfide having a sulfonic acid group ratached to the 2-position of one of the phenyl nuclei can first be prepared and the nitro group reduced to an amino group. Alternatively, the corresponding dintrodiphenyl sulfide axidized to sulfone vith or without protection of the maino groups by organic carboxylic acid. The resulting 2-sulfonamide compound The preparation of 4.4'-dimainodiphenyl-sulfone-2-sulfonamide, m. 235'; 4.4'-diacetamidodiphenyl-sulfone-2-N-acetylsulfonamide, m. 275'; 4.4'-diacetamidodiphenyl-sulfone-2-N-acetylsulfonamide, m. approx. 285'; 4.4'-diacetamidodiphenyl-sulfone-2-N-acetylsulfonamide, m. approx. 295'; 4.4'-dianinodiphenyl-sulfone-2-N-acetylsulfonamide, m. 245-50' is described. U.S. 2,358,366. 2-(4.4'-Diaminodiphenylsulfone-2-sulfonamide, m. 2-15' is prepared by oxidizing 4.4'-dianinodiphenyl-sulfone-2-sulfonamide and the corresponding 4.4'-diamino compound. m. 245-50' is described. U.S. 2,358,366. 2-(4.4'-Diaminodiphenylsulfone-2-sulfonamido) pyridine, m. 2.15' is prepared by oxidizing 4.4'-dianitrodiphenyl-sulfone-2-sulfonic acid, converting the latter by means of PCIS into 4.4'-dinitrodiphenyl-sulfone-2-sulfonic acid, converting the latter by means of PCIS into 4.4'-dinitrodiphenyl-sulfone-2-sulfonic acid, converting the latter by means of PCIS into 4.4'-dinitrodiphenyl-sulfone-2-sulfonic acid, converting t

(preparation of) 861045-37-6 CAPLUS Nicotinamide, N-{5-acetamido-2-(N-acetylsulfanilyl)phenylsulfonyl}- (4CI)

L4 ANSWER 67 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1942:21515 CAPLUS
DOCUMENT NUMBER: 36:21515
ORIGINAL REFERENCE NO.: 36:33233,3324a

TITLE:

N-p-Toluenesulfonylpyridinecarboxamide Frohring, William O.; Szabo, Lester J.; Landy, Maurice S. M. A. Corp. INVENTOR (S): PATENT ASSIGNEE(S): DOCUMENT TYPE:

Unavailable

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE

US 2270201 19420113 US
This compound (suitable for use as a therapeutic agent in the treatment of infections of the coccus type) and the corresponding picolinoyl and isonicotinoyl amides are produced by a process which involves treating the acid amide with an aqueous solution of Na2CO3, adding p-toluenesulfonyl cride

thereto and treating with acetone to precipitate the amide.

113513-61-4, p-Toluenesulfonamide, N-[3-pyridylcarbonyl](preparation of)

113513-61-4 CAPIUS

3-Pyridinecarboxamide, N-[{4-methylphenyl}sulfonyl]- (9CI) (CA INDEX NAME)

ANSWER 66 OF 71 CAPLUS COPYRIGHT 2005 ACS OD STN (Continued)

861045-77-4 CAPLUS Nicotinamide, N-(5-amino-2-sulfanilylphenylsulfonyl) - (4CI) (CA INDEX

L4 ANSWER 68 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1942:21088 CAPLUS

DOCUMENT NUMBER:

36:21088 36:3262a-b ORIGINAL REFERENCE NO.:

AUTHOR (S):

36:3262a-b Ocular absorption of sulfonamide derivatives after local application P'an, Shin-Yi Proceedings of the Society for Experimental Biology and Medicine (1942), 49, 384-6 CODEN: PSEBAA: 155N: 0037-9727

DOCUMENT TYPE: Journal

DOCUMENT TYPE: Journal Landunger of the August 1992 of Cf. C. A. 35, 2215.8. The powdered compds. were placed in the eyes of rabbits. Sulfanilamide and N1-nicotinylsulfanilamide were absorbed in effective amts. by all tissues and fluids except the vitreous humor. Sulfapyridine and N1,N4-dinicotinylsulfanilamide were found in therapeutic in the conjunctiva, cornea, sclera and aqueous humor

concns. In the conjunctiva, cornea, sciera and aqueous humor.

Sulfathiazole,
sulfaquanidine and sulfadiazine were absorbed in effective concns. only by
the conjunctiva and cornea.

IT 6005-34-1, Nicotinamide, N-sulfanilyl- 782502-22-1,
Sulfanilamide, Nl.M4-bis(3-pyridylcarbonyl)(preparation of)
RN 6005-34-1 CAPLUS
CN Nicotinamide, N-sulfanilyl- (8CI) (CA INDEX NAME)

782502-22-1 CAPLUS Sulfanilamide, N1,N4-bis(3-pyridylcarbonyl)- (4CI) (CA INDEX NAME)

L4 ANSVER 69 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1942:21087 CAPLUS DOCUMENT NUMBER: 36:21087 CAPLUS 36:3261, 3262a Drug promission 111LE:

Drug prophylaxis against lethal effects of severe anoxia. II. Alcohol, amytal and pentobarbital Emerson, George A.: Van Liere, E. J.: Morrison, James AUTHOR (5):

L. Proceedings of the Society for Experimental Biology and Medicine (1942), 49, 376-9 CODEN: PSEBAA: ISSN: 0037-9727 SOURCE:

DOCUMENT TYPE: Journal Unavailable

UAGE: Unavailable

of. C. A. 34, 5938.1. Narcotic doses of EtOH reduced the lethal effects
of acute anoxic anoxia in mice if administered 1 hr. previously. Amytal
and pentobarbital did not produce comparable effects.
6005-34-1. Nicotinamide, N-sulfamilyl- 782502-22-1,

Nicotinamilide, 4'-(3-pyridylcarbonylsulfamyl)-(preparation of) 6005-34-1 CAPLUS

Nicotinamide, N-sulfanilyl- (8CI) (CA INDEX NAME)

782502-22-1 CAPLUS Sulfanilamide, N1,N4-bis(3-pyridylcarbonyl) - (4CI) (CA INDEX NAME)

L4 ANSWER 70 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Cantinued)

L4 ANSWER 70 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1940:24248 CAPLUS OCCUMENT NUMBER: 34:24248
ORIGINAL REFERENCE NO.: 34:37411,3742a-c

NAI, NA-Nicotinyl derivatives of sulfanilamide Daniels, T. C.; Ivamoto, Harry Journal of the American Chemical Society (1940), 62, 741-2 AUTHOR (5):

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE:

741-2
CODEN: JACSAT; ISSN: 0002-7863
MEMT TYPE: Journal
SUAGE: Unavailable
Nicotinyl chloride and sulfanilanide in anhydrous CSHSN, refluxed 1 h., give 50-75% of N4-nicotinylsulfanilanide (1), m. 257-8°. Nicotinanilide (0.05 mol.), added to 0.5 mol. ClSo3H below 15°, the temperature gradually increased to 60°, maintained at this temperature for 2 h., the mixture cooled and treated with an excess of cold 280 kNR40H, gives 40-50% of I. I does not titrate to a phenolphthalein (II) end point. The N1-isomet (III) of I, prepared according to Crossley, Northey and Hultquist (C. A. 34, 392.8) also m. 257-8° but because of its greater acidity titrates quant. to a II end point. A 50° mixture of I and III m. 233-5°; titration shows that III does not rearrange during the melting. I and A20° give 50% of the N1-Ac derivative, m. 255-6°. I and nicotinyl chloride in CSHSN, refluxed 1 h., give 40% of N1,N4-dinicotinylsulfanilamide, m. 222°, resolidifies and then m. 248°; titration with NoOH of the higher-melting form gives the same equivalent weight as before melting. The preliminary pharmacol. investigation indicates that I is effective in the treatment of exptl. hemolytic streptococcus infections and also certain types of pneumococcus infections. The toxicity of I is lower than that of either sulfanilanide or sulfapyridine.
6005-34-1, Nicotinamide, N-sulfanilyl- 182502-22-1, Nicotinamide, N-sulfanilyl- (8CI) (CA INDEX NAME)

792502-22-1 CAPLUS Sulfanilamide, N1,N4-bie(3-pyridylcarbonyl) - (4CI) (CA INDEX NAME)

L4 ANSWER 71 OF 71 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1940: 2663 CAPLUS OCCUMENT NUMBER: 34:2663 ORIGINAL REFERENCE NO.: 34:392h-i, 393a-i

Je:392n-1,393a-1 Sulfanilamide derivatives. IV. M1,N4-Diacylaulfanilamides and N1-acylaulfanilamides Crossley, M. L.: Notthey, E. H.: Hultquist, Martin E. Journal of the American Chemical Society (1939), 61, 2950-5 AUTHOR (S):

CODEN: JACSAT: ISSN: 0002-7863

DOCUMENT TYPE: Journal

DOCUMENT 172: Unavailable
AB cf. C. A. 32, 8382-6. The most generally applicable method for the synthesis of N1-acylsulfanilamides and that giving the best yield consists in the use of acyl halides and N4-accylsulfanilamide (I) in CSMSN, followed by alkaline hydrolysis, the yield based on the halide averaging

Acid anhydrides may also be used, Ac2O giving 60% of the di-Ac derivative, hydrolysis of which gives 32% of the N1-Ac derivative (solubility in H2O at

room temperature, 0.9%). The N1-Na, derivative of I, prepared from I and NaOH

with recrystn. from H2O and dehydration in vacuo at 60-70°, was used in earlier work but was discarded in favor of the CSHSN method. Dry fusion of I and acyl halides led in general to decomposition products together with the desired N1-acyl derivs. I and B2Cl in PhMe, refluxed 20 h., give 40% of the N1-B2 derivative Attempts to prepare N1-akyl-N1-acylsulfanilamides

hydrolysis of the corresponding N4-Ac derivs. resulted in complete hydrolysis of the N1-Ac derivative Such derivs. were prepared by acylating N-alkylnitrobenzenesulfonamides and reducing with Fe and AcoUI in PhMe. In the series of derivs. of fatty acids, the lower members were moderately H2O-soluble: on ascending the series, the H2O solublity decreased and the

solubility in fat solvents increased; H2O solubility of derivs. having chains of 12 C

more was less than 0.001 g./100 cc. All of the derives in which a H remained on the amide N formed very soluble Na salts, which were neutral for the lower members of the series but became increasingly alkaline for the higher members. All of these compds. could be titrated quant. to a phenolphthalein end-point, however, while sulfanilamide itself cannot be so titrated, since its Na salt is highly hydrolyzed at this pH. In general, the derive, could be hydrolyzed quant. to the organic acid and the amide (or sulfanilia caid) by boiling with alc. HCl or more rapidly by heating to 180-200° with 65% H2SO4. The lower members of the series could be titrated quant. by diazotization of the N4-NHZ group. Alkylation of the NH-NHZ droup.

were

sensitive to hydrolytic agents and in this resembled the
M1-alkyldisulfanilamides (C. A. 32, 8382.3). In the tables of data qual.
data are given for the solubility and the crystalline form.
N1-Acylsulfanilamides:
Ac (II) m. 182-4*, propionyl m. 134-5*, butyryl m.
125.4-6.6*, isobutyryl m. 198.5-200*, 2-ethylbutyryl m.
189-93.5*, hexanoyl m. 129.2-9.9*, heptanoyl m.
121.8-3.6*, 2-ethylbexanoyl m. 165.5-8*, octanoyl m.
101.3*, decanoyl m. 119-21*, hexdecanoyl m.
112.5-14.5*, docdecanoyl (III) m. 127-8.5*, tetradecanoyl m.
113.5-17.7*, octadecanoyl m. 99-102*, 9-octadecenoyl,

(Continued)

LA ANSWER 71 OF 71 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) amorphous, hexahydrobenzoyl m. 198.5-200°, chaulmoogryl m. 97.9-9°, Br m. 101.2-2.3°, p-natrobenzoyl m. 235-40°, p-nainobenzoyl m. 197.8-9°, hydrocinnamoyl m. 180.3-1.5°, cinnamoyl m. 130-3° and then 174-5°, 4°-carboxybenzoyl m. above 225° (decompn.), anadelyl m. 192.5-4.5° (decompn.), diphenylacetyl m. 210.5-12°, furoyl m. 191.5-2°, 2-phenylcinchoninyl m. 305-10°, nicotinyl m. 256-7.5°, 3-hydroxy-2-naphthoyl m. 245-50°. N1-Acetylmetanilamide, m. 153.5-5.5°, tetradecanoyl malog, m. 113.5-14.2°. N1-Methyl-N1-dodecanoylsulfanilamide, m. 59.3-60.5°, N1-Acyl derivs, of N4-acetylsulfanilamide, m. 59.3-60.5°, N1-Acyl derivs, of N4-acetylsulfanilamides, ac m. 253.5-5°, propionyl m. 242.5-4.3°, isobutyryl m. 247-8°, butyryl 238.2-40°, isovaleryl m. 215-11.5°, 2-ethylbutyryl m. 270-2°, hexanoyl m. 191-3°, heptanoyl m. 205-7.5°, 2-ethylbutyryl m. 270-2°, hexanoyl m. 191-3°, heptanoyl m. 205-7.5°, 2-ethylbutyryl m. 270-2°, hexanoyl m. 143.2-4.8°, hendecanoyl m. 153.2-5°, dodecanoyl m. 130-68 tetradecanoyl m. 144.2-5°, 9-octadecanoyl m. 131-5°, chaulmoogryl, Bz m. 280-5°, hexahydrobenzoyl m. 200-3°, hydrocinnamoyl m. 270-2°, p-matrobenzoyl m. 200-3°, hydrocinnamoyl m. 160° and then 202.8°, 5.4°, cinnamoyl m. 228-9.5°, diphenylacetyl m. 248.5-51°, furoyl m. 240.5-41.5°, 2-phenylcinchoninyl m. 166-70°, nicotinyl m. 229-9.5°, diphenylacetyl m. 248.5-51°, furoyl m. 240.5-41.5°, 2-phenylcinchoninyl m. 166-70°, nicotinyl m. 229-9.5°, diphenylacetyl m. 248.5-51°, furoyl m. 240.5-41.5°, 2-phenylcinchoninyl m. 166-70°, nicotinyl m. 240.5-41.5°, 2-phenylcinchoninyl m. 166

RN 845960-39-6 CAPLUS
CN Nicotinamide, N-(N-acetylsulfanilyl)- (5CI) (CA INDEX NAME)

<12/14/2005>